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(54) Title: THROMBIN OR FACTOR XA INHIBITORS

## (57) Abstract

This invention relates generally to inhibitors of trypsin-like serine protease enzymes, especially factor Xa or thrombin, pharmaceutical compositions containing the same, and methods of using the same as anticoagulant agents for treatment and prevention of thromboembolic disorders.

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TITLE

Thrombin or Factor Xa Inhibitors

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FIELD OF THE INVENTION

This invention relates generally to inhibitors of trypsin-like serine protease enzymes, especially factor Xa or thrombin, pharmaceutical compositions containing the same, and methods of using the same as anticoagulant agents for treatment and prevention of thromboembolic disorders.

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BACKGROUND OF THE INVENTION

Activated factor Xa, whose major practical role is the generation of thrombin by the limited proteolysis of prothrombin, holds a central position that links the intrinsic and extrinsic activation mechanisms in the final common pathway of blood coagulation. The 15 generation of thrombin, the final serine protease in the pathway to generate a fibrin clot, from its precursor is amplified by formation of prothrombinase complex (factor Xa, factor V, Ca<sup>2+</sup> and phospholipid). Since it is calculated that one molecule of factor Xa can generate 138 molecules of thrombin (Elodi, S., Varadi, K.: *Optimization of conditions for the catalytic effect of the factor IXa-factor VIII Complex: Probable role of the complex in the amplification of blood coagulation. Thromb. Res.* 1979, 15, 617-629), inhibition of 20 factor Xa may be more efficient than inactivation of thrombin in interrupting the blood coagulation system.

Therefore, efficacious and specific inhibitors of factor Xa, thrombin, or both are needed as potentially valuable therapeutic agents for the treatment of thromboembolic 25 disorders. It is thus desirable to discover new factor Xa, thrombin, or both inhibitors.

SUMMARY OF THE INVENTION

Accordingly, one object of the present invention is to provide novel nitrogen containing aromatic heterocycles, with ortho-substituted P1 groups, which are useful as 30 factor Xa inhibitors or pharmaceutically acceptable salts or prodrugs thereof.

It is another object of the present invention to provide pharmaceutical compositions comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of at least one of the compounds of the present invention or a pharmaceutically acceptable salt or prodrug form thereof.

35 It is another object of the present invention to provide a method for treating thromboembolic disorders comprising administering to a host in need of such treatment a therapeutically effective amount of at least one of the compounds of the present invention or a pharmaceutically acceptable salt or prodrug form thereof.

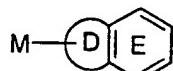
It is another object of the present invention to provide novel compounds for use in therapy.

It is another object of the present invention to provide the use of novel compounds for the manufacture of a medicament for the treatment of thrombosis or a disease mediated by factor Xa.

#### DETAILED DESCRIPTION OF PREFERRED EMBODIMENTS

[1] Thus, in an embodiment, the present invention provides a novel compound selected from the group:

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I

ring D is selected from  $-(CH_2)_3-$ ,  $-CH_2CH=CH-$ ,  $-CH_2N=CH-$ , and a 5 membered aromatic system containing from 0-2 heteroatoms selected from the group N, O, and S, provided that from 0-1 O and S atoms are present;

15

ring D is substituted with 0-2 R;

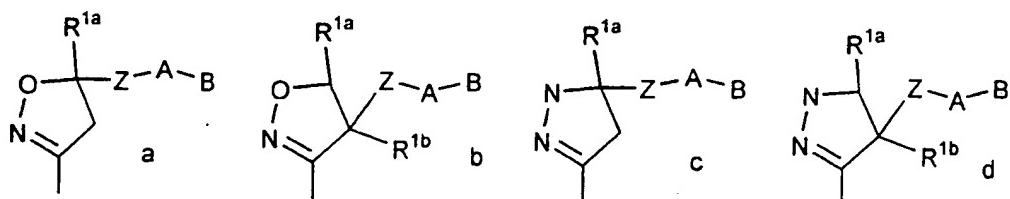
E is selected from phenyl, pyridyl, pyrimidyl, pyrazinyl, and pyridazinyl, substituted with 0-1 R;

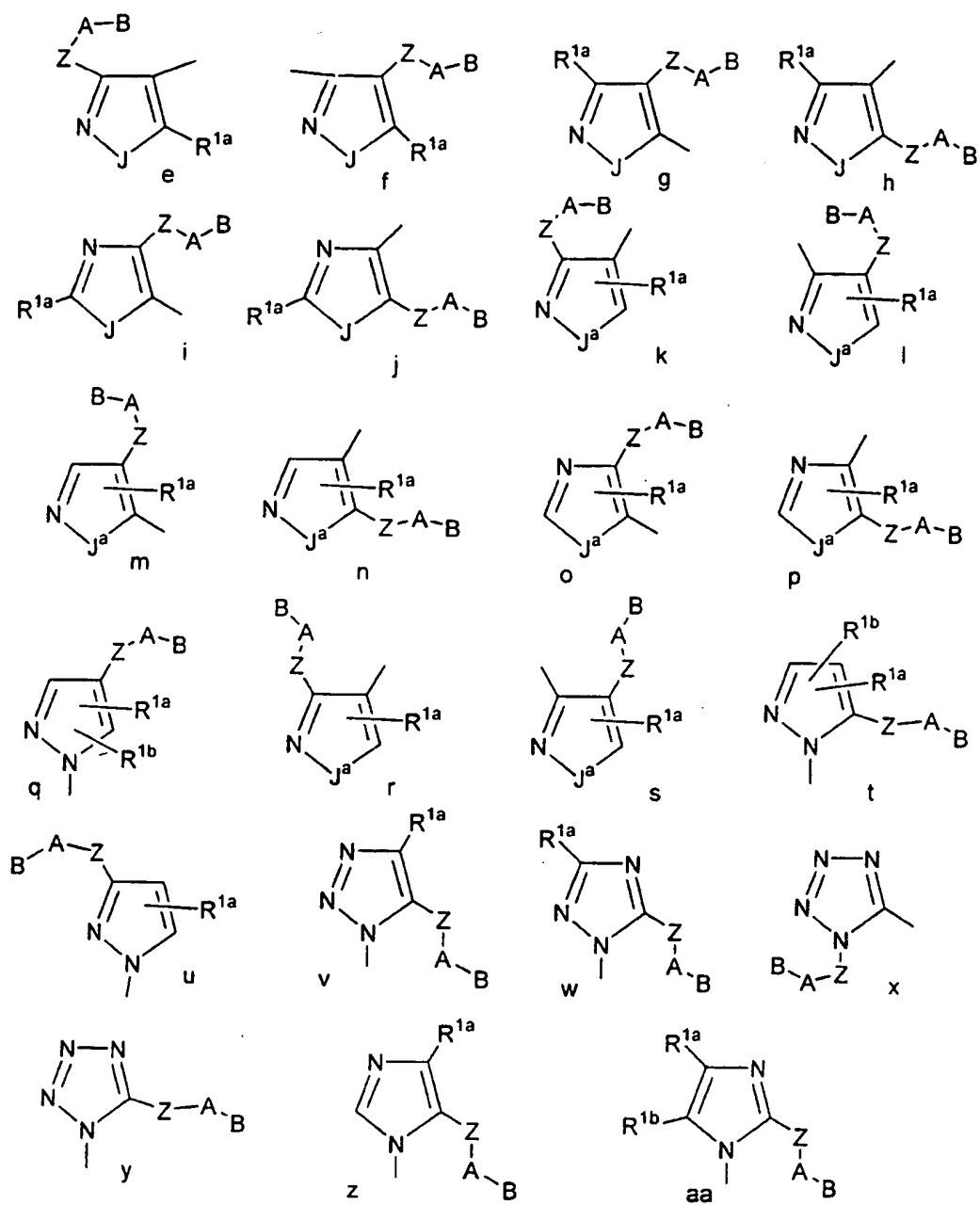
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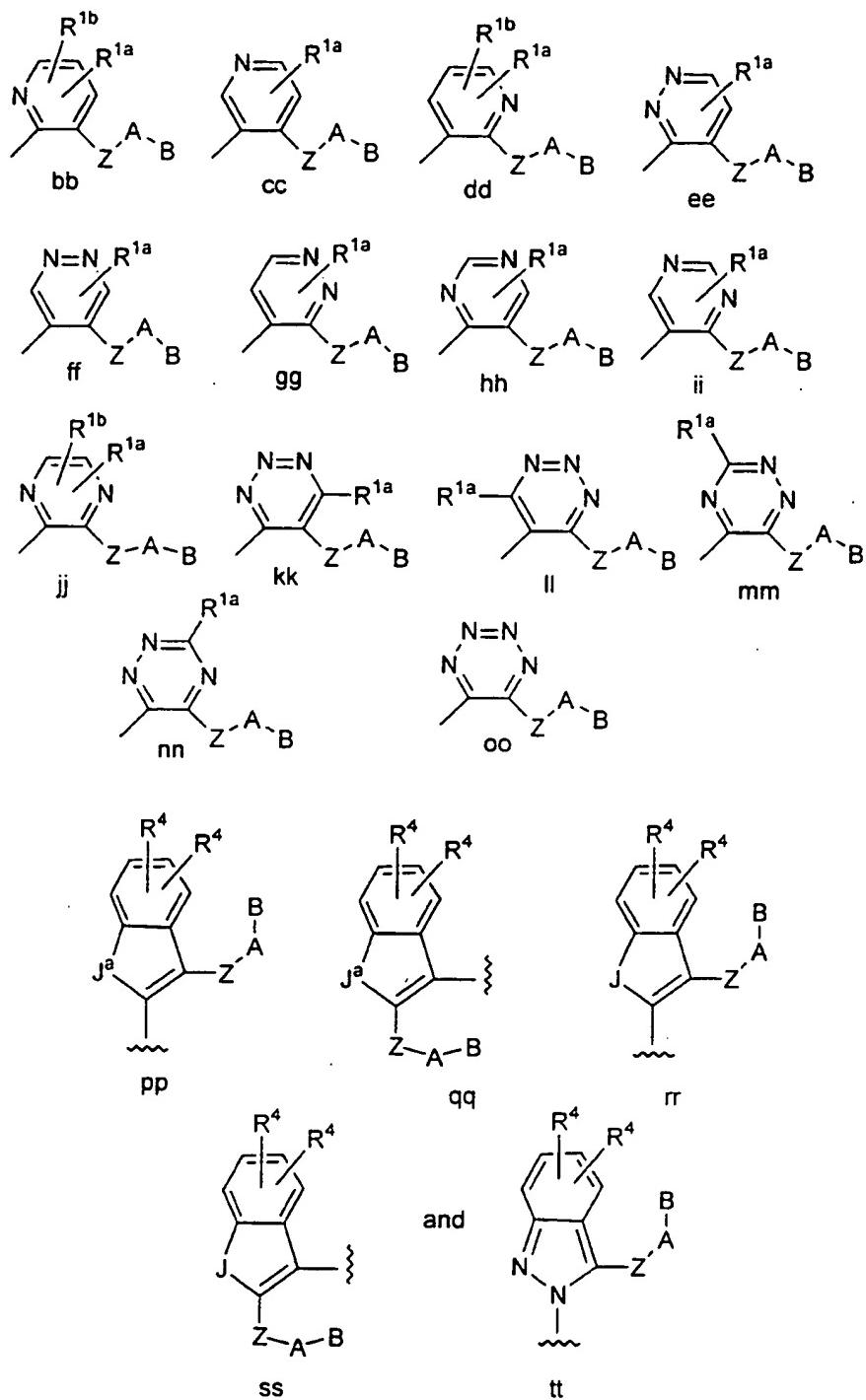
R is selected from Cl, F, Br, I, OH,  $C_{1-3}$  alkoxy,  $NH_2$ ,  $NH(C_{1-3} \text{ alkyl})$ ,  $N(C_{1-3} \text{ alkyl})_2$ ,  $CH_2NH_2$ ,  $CH_2NH(C_{1-3} \text{ alkyl})$ ,  $CH_2N(C_{1-3} \text{ alkyl})_2$ ,  $CH_2CH_2NH_2$ ,  $CH_2CH_2NH(C_{1-3} \text{ alkyl})$ , and  $CH_2CH_2N(C_{1-3} \text{ alkyl})_2$ ;

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M is selected from the group:







J is O or S;

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J<sup>a</sup> is NH or NR<sup>1a</sup>;

Z is selected from (CR<sup>8</sup>R<sup>9</sup>)<sub>1-4</sub>, (CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>O(CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>, (CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>NR<sup>3</sup>(CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>,  
 (CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>C(O)(CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>, (CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>C(O)O(CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>, (CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>OC(O)(CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>,  
 (CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>C(O)NR<sup>3</sup>(CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>, (CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>NR<sup>3</sup>C(O)(CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>,

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(CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>OC(O)O(CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>, (CH<sub>2</sub>)<sub>r</sub>OC(O)NR<sup>3</sup>(CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>,  
 (CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>NR<sup>3</sup>C(O)O(CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>, (CH<sub>2</sub>)<sub>r</sub>NR<sup>3</sup>C(O)NR<sup>3</sup>(CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>,  
 (CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>S(O)<sub>p</sub>(CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>, (CCR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>SO<sub>2</sub>NR<sup>3</sup>(CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>,  
 (CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>NR<sup>3</sup>SO<sub>2</sub>(CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>, and (CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>NR<sup>3</sup>SO<sub>2</sub>NR<sup>3</sup>(CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>, provided that Z  
 5 does not form a N-N, N-O, N-S, NCH<sub>2</sub>N, NCH<sub>2</sub>O, or NCH<sub>2</sub>S bond with the  
 groups to which Z is attached;

R<sup>1a</sup> is selected from H, -(CH<sub>2</sub>)<sub>r</sub>R<sup>1'</sup>, -CH=CH-R<sup>1'</sup>, NHCH<sub>2</sub>R<sup>1''</sup>, OCH<sub>2</sub>R<sup>1''</sup>, SCH<sub>2</sub>R<sup>1''</sup>,  
 NH(CH<sub>2</sub>)<sub>2</sub>(CH<sub>2</sub>)<sub>t</sub>R<sup>1'</sup>, O(CH<sub>2</sub>)<sub>2</sub>(CH<sub>2</sub>)<sub>t</sub>R<sup>1'</sup>, and S(CH<sub>2</sub>)<sub>2</sub>(CH<sub>2</sub>)<sub>t</sub>R<sup>1'</sup>;

10 R<sup>1'</sup> is selected from H, C<sub>1-3</sub> alkyl, F, Cl, Br, I, -CN, -CHO, (CF<sub>2</sub>)<sub>r</sub>CF<sub>3</sub>, (CH<sub>2</sub>)<sub>r</sub>OR<sup>2</sup>,  
 NR<sup>2</sup>R<sup>2a</sup>, C(O)R<sup>2c</sup>, OC(O)R<sup>2</sup>, (CF<sub>2</sub>)<sub>r</sub>CO<sub>2</sub>R<sup>2c</sup>, S(O)<sub>p</sub>R<sup>2b</sup>, NR<sup>2</sup>(CH<sub>2</sub>)<sub>r</sub>OR<sup>2</sup>,  
 C(=NR<sup>2c</sup>)NR<sup>2</sup>R<sup>2a</sup>, NR<sup>2</sup>C(O)R<sup>2b</sup>, NR<sup>2</sup>C(O)NHR<sup>2b</sup>, NR<sup>2</sup>C(O)<sub>2</sub>R<sup>2a</sup>,  
 OC(O)NR<sup>2a</sup>R<sup>2b</sup>, C(O)NR<sup>2</sup>R<sup>2a</sup>, C(O)NR<sup>2</sup>(CH<sub>2</sub>)<sub>r</sub>OR<sup>2</sup>, SO<sub>2</sub>NR<sup>2</sup>R<sup>2a</sup>, NR<sup>2</sup>SO<sub>2</sub>R<sup>2b</sup>,  
 15 C<sub>3-6</sub> carbocyclic residue substituted with 0-2 R<sup>4</sup>, and 5-10 membered heterocyclic  
 system containing from 1-4 heteroatoms selected from the group consisting of N,  
 O, and S substituted with 0-2 R<sup>4</sup>;

20 R<sup>1''</sup> is selected from H, CH(CH<sub>2</sub>OR<sup>2</sup>)<sub>2</sub>, C(O)R<sup>2c</sup>, C(O)NR<sup>2</sup>R<sup>2a</sup>, S(O)R<sup>2b</sup>, S(O)<sub>2</sub>R<sup>2b</sup>, and  
 SO<sub>2</sub>NR<sup>2</sup>R<sup>2a</sup>;

25 R<sup>2</sup>, at each occurrence, is selected from H, CF<sub>3</sub>, C<sub>1-6</sub> alkyl, benzyl, C<sub>3-6</sub> carbocyclic  
 residue substituted with 0-2 R<sup>4b</sup>, and 5-6 membered heterocyclic system  
 containing from 1-4 heteroatoms selected from the group consisting of N, O, and S  
 substituted with 0-2 R<sup>4b</sup>;

30 R<sup>2a</sup>, at each occurrence, is selected from H, CF<sub>3</sub>, C<sub>1-6</sub> alkyl, benzyl, C<sub>3-6</sub>  
 cycloalkylmethyl substituted with 0-2 R<sup>4b</sup>, C<sub>3-6</sub> carbocyclic residue substituted  
 with 0-2 R<sup>4b</sup>, and 5-6 membered heterocyclic system containing from 1-4  
 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2  
 R<sup>4b</sup>;

35 R<sup>2b</sup>, at each occurrence, is selected from CF<sub>3</sub>, C<sub>1-4</sub> alkoxy, C<sub>1-6</sub> alkyl, benzyl, C<sub>3-6</sub>  
 carbocyclic residue substituted with 0-2 R<sup>4b</sup>, and 5-6 membered heterocyclic  
 system containing from 1-4 heteroatoms selected from the group consisting of N,  
 O, and S substituted with 0-2 R<sup>4b</sup>;

$R^{2c}$ , at each occurrence, is selected from  $CF_3$ ,  $OH$ ,  $C_{1-4}$  alkoxy,  $C_{1-6}$  alkyl, benzyl,  $C_{3-6}$  carbocyclic residue substituted with 0-2  $R^{4b}$ , and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2  $R^{4b}$ ;

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alternatively,  $R^2$  and  $R^{2a}$  combine to form a 5 or 6 membered saturated, partially saturated or unsaturated ring substituted with 0-2  $R^{4b}$  which contains from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

10 alternatively,  $R^2$  and  $R^{2a}$ , together with the atom to which they are attached, combine to form a 5 or 6 membered saturated, partially saturated or unsaturated ring substituted with 0-2  $R^{4b}$  and containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

15  $R^3$ , at each occurrence, is selected from H,  $C_{1-4}$  alkyl, and phenyl;

$R^{3a}$ , at each occurrence, is selected from H,  $C_{1-4}$  alkyl, and phenyl;

$R^{3b}$ , at each occurrence, is selected from H,  $C_{1-4}$  alkyl, and phenyl;

20

$R^{3c}$ , at each occurrence, is selected from  $C_{1-4}$  alkyl, and phenyl;

A is selected from:

$C_{3-10}$  carbocyclic residue substituted with 0-2  $R^4$ , and

25 5-10 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2  $R^4$ ;

B is selected from:

$X-Y$ ,  $NR^2R^{2a}$ ,  $C(=NR^2)NR^2R^{2a}$ ,  $NR^2C(=NR^2)NR^2R^{2a}$ ,

30  $C_{3-10}$  carbocyclic residue substituted with 0-2  $R^{4a}$ , and

5-10 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2  $R^{4a}$ ;

X is selected from  $C_{1-4}$  alkylene,  $-CR^2(CR^2R^{2b})(CH_2)_l-$ ,  $-C(O)-$ ,  $-C(=NR^{1''})-$ ,

35  $-CR^2(NR^{1''}R^2)-$ ,  $-CR^2(OR^2)-$ ,  $-CR^2(SR^2)-$ ,  $-C(O)CR^2R^{2a}-$ ,  $-CR^2R^{2a}C(O)-$ ,  $-S(O)_p-$ ,  
 $-S(O)_pCR^2R^{2a}-$ ,  $-CR^2R^{2a}S(O)_p-$ ,  $-S(O)_2NR^{2-}$ ,  $-NR^2S(O)_2-$ ,  $-NR^2S(O)_2CR^2R^{2a}-$ ,  
 $-CR^2R^{2a}S(O)_2NR^{2-}$ ,  $-NR^2S(O)_2NR^{2-}$ ,  $-C(O)NR^{2-}$ ,  $-NR^2C(O)-$ ,  
 $-C(O)NR^2CR^2R^{2a}-$ ,  $-NR^2C(O)CR^2R^{2a}-$ ,  $-CR^2R^{2a}C(O)NR^{2-}$ ,  $-CR^2R^{2a}NR^2C(O)-$ ,

-NR<sup>2</sup>C(O)O-, -OC(O)NR<sup>2</sup>-, -NR<sup>2</sup>C(O)NR<sup>2</sup>-, -NR<sup>2</sup>-, -NR<sup>2</sup>CR<sup>2</sup>R<sup>2a</sup>-, -CR<sup>2</sup>R<sup>2a</sup>NR<sup>2</sup>-, O, -CR<sup>2</sup>R<sup>2a</sup>O-, and -OCR<sup>2</sup>R<sup>2a</sup>;

Y is selected from:

- 5       (CH<sub>2</sub>)<sub>r</sub>NR<sup>2</sup>R<sup>2a</sup>, provided that X-Y do not form a N-N, O-N, or S-N bond,  
      C<sub>3-10</sub> carbocyclic residue substituted with 0-2 R<sup>4a</sup>, and  
      5-10 membered heterocyclic system containing from 1-4 heteroatoms selected  
      from the group consisting of N, O, and S substituted with 0-2 R<sup>4a</sup>;
- 10      R<sup>4</sup>, at each occurrence, is selected from H, =O, (CH<sub>2</sub>)<sub>r</sub>OR<sup>2</sup>, F, Cl, Br, I, C<sub>1-4</sub> alkyl, -CN,  
      NO<sub>2</sub>, (CH<sub>2</sub>)<sub>r</sub>NR<sup>2</sup>R<sup>2a</sup>, (CH<sub>2</sub>)<sub>r</sub>C(O)R<sup>2c</sup>, NR<sup>2</sup>C(O)R<sup>2b</sup>, C(O)NR<sup>2</sup>R<sup>2a</sup>,  
      NR<sup>2</sup>C(O)NR<sup>2</sup>R<sup>2a</sup>, C(=NR<sup>2</sup>)NR<sup>2</sup>R<sup>2a</sup>, C(=NS(O)<sub>2</sub>R<sup>5</sup>)NR<sup>2</sup>R<sup>2a</sup>,  
      NHC(=NR<sup>2</sup>)NR<sup>2</sup>R<sup>2a</sup>, C(O)NHC(=NR<sup>2</sup>)NR<sup>2</sup>R<sup>2a</sup>, SO<sub>2</sub>NR<sup>2</sup>R<sup>2a</sup>, NR<sup>2</sup>SO<sub>2</sub>NR<sup>2</sup>R<sup>2a</sup>,  
      NR<sup>2</sup>SO<sub>2</sub>-C<sub>1-4</sub> alkyl, NR<sup>2</sup>SO<sub>2</sub>R<sup>5</sup>, S(O)<sub>p</sub>R<sup>5</sup>, (CF<sub>2</sub>)<sub>r</sub>CF<sub>3</sub>, NHCH<sub>2</sub>R<sup>1'</sup>, OCH<sub>2</sub>R<sup>1'</sup>,  
 15      SCH<sub>2</sub>R<sup>1'</sup>, N(CH<sub>2</sub>)<sub>2</sub>(CH<sub>2</sub>)<sub>t</sub>R<sup>1'</sup>, O(CH<sub>2</sub>)<sub>2</sub>(CH<sub>2</sub>)<sub>t</sub>R<sup>1'</sup>, and S(CH<sub>2</sub>)<sub>2</sub>(CH<sub>2</sub>)<sub>t</sub>R<sup>1'</sup>,
- alternatively, one R<sup>4</sup> is a 5-6 membered aromatic heterocycle containing from 1-4  
      heteroatoms selected from the group consisting of N, O, and S;
- 20      R<sup>4a</sup>, at each occurrence, is selected from H, =O, (CH<sub>2</sub>)<sub>r</sub>OR<sup>2</sup>, (CH<sub>2</sub>)<sub>r</sub>F, (CH<sub>2</sub>)<sub>r</sub>Br, (CH<sub>2</sub>)<sub>r</sub>  
      Cl, Cl, Br, F, I, C<sub>1-4</sub> alkyl, -CN, NO<sub>2</sub>, (CH<sub>2</sub>)<sub>r</sub>NR<sup>2</sup>R<sup>2a</sup>, (CH<sub>2</sub>)<sub>r</sub>C(O)R<sup>2c</sup>,  
      NR<sup>2</sup>C(O)R<sup>2b</sup>, C(O)NR<sup>2</sup>R<sup>2a</sup>, C(O)NH(CH<sub>2</sub>)<sub>2</sub>NR<sup>2</sup>R<sup>2a</sup>, NR<sup>2</sup>C(O)NR<sup>2</sup>R<sup>2a</sup>,  
      C(=NR<sup>2</sup>)NR<sup>2</sup>R<sup>2a</sup>, NHC(=NR<sup>2</sup>)NR<sup>2</sup>R<sup>2a</sup>, SO<sub>2</sub>NR<sup>2</sup>R<sup>2a</sup>, NR<sup>2</sup>SO<sub>2</sub>NR<sup>2</sup>R<sup>2a</sup>,  
      NR<sup>2</sup>SO<sub>2</sub>-C<sub>1-4</sub> alkyl, C(O)NHSO<sub>2</sub>-C<sub>1-4</sub> alkyl, NR<sup>2</sup>SO<sub>2</sub>R<sup>5</sup>, S(O)<sub>p</sub>R<sup>5</sup>, and  
 25      (CF<sub>2</sub>)<sub>r</sub>CF<sub>3</sub>;
- alternatively, one R<sup>4a</sup> is a 5-6 membered aromatic heterocycle containing from 1-4  
      heteroatoms selected from the group consisting of N, O, and S substituted with 0-1  
      R<sup>5</sup>;
- 30      R<sup>4b</sup>, at each occurrence, is selected from H, =O, (CH<sub>2</sub>)<sub>r</sub>OR<sup>3</sup>, F, Cl, Br, I, C<sub>1-4</sub> alkyl, -CN,  
      NO<sub>2</sub>, (CH<sub>2</sub>)<sub>r</sub>NR<sup>3</sup>R<sup>3a</sup>, (CH<sub>2</sub>)<sub>r</sub>C(O)R<sup>3</sup>, (CH<sub>2</sub>)<sub>r</sub>C(O)OR<sup>3c</sup>, NR<sup>3</sup>C(O)R<sup>3a</sup>,  
      C(O)NR<sup>3</sup>R<sup>3a</sup>, NR<sup>3</sup>C(O)NR<sup>3</sup>R<sup>3a</sup>, C(=NR<sup>3</sup>)NR<sup>3</sup>R<sup>3a</sup>, NR<sup>3</sup>C(=NR<sup>3</sup>)NR<sup>3</sup>R<sup>3a</sup>,  
      SO<sub>2</sub>NR<sup>3</sup>R<sup>3a</sup>, NR<sup>3</sup>SO<sub>2</sub>NR<sup>3</sup>R<sup>3a</sup>, NR<sup>3</sup>SO<sub>2</sub>-C<sub>1-4</sub> alkyl, NR<sup>3</sup>SO<sub>2</sub>CF<sub>3</sub>, NR<sup>3</sup>SO<sub>2</sub>-  
 35      phenyl, S(O)<sub>p</sub>CF<sub>3</sub>, S(O)<sub>p</sub>-C<sub>1-4</sub> alkyl, S(O)<sub>p</sub>-phenyl, and (CF<sub>2</sub>)<sub>r</sub>CF<sub>3</sub>;
- R<sup>5</sup>, at each occurrence, is selected from CF<sub>3</sub>, C<sub>1-6</sub> alkyl, phenyl substituted with 0-2 R<sup>6</sup>,  
      and benzyl substituted with 0-2 R<sup>6</sup>;

R<sup>6</sup>, at each occurrence, is selected from H, OH, (CH<sub>2</sub>)<sub>r</sub>OR<sup>2</sup>, halo, C<sub>1-4</sub> alkyl, CN, NO<sub>2</sub>, (CH<sub>2</sub>)<sub>r</sub>NR<sup>2</sup>R<sup>2a</sup>, (CH<sub>2</sub>)<sub>r</sub>C(O)R<sup>2b</sup>, NR<sup>2</sup>C(O)R<sup>2b</sup>, NR<sup>2</sup>C(O)NR<sup>2</sup>R<sup>2a</sup>, C(=NH)NH<sub>2</sub>, NHC(=NH)NH<sub>2</sub>, SO<sub>2</sub>NR<sup>2</sup>R<sup>2a</sup>, NR<sup>2</sup>SO<sub>2</sub>NR<sup>2</sup>R<sup>2a</sup>, and NR<sup>2</sup>SO<sub>2</sub>C<sub>1-4</sub> alkyl;

5

R<sup>7</sup>, at each occurrence, is selected from H, OH, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkylcarbonyl, C<sub>1-6</sub> alkoxy, C<sub>1-4</sub> alkoxy carbonyl, (CH<sub>2</sub>)<sub>n</sub>-phenyl, C<sub>6-10</sub> aryloxy, C<sub>6-10</sub> aryloxycarbonyl, C<sub>6-10</sub> arylmethylcarbonyl, C<sub>1-4</sub> alkylcarbonyloxy C<sub>1-4</sub> alkoxy carbonyl, C<sub>6-10</sub> arylcarbonyloxy C<sub>1-4</sub> alkoxy carbonyl, C<sub>1-6</sub> alkylaminocarbonyl, phenylaminocarbonyl, and phenyl C<sub>1-4</sub> alkoxy carbonyl;

10

R<sup>8</sup>, at each occurrence, is selected from H, C<sub>1-6</sub> alkyl and (CH<sub>2</sub>)<sub>n</sub>-phenyl;

alternatively, R<sup>7</sup> and R<sup>8</sup> combine to form a 5 or 6 membered saturated, ring which contains from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

R<sup>9</sup>, at each occurrence, is selected from H, C<sub>1-6</sub> alkyl and (CH<sub>2</sub>)<sub>n</sub>-phenyl;

20 n, at each occurrence, is selected from 0, 1, 2, and 3;

m, at each occurrence, is selected from 0, 1, and 2;

p, at each occurrence, is selected from 0, 1, and 2;

25

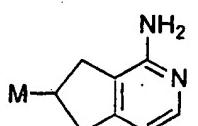
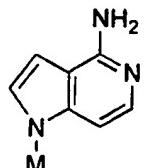
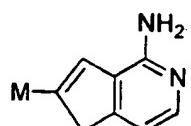
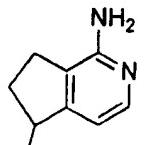
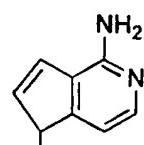
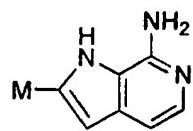
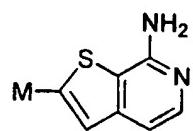
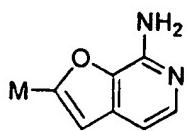
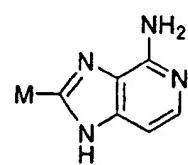
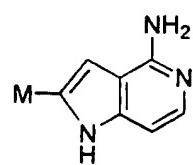
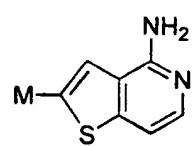
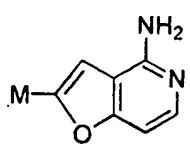
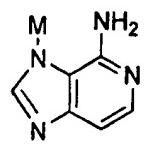
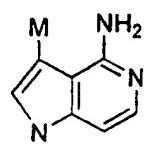
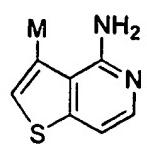
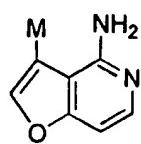
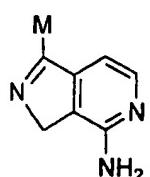
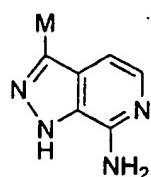
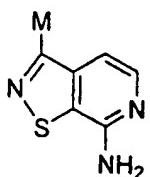
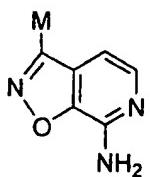
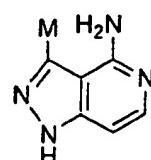
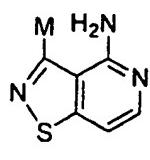
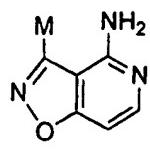
r, at each occurrence, is selected from 0, 1, 2, and 3;

s, at each occurrence, is selected from 0, 1, and 2; and,

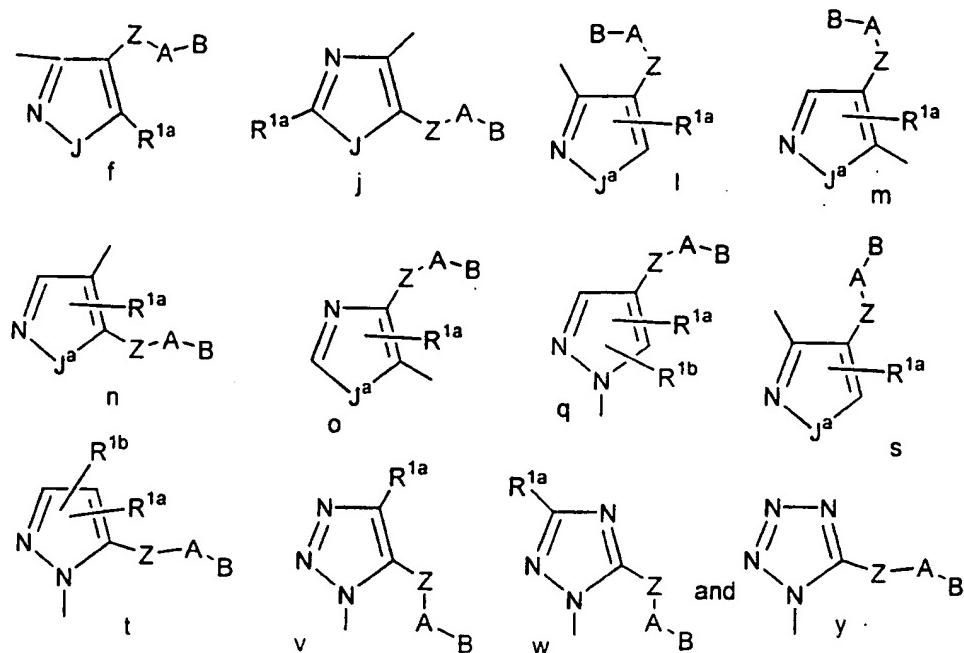
30 t, at each occurrence, is selected from 0, 1, 2, and 3.

[2] In another embodiment, the present invention provides a novel compound selected from the group:

35



wherein, M is selected from the group:



R is selected from H, Cl, F, Br, I,  $(CH_2)_tOR^3$ ,  $C_{1-4}$  alkyl,  $OCF_3$ ,  $CF_3$ ,  $C(O)NR^7R^8$ , and  $(CR^8R^9)_tNR^7R^8$ ;

5

Z is selected from  $CH_2O$ ,  $OCH_2$ ,  $CH_2NH$ ,  $NHCH_2$ ,  $C(O)$ ,  $CH_2C(O)$ ,  $C(O)CH_2$ ,  $NHC(O)$ ,  $C(O)NH$ ,  $CH_2S(O)_2$ ,  $S(O)_2(CH_2)$ ,  $SO_2NH$ , and  $NHSO_2$ , provided that Z does not form a N-N, N-O,  $NCH_2N$ , or  $NCH_2O$  bond with ring M or group A;

10 A is selected from one of the following carbocyclic and heterocyclic systems which are substituted with 0-2 R<sup>4</sup>;

phenyl, piperidinyl, piperazinyl, pyridyl, pyrimidyl, furanyl, morpholinyl, thiophenyl, pyrrolyl, pyrrolidinyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, oxadiazolyl, thiadiazolyl, triazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1,2,5-triazolyl, 1,3,4-triazolyl, benzofuranyl, benzothiophenyl, indolyl, benzimidazolyl, benzoxazolyl, benzthiazolyl, indazolyl, benzisoxazolyl, benzisothiazolyl, and isoindazolyl;

20

B is selected from: H, Y, and X-Y;

X is selected from  $C_{1-4}$  alkylene,  $-C(O)-$ ,  $-C(=NR)-$ ,  $-CR^2(NR^2R^{2a})-$ ,  $-C(O)CR^2R^{2a}-$ ,  $-CR^2R^{2a}C(O)-$ ,  $-C(O)NR^2-$ ,  $-NR^2C(O)-$ ,  $-C(O)NR^2CR^2R^{2a}-$ ,  $-NR^2C(O)CR^2R^{2a}-$ ,

-CR<sup>2</sup>R<sup>2a</sup>C(O)NR<sup>2</sup>-, -CR<sup>2</sup>R<sup>2a</sup>NR<sup>2</sup>C(O)-, -NR<sup>2</sup>C(O)NR<sup>2</sup>-, -NR<sup>2</sup>-, -NR<sup>2</sup>CR<sup>2</sup>R<sup>2a</sup>-,  
 -CR<sup>2</sup>R<sup>2a</sup>NR<sup>2</sup>-, O, -CR<sup>2</sup>R<sup>2a</sup>O-, and -OCR<sup>2</sup>R<sup>2a</sup>;

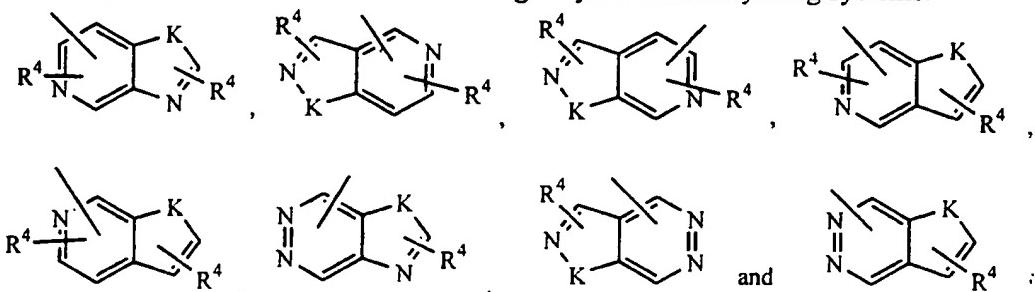
Y is NR<sup>2</sup>R<sup>2a</sup> or CH<sub>2</sub>NR<sup>2</sup>R<sup>2a</sup>, provided that X-Y do not form a N-N or O-N bond;

5

alternatively, Y is selected from one of the following carbocyclic and heterocyclic systems which are substituted with 0-2 R<sup>4a</sup>;

cyclopropyl, cyclopentyl, cyclohexyl, phenyl, piperidinyl, piperazinyl,  
 pyridyl, pyrimidyl, furanyl, morpholinyl, thiophenyl, pyrrolyl, pyrrolidinyl,  
 10 oxazolyl, isoxazolyl, isoxazolinyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl,  
 oxadiazolyl, thiadiazolyl, triazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl,  
 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl,  
 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1,2,5-triazolyl,  
 15 1,3,4-triazolyl, benzofuranyl, benzothiofuranyl, indolyl, benzimidazolyl,  
 benzoxazolyl, benzthiazolyl, indazolyl, benzisoxazolyl, benzisothiazolyl, and  
 isoindazolyl;

alternatively, Y is selected from the following bicyclic heteroaryl ring systems:

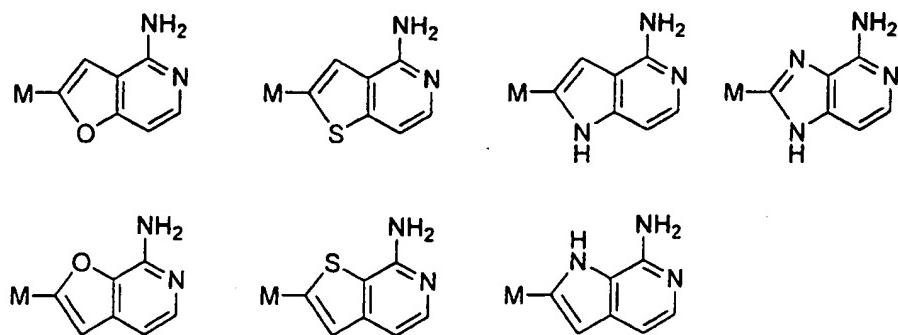


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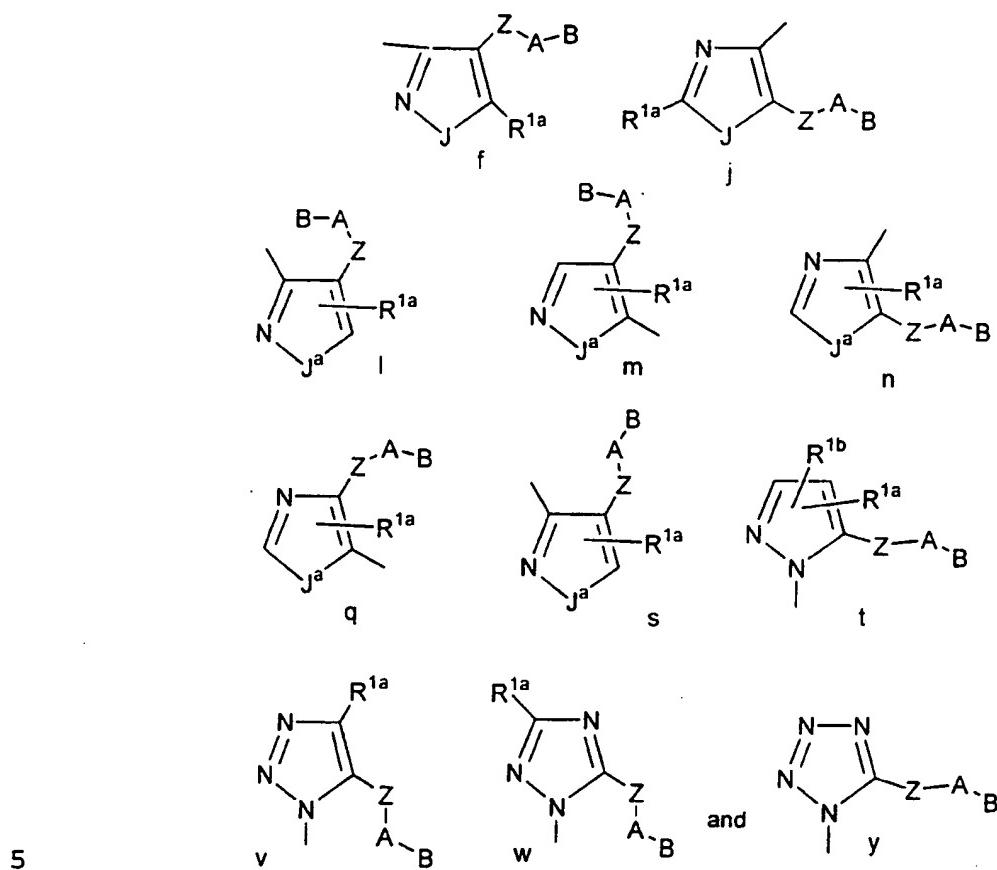
K is selected from O, S, NH, and N.

[3] In another embodiment, the present invention provides a novel compound selected

25 from the group:



M is selected from the group:



5

Z is  $\text{C}(\text{O})\text{CH}_2$  and  $\text{CONH}$ , provided that Z does not form a N-N bond with group A;

A is selected from phenyl, pyridyl, and pyrimidyl, and is substituted with 0-2  $\text{R}^4$ ; and,

10

B is selected from Y, X-Y, phenyl, pyrrolidino, morpholino, 1,2,3-triazolyl, and imidazolyl, and is substituted with 0-1  $\text{R}^{4a}$ ;

B is selected from: Y and X-Y;

X is selected from CH<sub>2</sub>, -C(O)-, and O;

Y is NR<sup>2</sup>R<sup>2a</sup> or CH<sub>2</sub>NR<sup>2</sup>R<sup>2a</sup>, provided that X-Y does not form an O-N bond;

5

alternatively, Y is selected from one of the following carbocyclic and heterocyclic systems which are substituted with 0-2 R<sup>4a</sup>;

phenyl, piperazinyl, pyridyl, pyrimidyl, morpholinyl, pyrrolidinyl, imidazolyl, and 1,2,3-triazolyl;

10

R<sup>2</sup>, at each occurrence, is selected from H, CF<sub>3</sub>, CH<sub>3</sub>, benzyl, and phenyl;

R<sup>2a</sup>, at each occurrence, is selected from H, CF<sub>3</sub>, CH<sub>3</sub>, CH(CH<sub>3</sub>)<sub>2</sub>, cyclopropylmethyl, benzyl, and phenyl;

15

alternatively, R<sup>2</sup> and R<sup>2a</sup> combine to form a ring system substituted with 0-2 R<sup>4b</sup>, the ring system being selected from pyrrolidinyl, piperazinyl and morpholino;

20

R<sup>4</sup>, at each occurrence, is selected from OH, (CH<sub>2</sub>)<sub>r</sub>OR<sup>2</sup>, Cl, F, C<sub>1-4</sub> alkyl, (CH<sub>2</sub>)<sub>r</sub>NR<sup>2</sup>R<sup>2a</sup>, and (CF<sub>2</sub>)<sub>r</sub>CF<sub>3</sub>;

R<sup>4a</sup> is selected from Cl, F, C<sub>1-4</sub> alkyl, CF<sub>3</sub>, (CH<sub>2</sub>)<sub>r</sub>NR<sup>2</sup>R<sup>2a</sup>, S(O)<sub>p</sub>R<sup>5</sup>, SO<sub>2</sub>NR<sup>2</sup>R<sup>2a</sup>, and 1-CF<sub>3</sub>-tetrazol-2-yl;

25

R<sup>4b</sup>, at each occurrence, is selected from OH, Cl, F, CH<sub>3</sub>, and CF<sub>3</sub>;

R<sup>5</sup>, at each occurrence, is selected from CF<sub>3</sub>, C<sub>1-6</sub> alkyl, phenyl, and benzyl;

R<sup>7</sup>, at each occurrence, is selected from H, CH<sub>3</sub>, and CH<sub>2</sub>CH<sub>3</sub>; and,

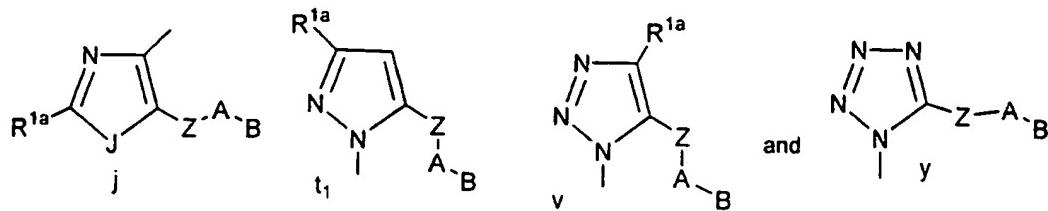
30

R<sup>8</sup>, at each occurrence, is selected from H and CH<sub>3</sub>.

[4] In another embodiment, the present invention provides a novel compound wherein:

35

M is selected from the group:



J is N;

5 R<sup>1a</sup> is absent or is -(CH<sub>2</sub>)<sub>r</sub>R<sup>1'</sup>;

R<sup>1'</sup> is selected from H, C<sub>1-3</sub> alkyl, F, Cl, -CN, CF<sub>3</sub>, (CH<sub>2</sub>)<sub>r</sub>OR<sup>2</sup>, NR<sup>2</sup>R<sup>2a</sup>, C(O)R<sup>2c</sup>,  
OC(O)R<sup>2</sup>, S(O)<sub>p</sub>R<sup>2b</sup>, NR<sup>2</sup>C(O)R<sup>2b</sup>, C(O)NR<sup>2</sup>R<sup>2a</sup>, SO<sub>2</sub>NR<sup>2</sup>R<sup>2a</sup>, C<sub>3-6</sub> carbocyclic  
10 residue substituted with 0-2 R<sup>4a</sup>, and 5-6 membered heterocyclic system containing  
from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted  
with 0-2 R<sup>4a</sup>;

A is selected from the group: phenyl, 2-pyridyl, 3-pyridyl, 2-pyrimidyl, 2-Cl-phenyl, 3-  
15 Cl-phenyl, 2-F-phenyl, 3-F-phenyl, 2-methylphenyl, 2-aminophenyl, and 2-  
methoxyphenyl; and,

B is selected from the group: 2-CF<sub>3</sub>-phenyl, 2-(aminosulfonyl)phenyl, 2-  
(methylaminosulfonyl)phenyl, 2-(dimethylaminosulfonyl)phenyl, 1-  
20 pyrrolidinocarbonyl, 2-(methylsulfonyl)phenyl, 2-(N,N-  
dimethylaminomethyl)phenyl, 2-(isopropylaminomethyl)phenyl, 2-  
(cyclopropylaminomethyl)phenyl, 2-(N-pyrrolidinylmethyl)phenyl, 2-(3-hydroxy-  
N-pyrrolidinylmethyl)phenyl, 4-morpholino, 2-(1'-CF<sub>3</sub>-tetrazol-2-yl)phenyl, 4-  
25 morpholinocarbonyl, 1-methyl-2-imidazolyl, 2-methyl-1-imidazolyl, 5-methyl-1-  
imidazolyl, 2-(N,N-dimethylaminomethyl)imidazolyl, 2-methylsulfonyl-1-  
imidazolyl and, 5-methyl-1,2,3-triazolyl.

In another embodiment, the present invention provides novel pharmaceutical compositions, comprising: a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of present invention or a pharmaceutically acceptable salt form thereof.

In another embodiment, the present invention provides a novel method for treating or preventing a thromboembolic disorder, comprising: administering to a patient in need thereof a therapeutically effective amount of a compound of the present invention or a pharmaceutically acceptable salt form thereof.

5

In another embodiment, the present invention provides novel compounds for use in therapy.

10

In another embodiment, the present invention provides the use of novel compounds for the manufacture of a medicament for the treatment of thrombosis or a disease mediated by factor Xa.

15

#### DEFINITIONS

The compounds herein described may have asymmetric centers. Compounds of the present invention containing an asymmetrically substituted atom may be isolated in optically active or racemic forms. It is well known in the art how to prepare optically active forms, such as by resolution of racemic forms or by synthesis from optically active starting materials. Many geometric isomers of olefins, C=N double bonds, and the like can also be present in the compounds described herein, and all such stable isomers are contemplated in the present invention. Cis and trans geometric isomers of the compounds of the present invention are described and may be isolated as a mixture of isomers or as separated isomeric forms. All chiral, diastereomeric, racemic forms and all geometric isomeric forms of a structure are intended, unless the specific stereochemistry or isomeric form is specifically indicated. All processes used to prepare compounds of the present invention and intermediates made therein are considered to be part of the present invention.

"Substituted" is intended to indicate that one or more hydrogens on the atom indicated in the expression using "substituted" is replaced with a selection from the indicated group(s), provided that the indicated atom's normal valency is not exceeded, and that the substitution results in a stable compound. When a substituent is keto (i.e., =O) group, then 2 hydrogens on the atom are replaced.

The present invention is intended to include all isotopes of atoms occurring in the present compounds. Isotopes include those atoms having the same atomic number but different mass numbers. By way of general example and without limitation, isotopes of hydrogen include tritium and deuterium. Isotopes of carbon include C-13 and C-14.

When any variable (e.g., R<sup>6</sup>) occurs more than one time in any constituent or formula for a compound, its definition at each occurrence is independent of its definition at every other occurrence. Thus, for example, if a group is shown to be substituted with 0-2 R<sup>6</sup>, then said group may optionally be substituted with up to two R<sup>6</sup> groups and R<sup>6</sup> at 5 each occurrence is selected independently from the definition of R<sup>6</sup>. Also, combinations of substituents and/or variables are permissible only if such combinations result in stable compounds.

When a bond to a substituent is shown to cross a bond connecting two atoms in a ring, then such substituent may be bonded to any atom on the ring. When a substituent is 10 listed without indicating the atom via which such substituent is bonded to the rest of the compound of a given formula, then such substituent may be bonded via any atom in such substituent. Combinations of substituents and/or variables are permissible only if such combinations result in stable compounds.

As used herein, "alkyl" or "alkylene" is intended to include both branched and 15 straight-chain saturated aliphatic hydrocarbon groups having the specified number of carbon atoms. C<sub>1-10</sub> alkyl (or alkylene), is intended to include C<sub>1</sub>, C<sub>2</sub>, C<sub>3</sub>, C<sub>4</sub>, C<sub>5</sub>, C<sub>6</sub>, C<sub>7</sub>, C<sub>8</sub>, C<sub>9</sub>, and C<sub>10</sub> alkyl groups. Examples of alkyl include, but are not limited to, methyl, ethyl, n-propyl, i-propyl, n-butyl, s-butyl, t-butyl, n-pentyl, and s-pentyl. "Haloalkyl" is intended to include both branched and straight-chain saturated aliphatic hydrocarbon 20 groups having the specified number of carbon atoms, substituted with 1 or more halogen (for example -C<sub>v</sub>F<sub>w</sub> where v = 1 to 3 and w = 1 to (2v+1)). Examples of haloalkyl include, but are not limited to, trifluoromethyl, trichloromethyl, pentafluoroethyl, and pentachloroethyl. "Alkoxy" represents an alkyl group as defined above with the indicated number of carbon atoms attached through an oxygen bridge. C<sub>1-10</sub> alkoxy, is intended to 25 include C<sub>1</sub>, C<sub>2</sub>, C<sub>3</sub>, C<sub>4</sub>, C<sub>5</sub>, C<sub>6</sub>, C<sub>7</sub>, C<sub>8</sub>, C<sub>9</sub>, and C<sub>10</sub> alkoxy groups. Examples of alkoxy include, but are not limited to, methoxy, ethoxy, n-propoxy, i-propoxy, n-butoxy, s-butoxy, t-butoxy, n-pentoxyl, and s-pentoxyl. "Cycloalkyl" is intended to include saturated ring groups, such as cyclopropyl, cyclobutyl, or cyclopentyl. C<sub>3-7</sub> cycloalkyl, is intended to include C<sub>3</sub>, C<sub>4</sub>, C<sub>5</sub>, C<sub>6</sub>, and C<sub>7</sub> cycloalkyl groups. "Alkenyl" or "alkenylene" 30 is intended to include hydrocarbon chains of either a straight or branched configuration and one or more unsaturated carbon-carbon bonds which may occur in any stable point along the chain, such as ethenyl and propenyl. C<sub>2-10</sub> alkenyl (or alkenylene), is intended to include C<sub>2</sub>, C<sub>3</sub>, C<sub>4</sub>, C<sub>5</sub>, C<sub>6</sub>, C<sub>7</sub>, C<sub>8</sub>, C<sub>9</sub>, and C<sub>10</sub> alkenyl groups. "Alkynyl" or 35 "alkynylene" is intended to include hydrocarbon chains of either a straight or branched configuration and one or more triple carbon-carbon bonds which may occur in any stable point along the chain, such as ethynyl and propynyl. C<sub>2-10</sub> alkynyl (or alkynylene), is intended to include C<sub>2</sub>, C<sub>3</sub>, C<sub>4</sub>, C<sub>5</sub>, C<sub>6</sub>, C<sub>7</sub>, C<sub>8</sub>, C<sub>9</sub>, and C<sub>10</sub> alkynyl groups.

"Halo" or "halogen" as used herein refers to fluoro, chloro, bromo, and iodo; and "counterion" is used to represent a small, negatively charged species such as chloride, bromide, hydroxide, acetate, and sulfate.

As used herein, "carbocycle" or "carbocyclic group" is intended to mean any stable  
5 3, 4, 5, 6, or 7-membered monocyclic or bicyclic or 7, 8, 9, 10, 11, 12, or 13-membered  
bicyclic or tricyclic, any of which may be saturated, partially unsaturated, or aromatic.  
Examples of such carbocycles include, but are not limited to, cyclopropyl, cyclobutyl,  
cyclopentyl, cyclohexyl, cycloheptyl, adamantyl, cyclooctyl, [3.3.0]bicyclooctane,  
[4.3.0]bicyclononane, [4.4.0]bicyclodecane, [2.2.2]bicyclooctane, fluorenyl, phenyl,  
10 naphthyl, indanyl, adamantyl, and tetrahydronaphthyl.

As used herein, the term "heterocycle" or "heterocyclic group" is intended to mean  
a stable 5, 6, or 7-membered monocyclic or bicyclic or 7, 8, 9, or 10-membered bicyclic  
heterocyclic ring which is saturated, partially unsaturated or unsaturated (aromatic), and  
which consists of carbon atoms and 1, 2, 3, or 4 heteroatoms independently selected from  
15 the group consisting of N, NH, O and S and including any bicyclic group in which any of  
the above-defined heterocyclic rings is fused to a benzene ring. The nitrogen and sulfur  
heteroatoms may optionally be oxidized. The heterocyclic ring may be attached to its  
pendant group at any heteroatom or carbon atom which results in a stable structure. The  
heterocyclic rings described herein may be substituted on carbon or on a nitrogen atom if  
20 the resulting compound is stable. A nitrogen in the heterocycle may optionally be  
quaternized. It is preferred that when the total number of S and O atoms in the heterocycle  
exceeds 1, then these heteroatoms are not adjacent to one another. It is preferred that the  
total number of S and O atoms in the heterocycle is not more than 1. As used herein, the  
term "aromatic heterocyclic group" or "heteroaryl" is intended to mean a stable 5, 6, or 7-  
25 membered monocyclic or bicyclic or 7, 8, 9, or 10-membered bicyclic heterocyclic  
aromatic ring which consists of carbon atoms and 1, 2, 3, or 4 heterotams independently  
selected from the group consisting of N, NH, O and S. It is to be noted that total number  
of S and O atoms in the aromatic heterocycle is not more than 1.

Examples of heterocycles include, but are not limited to, acridinyl, azocinyl,  
30 benzimidazolyl, benzofuranyl, benzothiofuranyl, benzothiophenyl, benzoxazolyl,  
benzthiazolyl, benztriazolyl, benztetrazolyl, benzisoxazolyl, benzisothiazolyl,  
benzimidazolinyl, carbazolyl, 4a*H*-carbazolyl, carbolinyl, chromanyl, chromenyl,  
cinnolinyl, decahydroquinolinyl, 2*H*,6*H*-1,5,2-dithiazinyl,  
dihydrofuro[2,3-*b*]tetrahydrofuran, furanyl, furazanyl, imidazolidinyl, imidazolinyl,  
35 imidazolyl, 1*H*-indazolyl, indolenyl, indolinyl, indolizinyl, indolyl, 3*H*-indolyl,  
isobenzofuranyl, isochromanyl, isoindazolyl, isoindolinyl, isoindolyl, isoquinolinyl,  
isothiazolyl, isoxazolyl, methylenedioxyphenyl, morpholinyl, naphthyridinyl,  
octahydroisoquinolinyl, oxadiazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-

oxadiazolyl, 1,3,4-oxadiazolyl, oxazolidinyl, oxazolyl, oxazolidinyl, pyrimidinyl, phenanthridinyl, phenanthrolinyl, phenazinyl, phenothiazinyl, phenoxythiinyl, phenoxazinyl, phthalazinyl, piperazinyl, piperidinyl, piperidonyl, 4-piperidonyl, piperonyl, pteridinyl, purinyl, pyranyl, pyrazinyl, pyrazolidinyl, pyrazolinyl, pyrazolyl, pyridazinyl, 5 pyridooxazole, pyridoimidazole, pyridothiazole, pyridinyl, pyridyl, pyrimidinyl, pyrrolidinyl, pyrrolinyl, 2H-pyrrolyl, pyrrolyl, quinazolinyl, quinolinyl, 4H-quinolizinyl, quinoxalinyl, quinuclidinyl, tetrahydrofuranyl, tetrahydroisoquinolinyl, tetrahydroquinolinyl, tetrazolyl, 6H-1,2,5-thiadiazinyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, thianthrenyl, thiazolyl, thienyl, 10 thienothiazolyl, thienooxazolyl, thienoimidazolyl, thiophenyl, triazinyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1,2,5-triazolyl, 1,3,4-triazolyl, and xanthenyl. Also included are fused ring and spiro compounds containing, for example, the above heterocycles.

The phrase "pharmaceutically acceptable" is employed herein to refer to those compounds, materials, compositions, and/or dosage forms which are, within the scope of sound medical judgment, suitable for use in contact with the tissues of human beings and animals without excessive toxicity, irritation, allergic response, or other problem or complication, commensurate with a reasonable benefit/risk ratio.

As used herein, "pharmaceutically acceptable salts" refer to derivatives of the disclosed compounds wherein the parent compound is modified by making acid or base salts thereof. Examples of pharmaceutically acceptable salts include, but are not limited to, mineral or organic acid salts of basic residues such as amines; alkali or organic salts of acidic residues such as carboxylic acids; and the like. The pharmaceutically acceptable salts include the conventional non-toxic salts or the quaternary ammonium salts of the parent compound formed, for example, from non-toxic inorganic or organic acids. For 20 example, such conventional non-toxic salts include those derived from inorganic acids such as hydrochloric, hydrobromic, sulfuric, sulfamic, phosphoric, nitric and the like; and the salts prepared from organic acids such as acetic, propionic, succinic, glycolic, stearic, lactic, malic, tartaric, citric, ascorbic, pamoic, maleic, hydroxymaleic, phenylacetic, glutamic, benzoic, salicylic, sulfanilic, 2-acetoxybenzoic, fumaric, toluenesulfonic, 25 30 methanesulfonic, ethane disulfonic, oxalic, isethionic, and the like.

The pharmaceutically acceptable salts of the present invention can be synthesized from the parent compound which contains a basic or acidic moiety by conventional chemical methods. Generally, such salts can be prepared by reacting the free acid or base forms of these compounds with a stoichiometric amount of the appropriate base or acid in water or in an organic solvent, or in a mixture of the two; generally, nonaqueous media like ether, ethyl acetate, ethanol, isopropanol, or acetonitrile are preferred. Lists of 35 suitable salts are found in *Remington's Pharmaceutical Sciences*, 17th ed., Mack

Publishing Company, Easton, PA, 1985, p. 1418, the disclosure of which is hereby incorporated by reference.

"Prodrugs" are intended to include any covalently bonded carriers which release the active parent drug according to formula (I) *in vivo* when such prodrug is administered to a mammalian subject. Prodrugs of a compound of formula (I) are prepared by modifying functional groups present in the compound in such a way that the modifications are cleaved, either in routine manipulation or *in vivo*, to the parent compound. Prodrugs include compounds of formula (I) wherein a hydroxy, amino, or sulphydryl group is bonded to any group that, when the prodrug or compound of formula (I) is administered to a mammalian subject, cleaves to form a free hydroxyl, free amino, or free sulphydryl group, respectively. Examples of prodrugs include, but are not limited to, acetate, formate and benzoate derivatives of alcohol and amine functional groups in the compounds of formula (I), and the like.

"Stable compound" and "stable structure" are meant to indicate a compound that is sufficiently robust to survive isolation to a useful degree of purity from a reaction mixture, and formulation into an efficacious therapeutic agent.

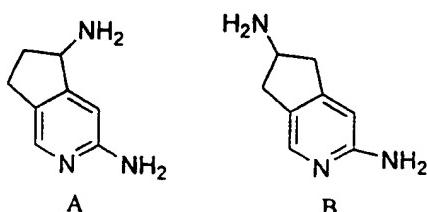
"Therapeutically effective amount" is intended to include an amount of a compound of the present invention or an amount of the combination of compounds claimed effective to inhibit factor Xa or thrombin or treat diseases related to factor Xa or thrombin in a host. The combination of compounds is preferably a synergistic combination. Synergy, as described for example by Chou and Talalay, *Adv. Enzyme Regul.* 22:27-55 (1984), occurs when the effect (in this case, inhibition of factor Xa or thrombin) of the compounds when administered in combination is greater than the additive effect of the compounds when administered alone as a single agent. In general, a synergistic effect is most clearly demonstrated at suboptimal concentrations of the compounds. Synergy can be in terms of lower cytotoxicity, increased antiviral effect, or some other beneficial effect of the combination compared with the individual components.

### SYNTHESIS

The compounds of the present invention can be prepared in a number of ways known to one skilled in the art of organic synthesis. The compounds of the present invention can be synthesized using the methods described below, together with synthetic methods known in the art of synthetic organic chemistry, or by variations thereon as appreciated by those skilled in the art. Preferred methods include, but are not limited to, those described below. The reactions are performed in a solvent appropriate to the reagents and materials employed and suitable for the transformations being effected. It will be understood by those skilled in the art of organic synthesis that the functionality present on the molecule should be consistent with the transformations proposed. This will

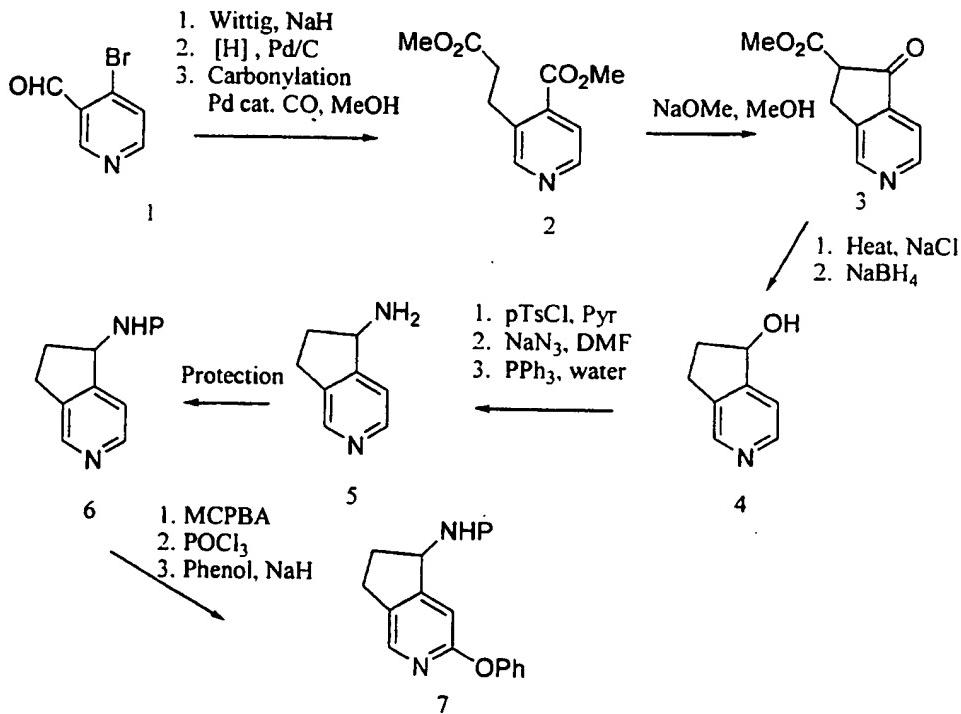
sometimes require a judgment to modify the order of the synthetic steps or to select one particular process scheme over another in order to obtain a desired compound of the invention. It will also be recognized that another major consideration in the planning of any synthetic route in this field is the judicious choice of the protecting group used for protection of the reactive functional groups present in the compounds described in this invention. An authoritative account describing the many alternatives to the trained practitioner is Greene and Wuts (*Protective Groups In Organic Synthesis*, Wiley and Sons, 1991). All references cited herein are hereby incorporated in their entirety herein by reference.

10 Compounds wherein rings D-E are A or B, shown below:



can be prepared via the methodology outlined in Scheme I below.

15 Scheme I



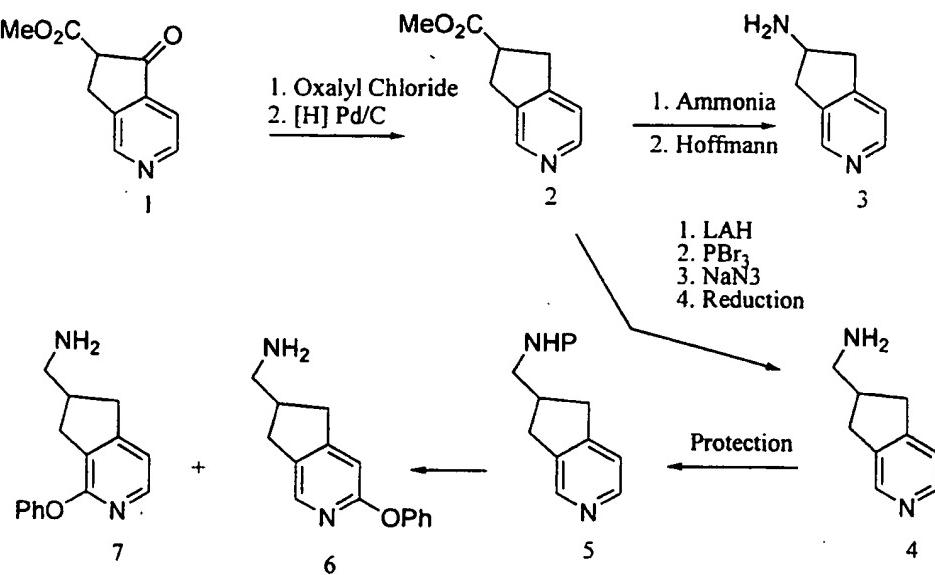
20 Removal of the amino protecting group followed by further manipulation can afford key starting materials wherein the amino is a benzylamine or alpha-amino acid or all analogs stated earlier. The starting material can also be obtained from intermediate 4

via an SN<sub>2</sub> type displacement of the o-tosylate. Decarboxylation of intermediate 3 affords the ketone analog that also can be further manipulated to afford additional starting materials D-E. Coupling of analogs such as intermediate 7 via standard techniques followed by displacement of the phenoxy pyridine via standard techniques known to those in the art should afford the compounds of formula A. Chiral compounds can be separated via chiral HPLC techniques or by co-crystallization methods with a known chiral precursor.

Compounds wherein D-E is of formula B as shown above can be prepared as shown in Scheme II.

10

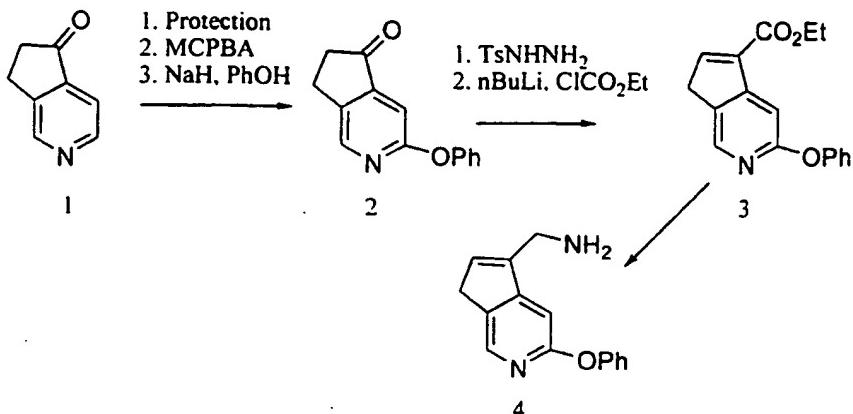
Scheme II



Via this scheme amino intermediates such as 3(B) and phenoxy analogs 6 and 7 can be obtained easily via the methods previously described. These intermediates can be further coupled to requisite precursors followed by conversion of the phenoxy group to an amino via standard techniques to afford the amino-pyridyl compounds of formula 1-3.

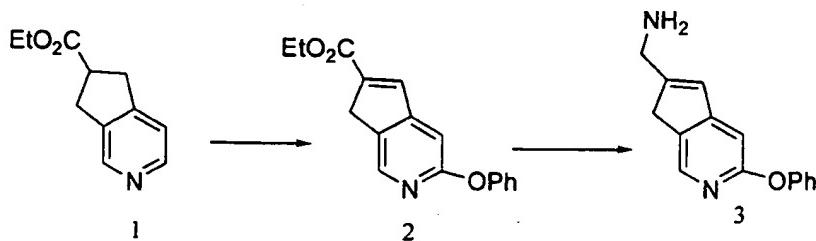
The unsaturated analogs can be prepared according to Scheme III.

### Scheme III



Intermediate 3 can be further manipulated to afford other D-E intermediates via methods described previously. In a similar fashion the other unsaturated analog can be prepared via Scheme IV shown below

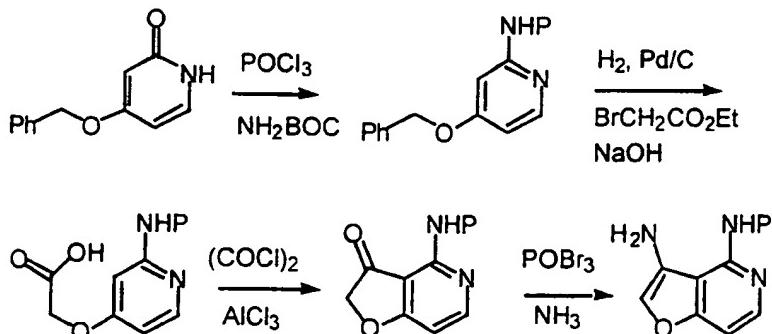
### Scheme IV



10

Scheme V describes the preparation of 3-aminobenzofuran intermediates.

**Scheme V**

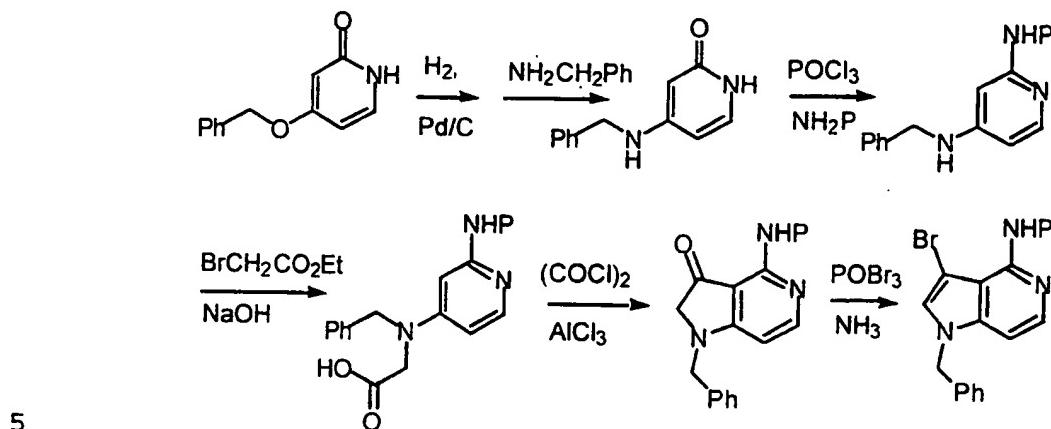


15

4-benzyloxy-2(1H)-pyridone (available from Aldrich) can be converted to the aminopyridine derivative using standard procedures known to the practitioners of the art. Debenzylation, coupling with bromoethylacetate, followed by basic hydrolysis affords an intermediate that undergoes the Friedel-Crafts acylation.

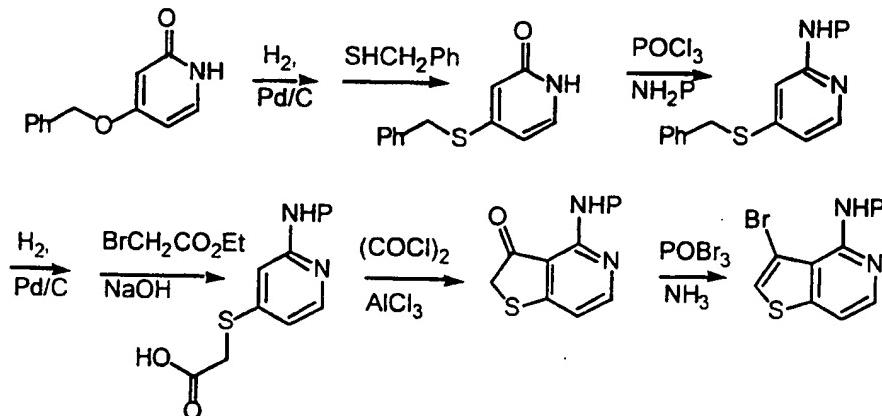
Scheme VI describes the preparation of indole intermediates.

Scheme VI



Scheme VII describes the preparation of 3-halo-4-aminobenzothiophene intermediates.

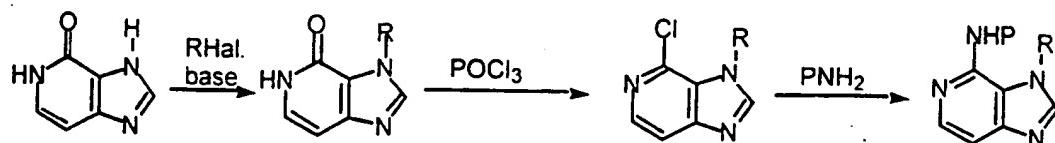
10 Scheme VII



Scheme VIII describes the preparation of 1-substituted-7-amino-azabenzimidazole intermediates.

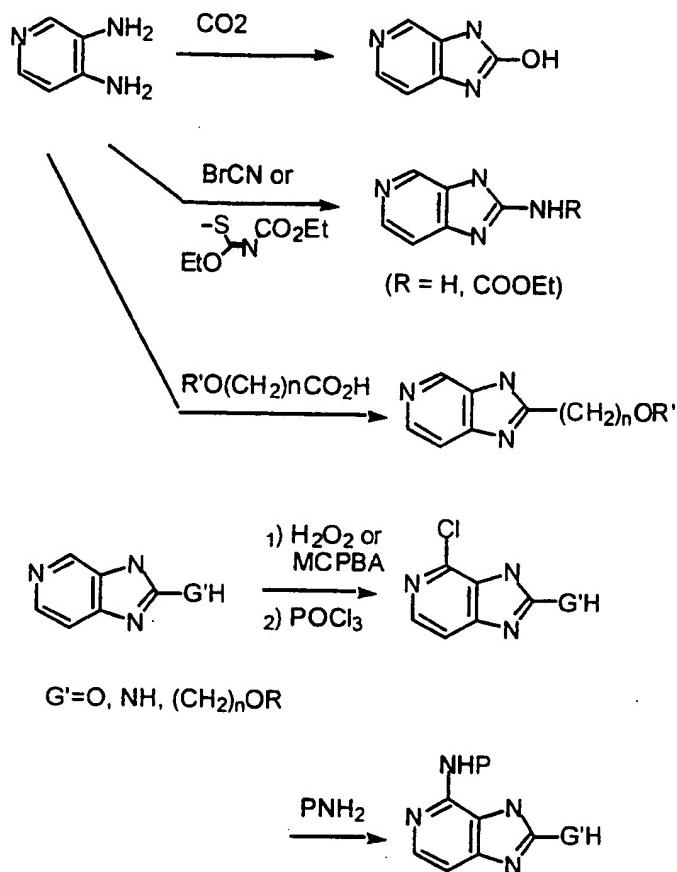
15

Scheme IX



Scheme X describes the preparation of 2-substituted-7-amino-azabenzimidazole intermediates.

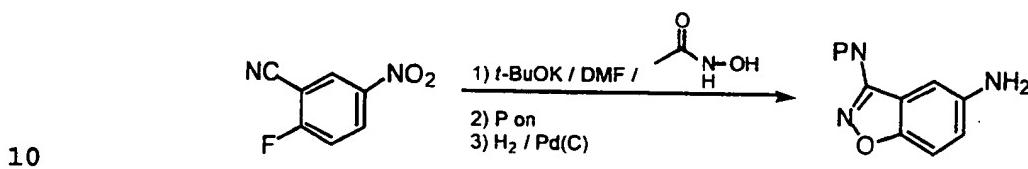
Scheme X



5

Scheme XI describes the preparation of 5-aminobenzisoxazole intermediates.

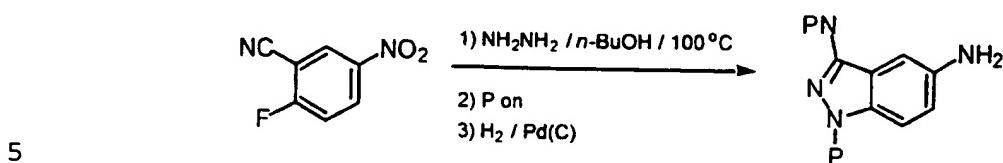
Scheme XI



10  
Synthesis of 5-aminobenzisoxazoles in which the 3-position may be a protected amine could be accomplished starting from the commercially available 3-cyano-4-fluorobenzene. Displacement of fluorine with acetohydroxamic acid under basic conditions followed by ring closure by subsequent addition to the nitrile would yield the benzisoxazole core. Suitable protection and reduction of the aryl nitro group would provide the desired compound.

Scheme XII describes the preparation of 5-aminoindazole intermediates.

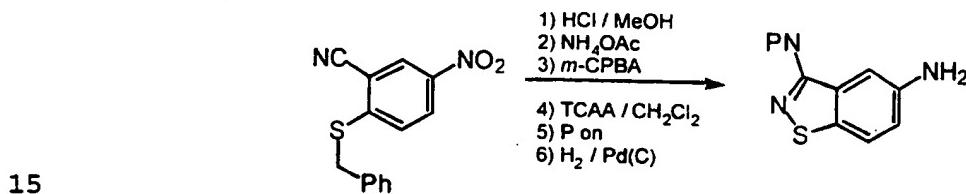
Scheme XII



Synthesis of 5-aminoindazoles in which the 3-position may be a protected amine could be accomplished starting from the commercially available 3-cyano-4-fluorobenzonitrile. Displacement of fluorine with hydrazine followed by ring closure by 10 subsequent addition to the nitrile would yield the indazole core. Suitable protection and reduction of the aryl nitro group would provide the desired compound.

Scheme XIII describes the preparation of 5-aminobenzisothiazole intermediates.

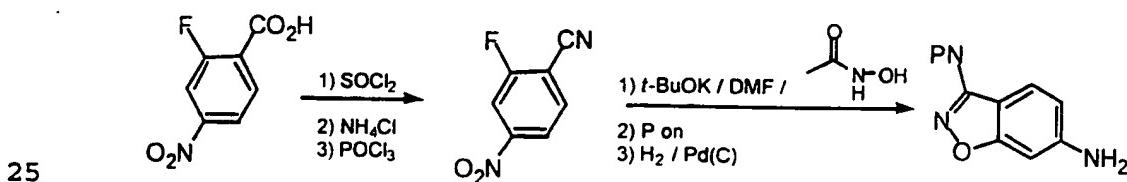
Scheme XIII



Synthesis of 5-aminobenzisothiazoles in which the 3-position may be a protected amine could be accomplished starting from the commercially available 2-benzylthio-5-nitrobenzonitrile. Conversion of the aryl nitrile to benzamidine, sulfoxide formation and 20 ring closure/debenzylation would yield the benzisothiazole core. Suitable protection and reduction of the aryl nitro group would provide the desired compound.

Scheme XIV describes the preparation of 6-aminobenzisoxazole intermediates.

Scheme XIV

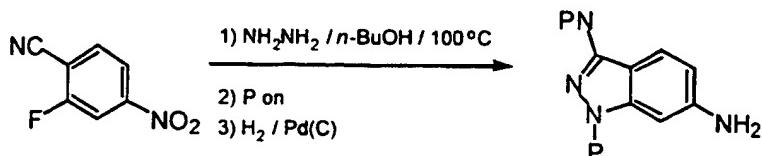


Synthesis of 6-aminobenzisoxazoles in which the 3-position may be a protected amine could be accomplished starting from commercially available 2-fluoro-4-nitrobenzoic acid. Conversion of carboxylic acid to nitrile via standard manipulations

would give 2-fluoro-4-nitrobenzonitrile. Displacement of fluorine with acetohydroxamic acid under basic conditions followed by ring closure by subsequent addition to the nitrile would yield the benzisoxazole core. Suitable protection and reduction of the aryl nitro group would provide the desired compound.

5 Scheme XV describes the preparation of 5-aminoindazole intermediates.

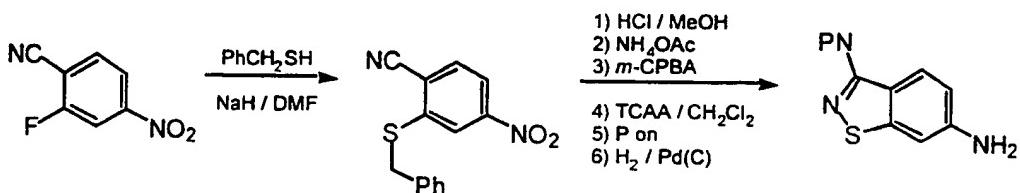
Scheme XV



10 Synthesis of 5-aminoindazoles in which the 3-position may be a protected amine could be accomplished starting from 2-fluoro-4-nitrobenzonitrile whose synthesis is described elsewhere in this patent. Displacement of fluorine with hydrazine followed by ring closure by subsequent addition to the nitrile would yield the indazole core. Suitable protection and reduction of the aryl nitro group would provide the desired compound.

15 Scheme XVI describes the preparation of 6-aminobenzisothiazole intermediates.

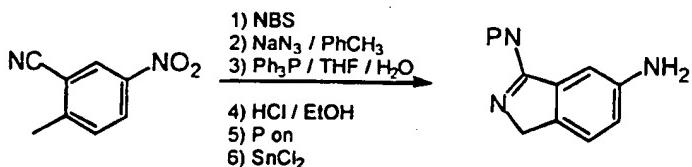
Scheme XVI



20 Synthesis of 6-aminobenzisothiazoles in which the 3-position may be a protected amine could be accomplished starting from 2-fluoro-4-nitrobenzonitrile whose synthesis is described elsewhere in this patent. Displacement of fluorine with benzylthio anion yields 2-benzylthio-4-nitrobenzonitrile. Conversion of the aryl nitrile to benzamidine, sulfoxide formation and ring closure/debenzylation would yield the benzisothiazole core. Suitable protection and reduction of the aryl nitro group would provide the desired compound.

25 Scheme XVII describes the preparation of 6-aminoisoindole intermediates.

Scheme XVII

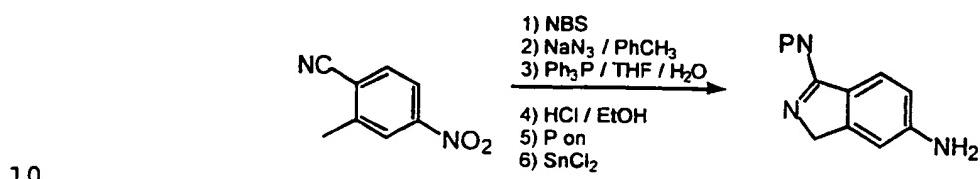


Synthesis of 6-aminoisoindoles in which the 1-position may be a protected amine could be accomplished starting from commercially available 2-cyano-4-nitrotoluene.

- 5      Bromination of tolyl methyl to give a benzyl bromide followed by displacement with azide and reduction to benzylamine would cyclize to the isoindole core. Suitable protection and reduction of the aryl nitro group would provide the desired compound.

Scheme XVIII describes the preparation of 5-aminoisoindole intermediates.

Scheme XVIII

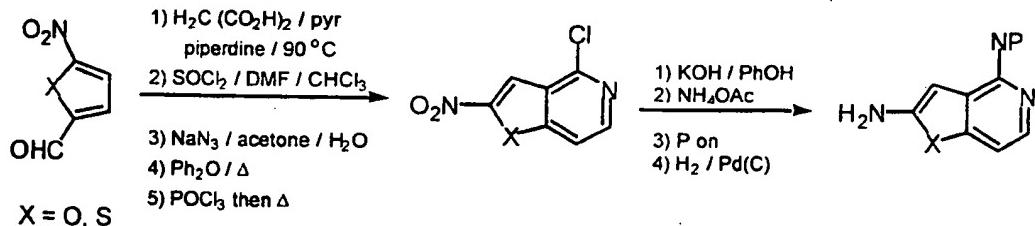


Synthesis of 5-aminoisoindoles in which the 1-position may be a protected amine could be accomplished starting from commercially available 2-cyano-5-nitrotoluene.

- 15      Bromination of tolyl methyl to give a benzyl bromide followed by displacement with azide and reduction to benzylamine would cyclize to the isoindole core. Suitable protection and reduction of the aryl nitro group would provide the desired compound.

Scheme XIX describes the preparation of 2-aminoindole derivatives a intermediates.

20      Scheme XIX

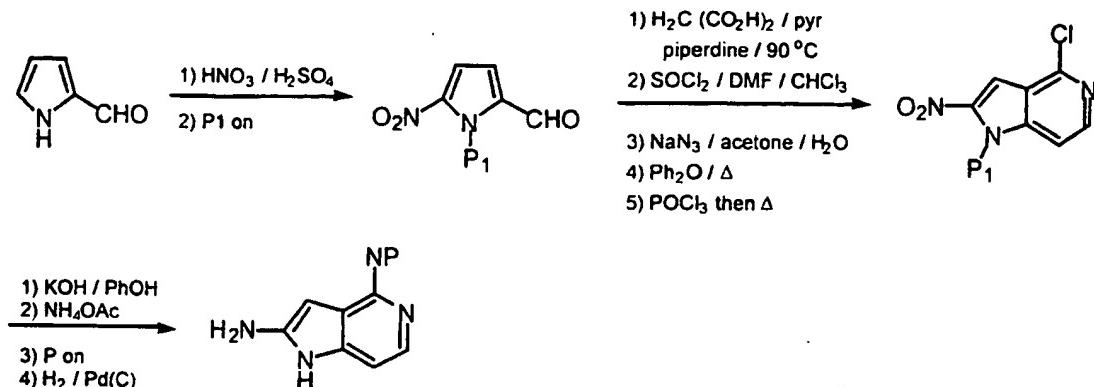


Synthesis of the desired compounds in which the 4-position may be a protected amine could be accomplished starting from the commercially available furan or thiophene.

- 25      Using literature methods (*J. Med. Chem.* 1989, 32, 1147) one could obtain the 2-nitro-4-chloro-furo or thieno<3,2-c>pyridine. Displacement of the 4-chloro with phenoxide then conversion to 4-amino followed by suitable protection and reduction of the aryl nitro group would provide the desired compound.

30      Scheme XX describes the preparation of 2-amino-1-H-pyrrolo[3,2-c]pyridine intermediates.

Scheme XX



Synthesis of 2-amino-1-*H*-pyrrolo[3,2-c]pyridine in which the 4-position may be a protected amine could be accomplished starting from the commercially available pyrrole-2-carboxaldehyde. Nitration and protection of pyrrole nitrogen with P1 would afford the nitro/aldehyde intermediate. Using literature methods (*J. Med. Chem.* 1989, 32, 1147) one could obtain the 2-nitro-4-chloro-pyrrolo[3,2-c]pyridine. Displacement of the 4-chloro with phenoxide then conversion to 4-amino followed by suitable protection and reduction of the aryl nitro group would provide the desired compound.

BOC-Protected aminobenzisoxazolemethylbromide can be reacted with the lithium salt of acetonitrile to give the nitrile. The nitrile can be further reacted in a similar fashion as in WO96/16940 to give the desired compound.

The compounds of the present invention have a group "A-B" attached to ring M. Preparations of some of the rings M and the "A-B" moieties can follow the same methods described in WO97/23212, WO97/30971, WO97/38984, WO98/01428, WO98/06694, WO98/28269, WO98/28282, WO98/57934, WO98/57937, and WO98/57951, the contents of which are incorporated herein by reference. Preparations of the some of the rings M can also follow the same methods described in WO98/28269, WO98/57951, and WO98/57937, the contents of which are incorporated herein by reference. Compounds of Formula I can be prepared by reacting an appropriate 6-5 system described above with an appropriate intermediate to either form the desired ring M or to be attached to desired ring M. The above noted publications describe conditions for coupling ring M and a desired 6-5 system.

Other features of the invention will become apparent in the course of the following descriptions of exemplary embodiments which are given for illustration of the invention and are not intended to be limiting thereof.

Utility

The compounds of this invention are useful as anticoagulants for the treatment or prevention of thromboembolic disorders in mammals. The term "thromboembolic disorders" as used herein includes arterial or venous cardiovascular or cerebrovascular thromboembolic disorders, including, for example, unstable angina, first or recurrent myocardial infarction, ischemic sudden death, transient ischemic attack, stroke, atherosclerosis, venous thrombosis, deep vein thrombosis, thrombophlebitis, arterial embolism, coronary and cerebral arterial thrombosis, cerebral embolism, kidney embolisms, and pulmonary embolisms. The anticoagulant effect of compounds of the present invention is believed to be due to inhibition of factor Xa, thrombin, or both.

The effectiveness of compounds of the present invention as inhibitors of factor Xa can be determined using purified human factor Xa and synthetic substrate. The rate of factor Xa hydrolysis of chromogenic substrate S2222 (Kabi Pharmacia, Franklin, OH) can be measured both in the absence and presence of compounds of the present invention. Hydrolysis of the substrate resulted in the release of pNA, which can be monitored spectrophotometrically by measuring the increase in absorbance at 405 nM. A decrease in the rate of absorbance change at 405 nm in the presence of inhibitor is indicative of enzyme inhibition. The results of this assay are expressed as inhibitory constant,  $K_i$ .

Factor Xa determinations were made in 0.10 M sodium phosphate buffer, pH 7.5, containing 0.20 M NaCl, and 0.5 % PEG 8000. The Michaelis constant,  $K_m$ , for substrate hydrolysis can be determined at 25°C using the method of Lineweaver and Burk. Values of  $K_i$  were determined by allowing 0.2-0.5 nM human factor Xa (Enzyme Research Laboratories, South Bend, IN) to react with the substrate (0.20 mM-1 mM) in the presence of inhibitor. Reactions were allowed to go for 30 minutes and the velocities (rate of absorbance change vs time) were measured in the time frame of 25-30 minutes. The following relationship can be used to calculate  $K_i$  values:

$$(v_0 - v_s)/v_s = I/(K_i (1 + S/K_m))$$

where:

- $v_0$  is the velocity of the control in the absence of inhibitor;
- $v_s$  is the velocity in the presence of inhibitor;
- $I$  is the concentration of inhibitor;
- $K_i$  is the dissociation constant of the enzyme:inhibitor complex;
- $S$  is the concentration of substrate;
- $K_m$  is the Michaelis constant.

Compounds tested in the above assay are considered to be active if they exhibit a  $K_i$  of  $\leq 10 \mu\text{M}$ . Preferred compounds of the present invention have  $K_i$ 's of  $\leq 1 \mu\text{M}$ . More preferred compounds of the present invention have  $K_i$ 's of  $\leq 0.1 \mu\text{M}$ . Even more preferred

compounds of the present invention have  $K_i$ 's of  $\leq 0.01 \mu\text{M}$ . Still more preferred compounds of the present invention have  $K_i$ 's of  $\leq 0.001 \mu\text{M}$ .

The antithrombotic effect of compounds of the present invention can be demonstrated in a rabbit arterio-venous (AV) shunt thrombosis model. In this model, 5 rabbits weighing 2-3 kg anesthetized with a mixture of xylazine (10 mg/kg i.m.) and ketamine (50 mg/kg i.m.) are used. A saline-filled AV shunt device is connected between the femoral arterial and the femoral venous cannulae. The AV shunt device consists of a piece of 6-cm tygon tubing which contains a piece of silk thread. Blood will flow from the femoral artery via the AV-shunt into the femoral vein. The exposure of flowing blood to a 10 silk thread will induce the formation of a significant thrombus. After forty minutes, the shunt is disconnected and the silk thread covered with thrombus is weighed. Test agents or vehicle will be given (i.v., i.p., s.c., or orally) prior to the opening of the AV shunt. The percentage inhibition of thrombus formation is determined for each treatment group. The 15 ID<sub>50</sub> values (dose which produces 50% inhibition of thrombus formation) are estimated by linear regression.

The compounds of formula (I) may also be useful as inhibitors of serine proteases, notably human thrombin, plasma kallikrein and plasmin. Because of their inhibitory action, these compounds are indicated for use in the prevention or treatment of physiological reactions, blood coagulation and inflammation, catalyzed by the aforesaid 20 class of enzymes. Specifically, the compounds have utility as drugs for the treatment of diseases arising from elevated thrombin activity such as myocardial infarction, and as reagents used as anticoagulants in the processing of blood to plasma for diagnostic and other commercial purposes.

Compounds of the present invention can be shown to be direct acting inhibitors of 25 the serine protease thrombin by their ability to inhibit the cleavage of small molecule substrates by thrombin in a purified system. *In vitro* inhibition constants were determined by the method described by Kettner et al. in *J. Biol. Chem.* 265, 18289-18297 (1990), herein incorporated by reference. In these assays, thrombin-mediated hydrolysis of the chromogenic substrate S2238 (Helena Laboratories, Beaumont, TX) can be monitored 30 spectrophotometrically. Addition of an inhibitor to the assay mixture results in decreased absorbance and is indicative of thrombin inhibition. Human thrombin (Enzyme Research Laboratories, Inc., South Bend, IN) at a concentration of 0.2 nM in 0.10 M sodium phosphate buffer, pH 7.5, 0.20 M NaCl, and 0.5% PEG 6000, can be incubated with various substrate concentrations ranging from 0.20 to 0.02 mM. After 25 to 30 minutes of 35 incubation, thrombin activity can be assayed by monitoring the rate of increase in absorbance at 405 nm which arises owing to substrate hydrolysis. Inhibition constants were derived from reciprocal plots of the reaction velocity as a function of substrate concentration using the standard method of Lineweaver and Burk.

Compounds tested in the above assay are considered to be active if they exhibit a  $K_i$  of  $\leq 10 \mu\text{M}$ . Preferred compounds of the present invention have  $K_i$ 's of  $\leq 1 \mu\text{M}$ . More preferred compounds of the present invention have  $K_i$ 's of  $\leq 0.1 \mu\text{M}$ . Even more preferred compounds of the present invention have  $K_i$ 's of  $\leq 0.01 \mu\text{M}$ . Still more preferred compounds of the present invention have  $K_i$ 's of  $\leq 0.001 \mu\text{M}$ .

5 The compounds of the present invention can be administered alone or in combination with one or more additional therapeutic agents. These include other anti-coagulant or coagulation inhibitory agents, anti-platelet or platelet inhibitory agents, thrombin inhibitors, or thrombolytic or fibrinolytic agents.

10 The compounds are administered to a mammal in a therapeutically effective amount. By "therapeutically effective amount" it is meant an amount of a compound of Formula I that, when administered alone or in combination with an additional therapeutic agent to a mammal, is effective to prevent or ameliorate the thromboembolic disease condition or the progression of the disease.

15 By "administered in combination" or "combination therapy" it is meant that the compound of Formula I and one or more additional therapeutic agents are administered concurrently to the mammal being treated. When administered in combination each component may be administered at the same time or sequentially in any order at different points in time. Thus, each component may be administered separately but sufficiently closely in time so as to provide the desired therapeutic effect. Other anticoagulant agents (or coagulation inhibitory agents) that may be used in combination with the compounds of this invention include warfarin and heparin, as well as other factor Xa inhibitors such as those described in the publications identified above under Background of the Invention.

20 The term anti-platelet agents (or platelet inhibitory agents), as used herein, denotes agents that inhibit platelet function such as by inhibiting the aggregation, adhesion or granular secretion of platelets. Such agents include, but are not limited to, the various known non-steroidal anti-inflammatory drugs (NSAIDS) such as aspirin, ibuprofen, naproxen, sulindac, indomethacin, mefenamate, droxicam, diclofenac, sulfinpyrazone, and piroxicam, including pharmaceutically acceptable salts or prodrugs thereof. Of the 25 NSAIDS, aspirin (acetylsalicylic acid or ASA), and piroxicam are preferred. Other suitable anti-platelet agents include ticlopidine, including pharmaceutically acceptable salts or prodrugs thereof. Ticlopidine is also a preferred compound since it is known to be gentle on the gastro-intestinal tract in use. Still other suitable platelet inhibitory agents 30 include IIb/IIIa antagonists, thromboxane-A2-receptor antagonists and thromboxane-A2-synthetase inhibitors, as well as pharmaceutically acceptable salts or prodrugs thereof.

35 The term thrombin inhibitors (or anti-thrombin agents), as used herein, denotes inhibitors of the serine protease thrombin. By inhibiting thrombin, various thrombin-mediated processes, such as thrombin-mediated platelet activation (that is, for

example, the aggregation of platelets, and/or the granular secretion of plasminogen activator inhibitor-1 and/or serotonin) and/or fibrin formation are disrupted. A number of thrombin inhibitors are known to one of skill in the art and these inhibitors are contemplated to be used in combination with the present compounds. Such inhibitors include, but are not limited to, boroarginine derivatives, boropeptides, heparins, hirudin and argatroban, including pharmaceutically acceptable salts and prodrugs thereof.

5 Boroarginine derivatives and boropeptides include N-acetyl and peptide derivatives of boronic acid, such as C-terminal a-aminoboronic acid derivatives of lysine, ornithine, arginine, homoarginine and corresponding isothiouronium analogs thereof. The term hirudin, as used herein, includes suitable derivatives or analogs of hirudin, referred to herein as hirulogs, such as disulfatohirudin. Boropeptide thrombin inhibitors include compounds described in Kettner et al., U.S. 5,187,157 and EP 293 881 A2, the disclosures of which are hereby incorporated herein by reference. Other suitable boroarginine derivatives and boropeptide thrombin inhibitors include those disclosed in WO92/07869

10 and EP 471,651 A2, the disclosures of which are hereby incorporated herein by reference.

15

The term thrombolytics (or fibrinolytic) agents (or thrombolytics or fibrinolytics), as used herein, denotes agents that lyse blood clots (thrombi). Such agents include tissue plasminogen activator, anistreplase, urokinase or streptokinase, including pharmaceutically acceptable salts or prodrugs thereof. The term anistreplase, as used herein, refers to anisoylated plasminogen streptokinase activator complex, as described, for example, in European Patent Application No. 028,489, the disclosure of which is hereby incorporated herein by reference herein. The term urokinase, as used herein, is intended to denote both dual and single chain urokinase, the latter also being referred to herein as prourokinase.

20 25 Administration of the compounds of Formula I of the invention in combination with such additional therapeutic agent, may afford an efficacy advantage over the compounds and agents alone, and may do so while permitting the use of lower doses of each. A lower dosage minimizes the potential of side effects, thereby providing an increased margin of safety.

30 35 The compounds of the present invention are also useful as standard or reference compounds, for example as a quality standard or control, in tests or assays involving the inhibition of factor Xa. Such compounds may be provided in a commercial kit, for example, for use in pharmaceutical research involving factor Xa. For example, a compound of the present invention could be used as a reference in an assay to compare its known activity to a compound with an unknown activity. This would ensure the experimenter that the assay was being performed properly and provide a basis for comparison, especially if the test compound was a derivative of the reference compound.

When developing new assays or protocols, compounds according to the present invention could be used to test their effectiveness.

The compounds of the present invention may also be used in diagnostic assays involving factor Xa. For example, the presence of factor Xa in an unknown sample could be determined by addition of chromogenic substrate S2222 to a series of solutions containing test sample and optionally one of the compounds of the present invention. If production of pNA is observed in the solutions containing test sample, but not in the presence of a compound of the present invention, then one would conclude factor Xa was present.

10

#### Dosage and Formulation

The compounds of this invention can be administered in such oral dosage forms as tablets, capsules (each of which includes sustained release or timed release formulations), pills, powders, granules, elixirs, tinctures, suspensions, syrups, and emulsions. They may also be administered in intravenous (bolus or infusion), intraperitoneal, subcutaneous, or intramuscular form, all using dosage forms well known to those of ordinary skill in the pharmaceutical arts. They can be administered alone, but generally will be administered with a pharmaceutical carrier selected on the basis of the chosen route of administration and standard pharmaceutical practice.

20 The dosage regimen for the compounds of the present invention will, of course, vary depending upon known factors, such as the pharmacodynamic characteristics of the particular agent and its mode and route of administration; the species, age, sex, health, medical condition, and weight of the recipient; the nature and extent of the symptoms; the kind of concurrent treatment; the frequency of treatment; the route of administration, the renal and hepatic function of the patient, and the effect desired. A physician or 25 veterinarian can determine and prescribe the effective amount of the drug required to prevent, counter, or arrest the progress of the thromboembolic disorder.

By way of general guidance, the daily oral dosage of each active ingredient, when used for the indicated effects, will range between about 0.001 to 1000 mg/kg of body 30 weight, preferably between about 0.01 to 100 mg/kg of body weight per day, and most preferably between about 1.0 to 20 mg/kg/day. Intravenously, the most preferred doses will range from about 1 to about 10 mg/kg/minute during a constant rate infusion. Compounds of this invention may be administered in a single daily dose, or the total daily dosage may be administered in divided doses of two, three, or four times daily.

35 Compounds of this invention can be administered in intranasal form via topical use of suitable intranasal vehicles, or via transdermal routes, using transdermal skin patches. When administered in the form of a transdermal delivery system, the dosage

administration will, of course, be continuous rather than intermittent throughout the dosage regimen.

The compounds are typically administered in admixture with suitable pharmaceutical diluents, excipients, or carriers (collectively referred to herein as pharmaceutical carriers) suitably selected with respect to the intended form of administration, that is, oral tablets, capsules, elixirs, syrups and the like, and consistent with conventional pharmaceutical practices.

For instance, for oral administration in the form of a tablet or capsule, the active drug component can be combined with an oral, non-toxic, pharmaceutically acceptable, inert carrier such as lactose, starch, sucrose, glucose, methyl cellulose, magnesium stearate, dicalcium phosphate, calcium sulfate, mannitol, sorbitol and the like; for oral administration in liquid form, the oral drug components can be combined with any oral, non-toxic, pharmaceutically acceptable inert carrier such as ethanol, glycerol, water, and the like. Moreover, when desired or necessary, suitable binders, lubricants, disintegrating agents, and coloring agents can also be incorporated into the mixture. Suitable binders include starch, gelatin, natural sugars such as glucose or beta-lactose, corn sweeteners, natural and synthetic gums such as acacia, tragacanth, or sodium alginate, carboxymethylcellulose, polyethylene glycol, waxes, and the like. Lubricants used in these dosage forms include sodium oleate, sodium stearate, magnesium stearate, sodium benzoate, sodium acetate, sodium chloride, and the like. Disintegrators include, without limitation, starch, methyl cellulose, agar, bentonite, xanthan gum, and the like.

The compounds of the present invention can also be administered in the form of liposome delivery systems, such as small unilamellar vesicles, large unilamellar vesicles, and multilamellar vesicles. Liposomes can be formed from a variety of phospholipids, such as cholesterol, stearylamine, or phosphatidylcholines.

Compounds of the present invention may also be coupled with soluble polymers as targetable drug carriers. Such polymers can include polyvinylpyrrolidone, pyran copolymer, polyhydroxypropylmethacrylamide-phenol, polyhydroxyethylaspartamidephenol, or polyethyleneoxide-polylysine substituted with palmitoyl residues. Furthermore, the compounds of the present invention may be coupled to a class of biodegradable polymers useful in achieving controlled release of a drug, for example, polylactic acid, polyglycolic acid, copolymers of polylactic and polyglycolic acid, polyepsilon caprolactone, polyhydroxy butyric acid, polyorthoesters, polyacetals, polydihydropyrans, polycyanoacylates, and crosslinked or amphipathic block copolymers of hydrogels.

Dosage forms (pharmaceutical compositions) suitable for administration may contain from about 1 milligram to about 100 milligrams of active ingredient per dosage

unit. In these pharmaceutical compositions the active ingredient will ordinarily be present in an amount of about 0.5-95% by weight based on the total weight of the composition.

Gelatin capsules may contain the active ingredient and powdered carriers, such as lactose, starch, cellulose derivatives, magnesium stearate, stearic acid, and the like.

- 5 Similar diluents can be used to make compressed tablets. Both tablets and capsules can be manufactured as sustained release products to provide for continuous release of medication over a period of hours. Compressed tablets can be sugar coated or film coated to mask any unpleasant taste and protect the tablet from the atmosphere, or enteric coated for selective disintegration in the gastrointestinal tract.

- 10 Liquid dosage forms for oral administration can contain coloring and flavoring to increase patient acceptance.

In general, water, a suitable oil, saline, aqueous dextrose (glucose), and related sugar solutions and glycols such as propylene glycol or polyethylene glycols are suitable carriers for parenteral solutions. Solutions for parenteral administration preferably contain  
15 a water soluble salt of the active ingredient, suitable stabilizing agents, and if necessary, buffer substances. Antioxidizing agents such as sodium bisulfite, sodium sulfite, or ascorbic acid, either alone or combined, are suitable stabilizing agents. Also used are citric acid and its salts and sodium EDTA. In addition, parenteral solutions can contain preservatives, such as benzalkonium chloride, methyl- or propyl-paraben, and  
20 chlorobutanol.

Suitable pharmaceutical carriers are described in Remington's Pharmaceutical Sciences, Mack Publishing Company, a standard reference text in this field.

Representative useful pharmaceutical dosage-forms for administration of the compounds of this invention can be illustrated as follows:

25 Capsules

A large number of unit capsules can be prepared by filling standard two-piece hard gelatin capsules each with 100 milligrams of powdered active ingredient, 150 milligrams of lactose, 50 milligrams of cellulose, and 6 milligrams magnesium stearate.

Soft Gelatin Capsules

- 30 A mixture of active ingredient in a digestable oil such as soybean oil, cottonseed oil or olive oil may be prepared and injected by means of a positive displacement pump into gelatin to form soft gelatin capsules containing 100 milligrams of the active ingredient. The capsules should be washed and dried.

Tablets

- 35 Tablets may be prepared by conventional procedures so that the dosage unit is 100 milligrams of active ingredient, 0.2 milligrams of colloidal silicon dioxide, 5 milligrams of magnesium stearate, 275 milligrams of microcrystalline cellulose, 11

milligrams of starch and 98.8 milligrams of lactose. Appropriate coatings may be applied to increase palatability or delay absorption.

Injectable

A parenteral composition suitable for administration by injection may be  
5 prepared by stirring 1.5% by weight of active ingredient in 10% by volume propylene glycol and water. The solution should be made isotonic with sodium chloride and sterilized.

Suspension

An aqueous suspension can be prepared for oral administration so that each 5  
10 mL contain 100 mg of finely divided active ingredient, 200 mg of sodium carboxymethyl cellulose, 5 mg of sodium benzoate, 1.0 g of sorbitol solution, U.S.P., and 0.025 mL of vanillin.

Where the compounds of this invention are combined with other anticoagulant agents, for example, a daily dosage may be about 0.1 to 100 milligrams of the compound  
15 of Formula I and about 1 to 7.5 milligrams of the second anticoagulant, per kilogram of patient body weight. For a tablet dosage form, the compounds of this invention generally may be present in an amount of about 5 to 10 milligrams per dosage unit, and the second anti-coagulant in an amount of about 1 to 5 milligrams per dosage unit.

Where the compounds of Formula I are administered in combination with an anti-platelet agent, by way of general guidance, typically a daily dosage may be about 0.01 to 25 milligrams of the compound of Formula I and about 50 to 150 milligrams of the anti-platelet agent, preferably about 0.1 to 1 milligrams of the compound of Formula I and about 1 to 3 milligrams of antiplatelet agents, per kilogram of patient body weight.

Where the compounds of Formula I are administered in combination with a thrombolytic agent, typically a daily dosage may be about 0.1 to 1 milligrams of the compound of Formula I, per kilogram of patient body weight and, in the case of the thrombolytic agents, the usual dosage of the thrombolytic agent when administered alone may be reduced by about 70-80% when administered with a compound of Formula I.

Where two or more of the foregoing second therapeutic agents are administered  
30 with the compound of Formula I, generally the amount of each component in a typical daily dosage and typical dosage form may be reduced relative to the usual dosage of the agent when administered alone, in view of the additive or synergistic effect of the therapeutic agents when administered in combination.

Particularly when provided as a single dosage unit, the potential exists for a  
35 chemical interaction between the combined active ingredients. For this reason, when the compound of Formula I and a second therapeutic agent are combined in a single dosage unit they are formulated such that although the active ingredients are combined in a single dosage unit, the physical contact between the active ingredients is minimized (that is,

reduced). For example, one active ingredient may be enteric coated. By enteric coating one of the active ingredients, it is possible not only to minimize the contact between the combined active ingredients, but also, it is possible to control the release of one of these components in the gastrointestinal tract such that one of these components is not released  
5 in the stomach but rather is released in the intestines. One of the active ingredients may also be coated with a material which effects a sustained-release throughout the gastrointestinal tract and also serves to minimize physical contact between the combined active ingredients. Furthermore, the sustained-released component can be additionally enteric coated such that the release of this component occurs only in the intestine. Still  
10 another approach would involve the formulation of a combination product in which the one component is coated with a sustained and/or enteric release polymer, and the other component is also coated with a polymer such as a lowviscosity grade of hydroxypropyl methylcellulose (HPMC) or other appropriate materials as known in the art, in order to further separate the active components. The polymer coating serves to form an additional  
15 barrier to interaction with the other component.

These as well as other ways of minimizing contact between the components of combination products of the present invention, whether administered in a single dosage form or administered in separate forms but at the same time by the same manner, will be readily apparent to those skilled in the art, once armed with the present disclosure.

20

The following tables contain representative examples of the present invention. Each entry in each table is intended to be paired with each formulae at the start of the table. For example, example 1 of Table 1 is intended to be paired with each of the formulae shown in Table 1. Example 1 of Table 2 is intended to be paired with each of the formulae shown in Table 2.

5 The following nomenclature is intended for group A in the following tables.

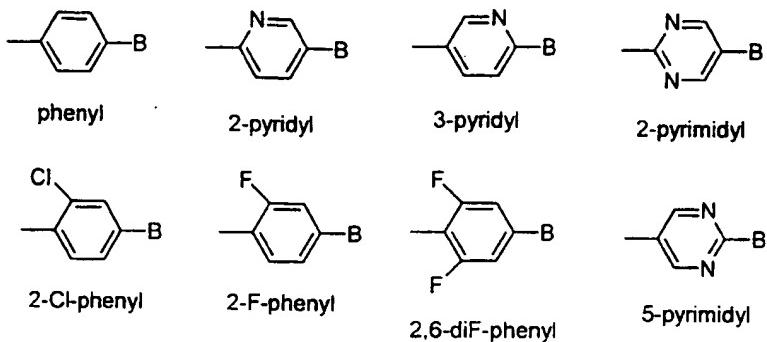
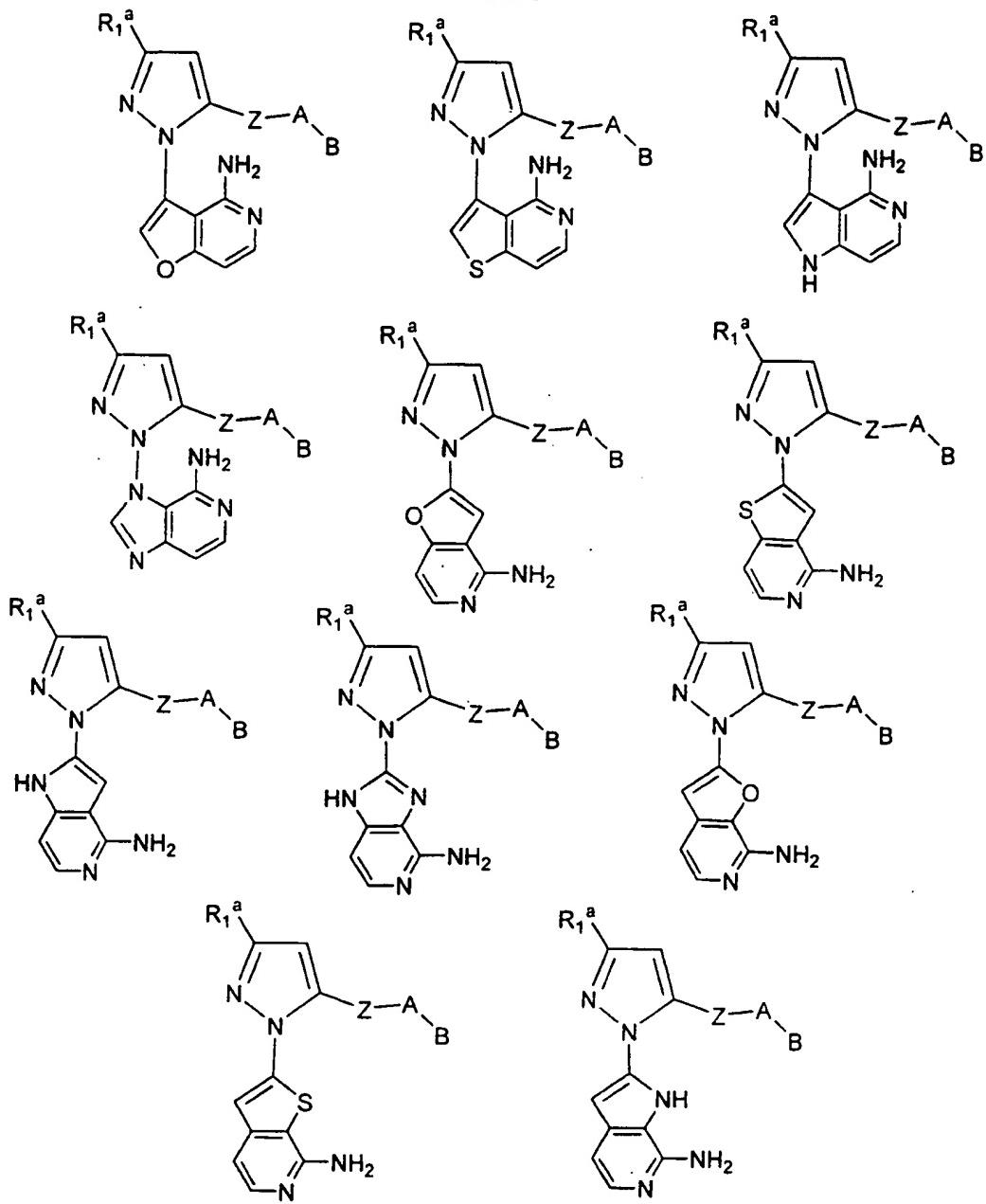
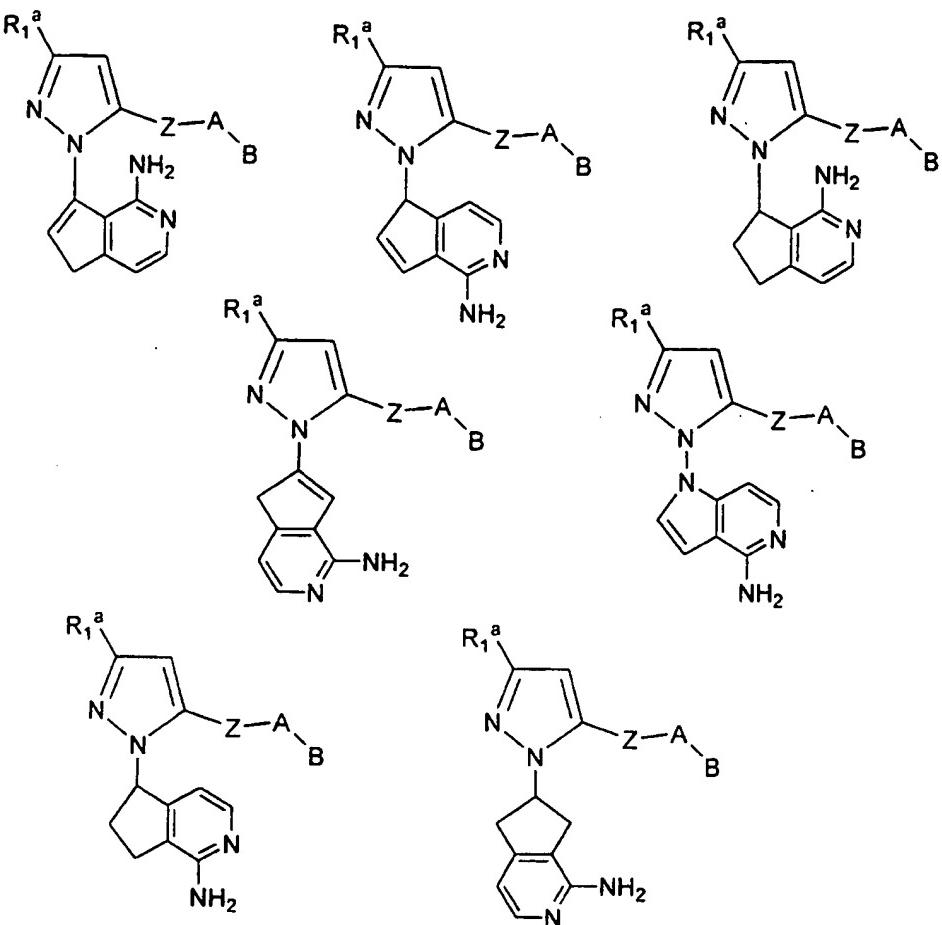
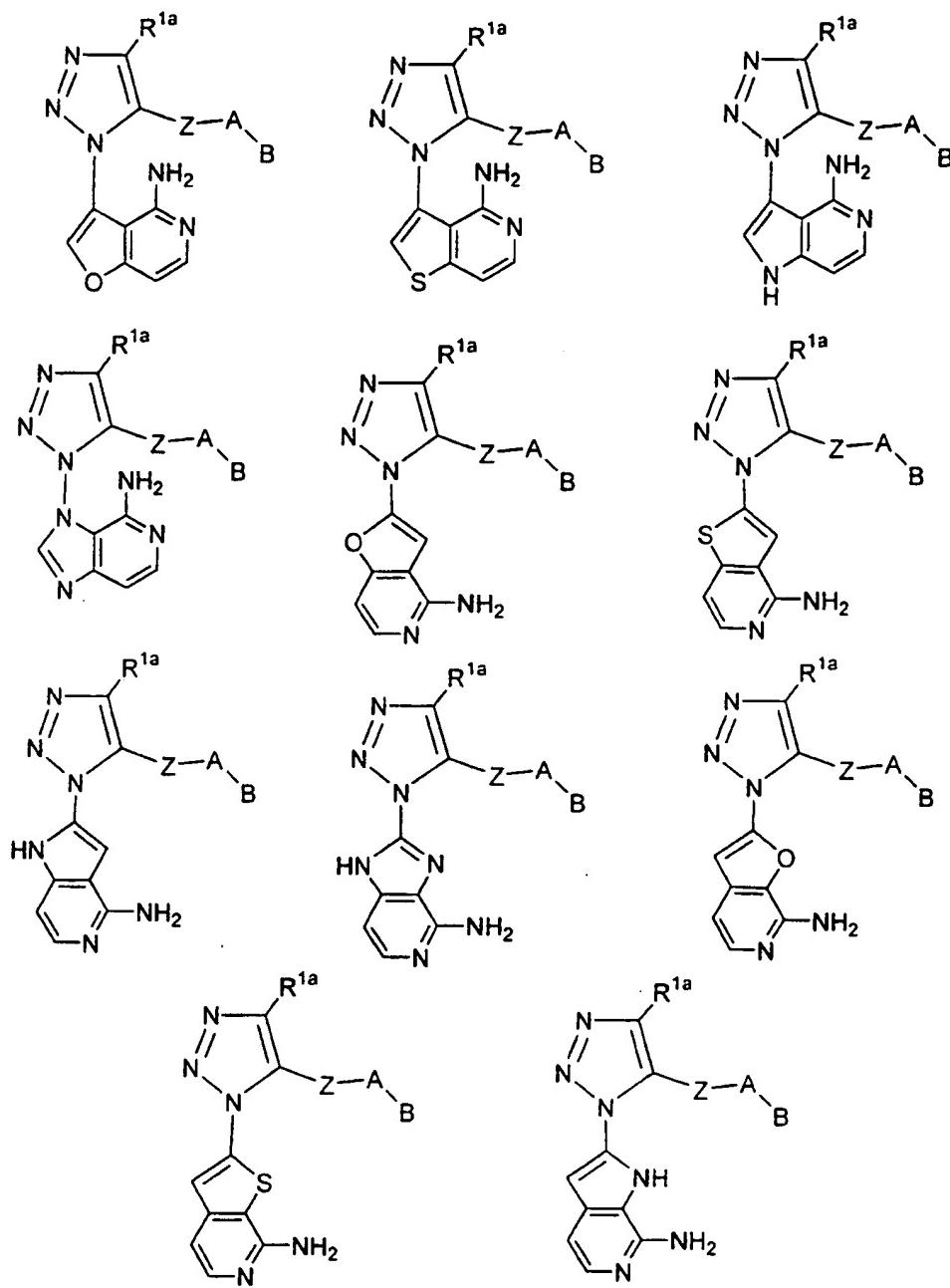
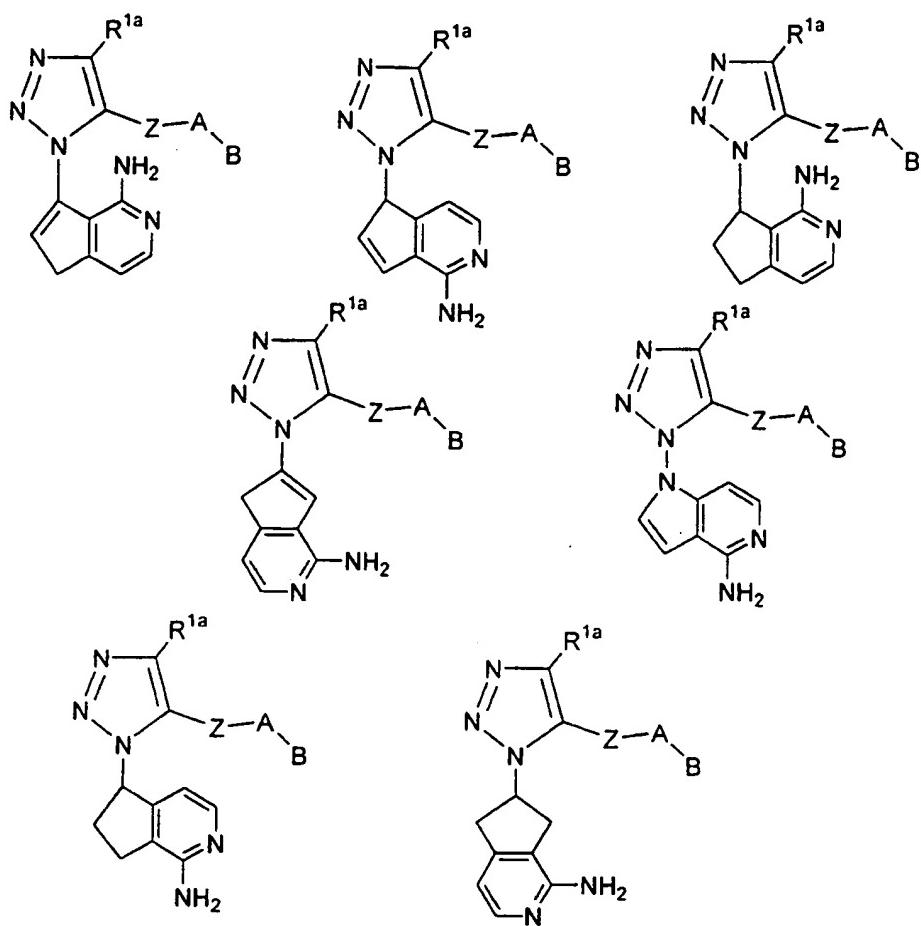


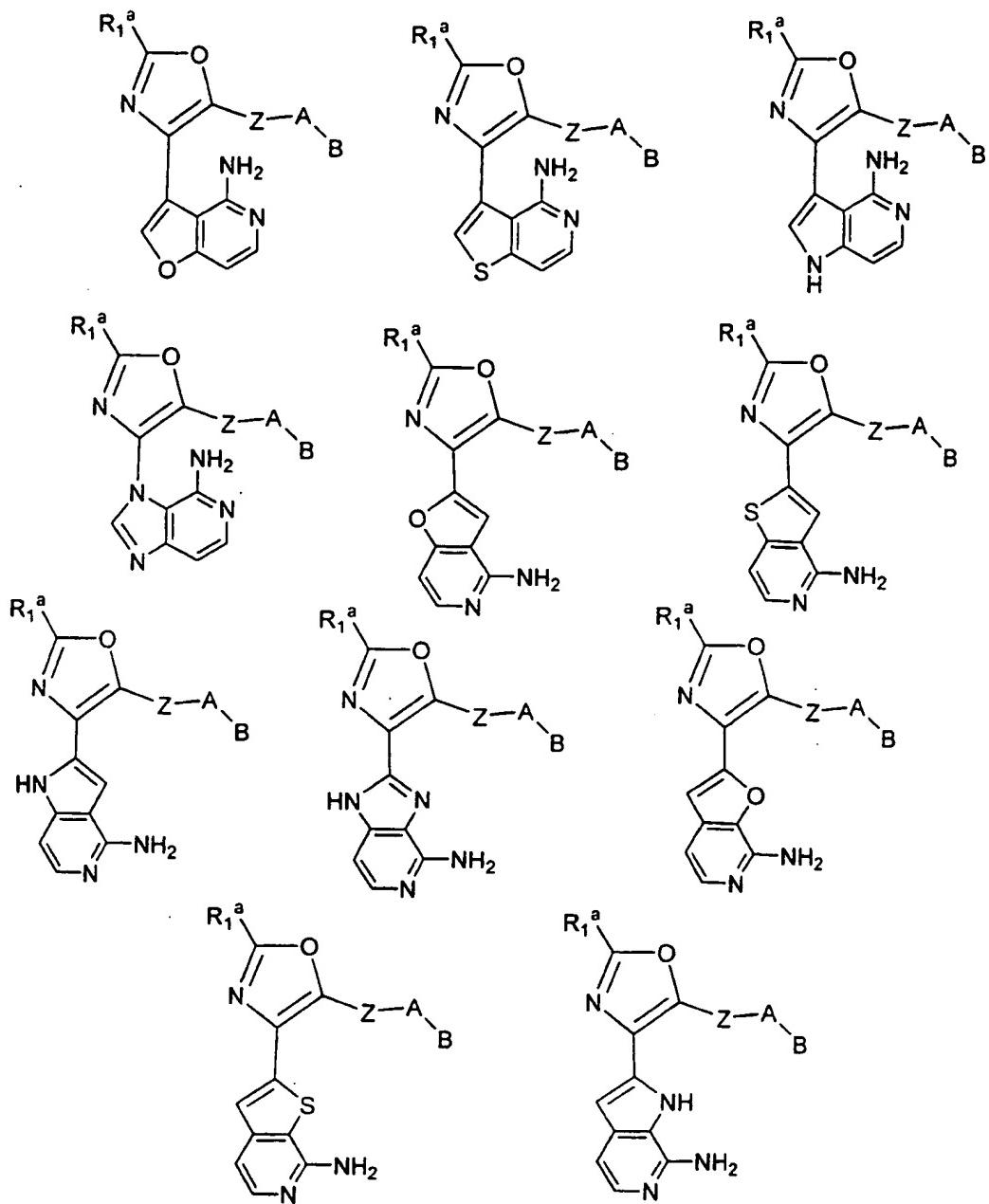
Table 1

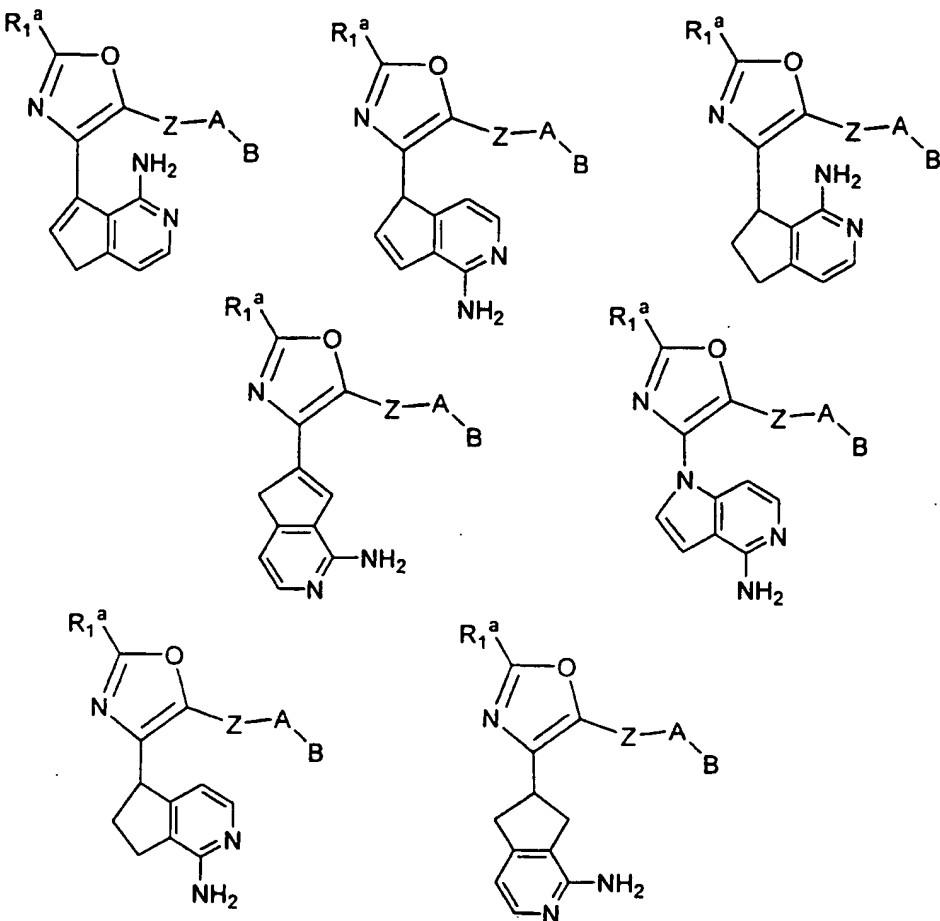


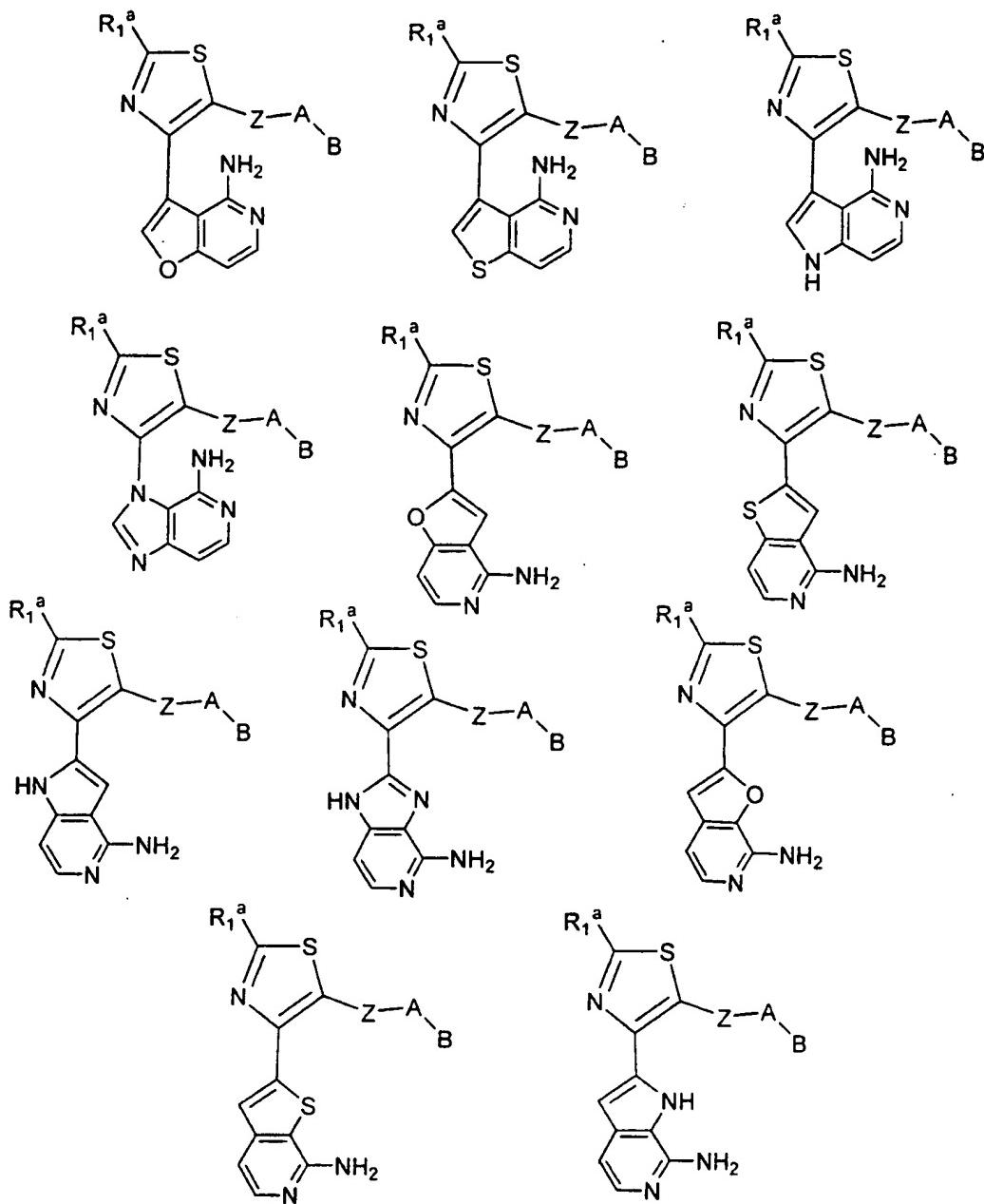


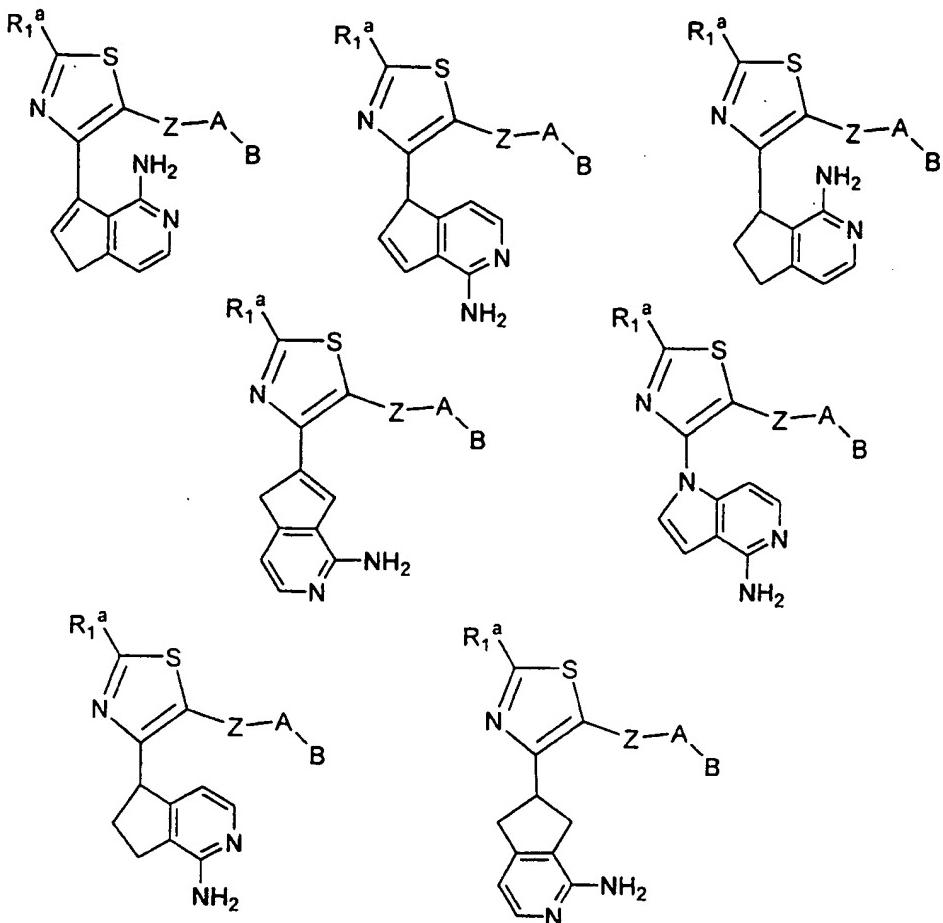


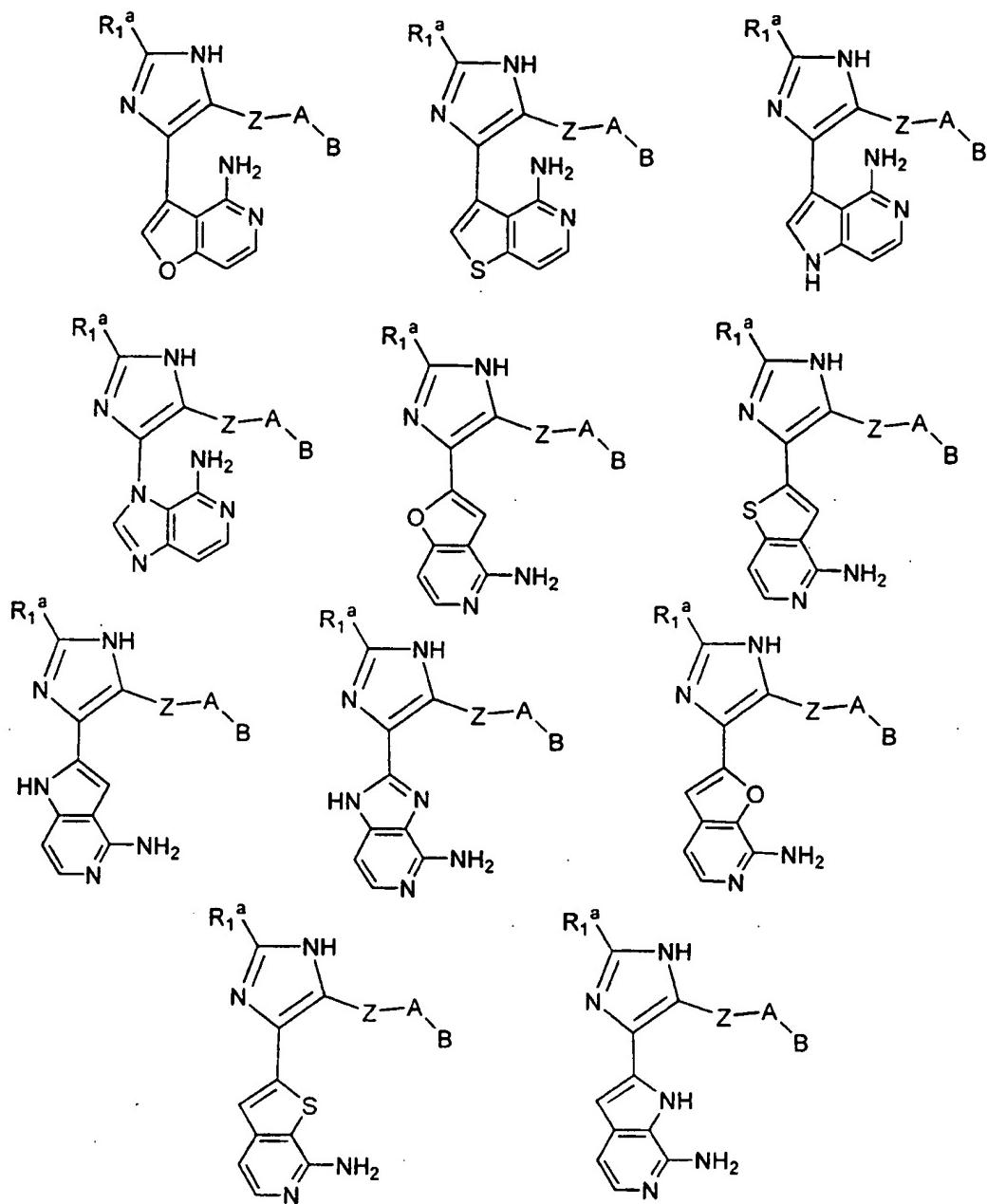


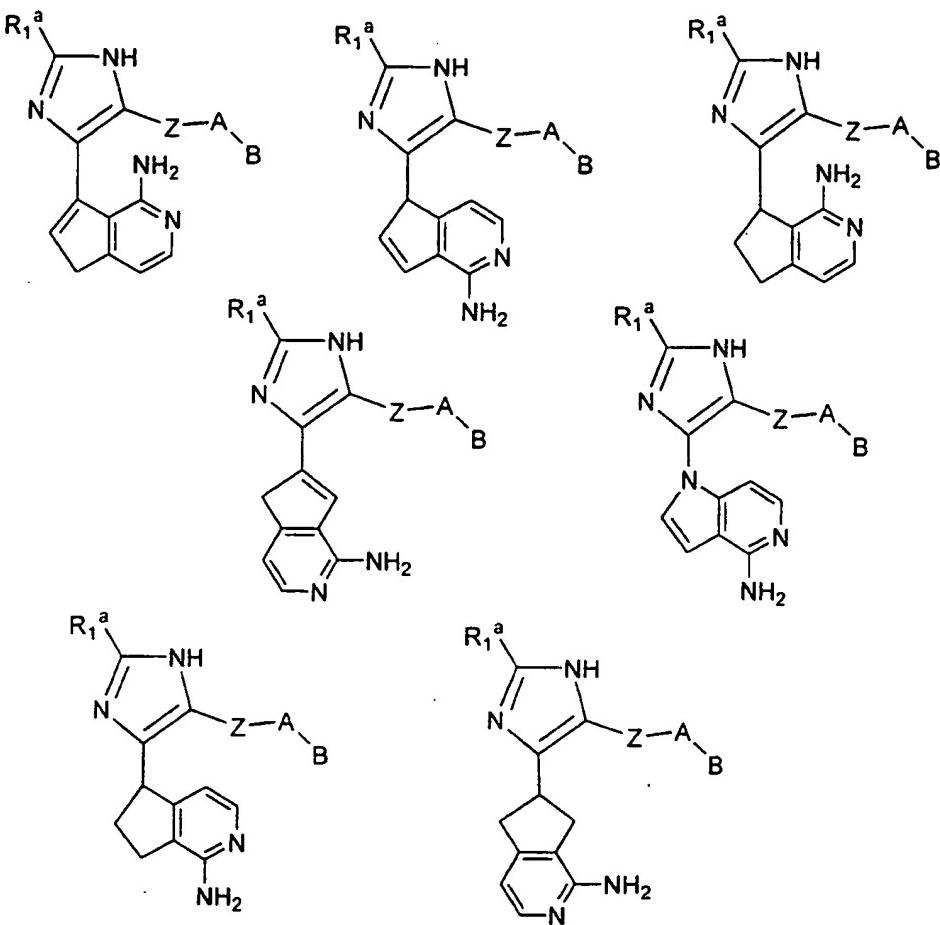


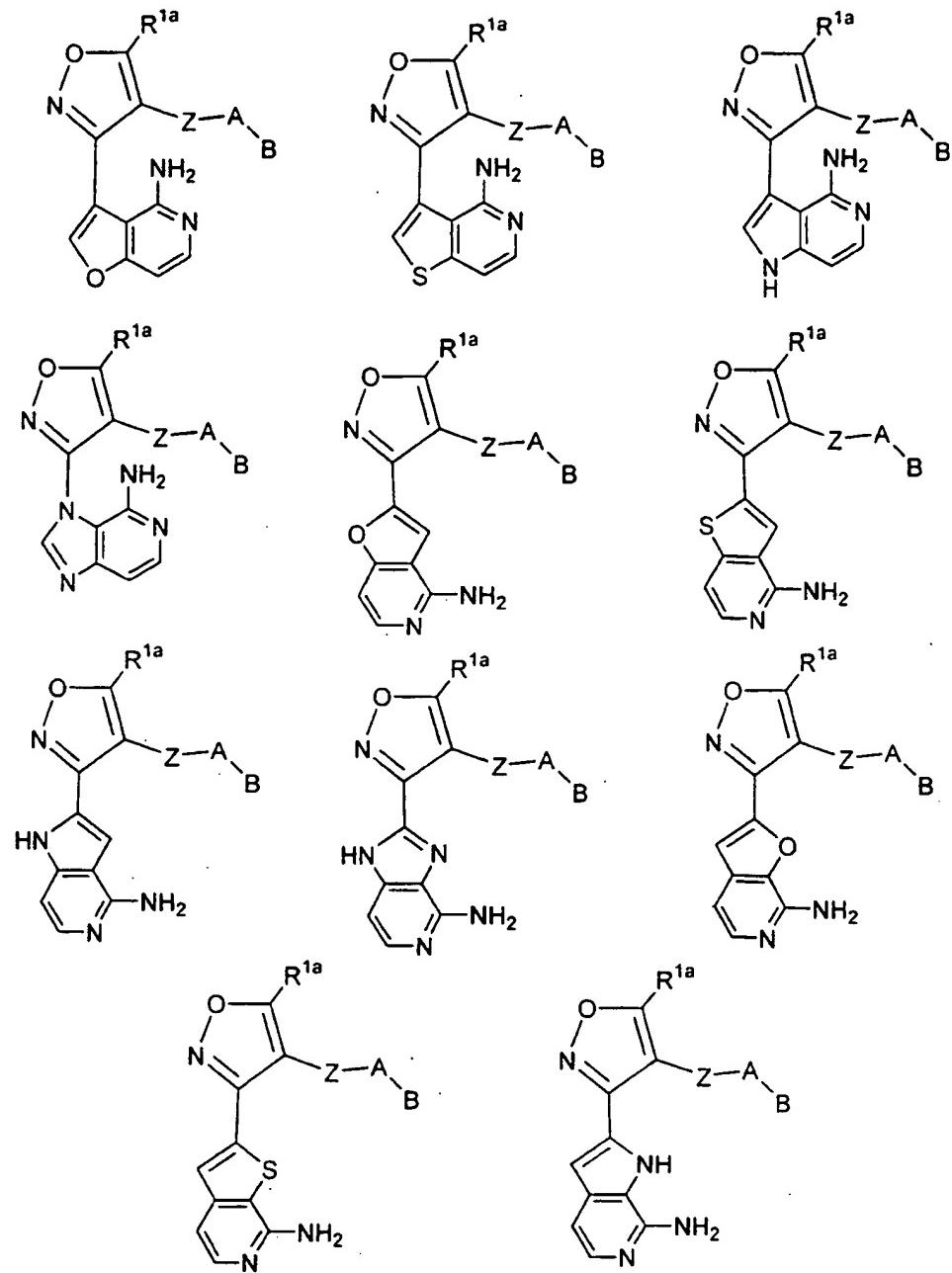


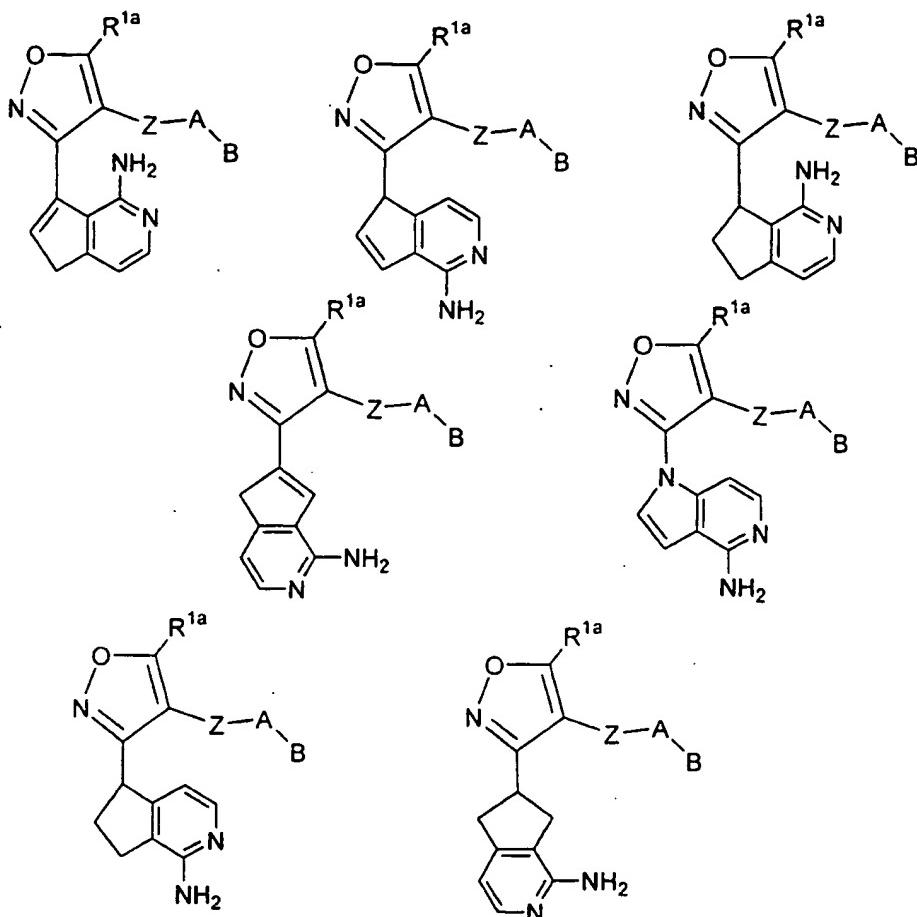












Z is C(O)NH or C(O)CH<sub>2</sub>

	Ex#	R <sup>1a</sup>	A	B
5	1	CH <sub>3</sub>	phenyl	2-(aminosulfonyl)phenyl
	2	CH <sub>3</sub>	phenyl	2-(methylaminosulfonyl)phenyl
	3	CH <sub>3</sub>	phenyl	1-pyrrolidinocarbonyl
	4	CH <sub>3</sub>	phenyl	2-(methylsulfonyl)phenyl
10	5	CH <sub>3</sub>	phenyl	2-(N,N- dimethylaminomethyl)phenyl
	6	CH <sub>3</sub>	phenyl	2-(N-pyrrolidinylmethyl)phenyl
	7	CH <sub>3</sub>	phenyl	1-methyl-2-imidazolyl
	8	CH <sub>3</sub>	phenyl	2-methyl-1-imidazolyl
15	9	CH <sub>3</sub>	phenyl	2-(dimethylaminomethyl)-1- imidazolyl
	10	CH <sub>3</sub>	phenyl	2-(N-(cyclopropyl- methyl)aminomethyl)phenyl
	11	CH <sub>3</sub>	phenyl	2-(N-(cyclobutyl)- aminomethyl)phenyl
20	12	CH <sub>3</sub>	phenyl	2-(N-(cyclopentyl)- aminomethyl)phenyl

	13	CH3	phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	14	CH3	2-pyridyl	2-(aminosulfonyl)phenyl
	15	CH3	2-pyridyl	2-(methylaminosulfonyl)phenyl
5	16	CH3	2-pyridyl	1-pyrrolidinocarbonyl
	17	CH3	2-pyridyl	2-(methylsulfonyl)phenyl
	18	CH3	2-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
	19	CH3	2-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
10	20	CH3	2-pyridyl	1-methyl-2-imidazolyl
	21	CH3	2-pyridyl	2-methyl-1-imidazolyl
	22	CH3	2-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
	23	CH3	2-pyridyl	2-(N-(cyclopropylmethyl)aminomethyl)phenyl
15	24	CH3	2-pyridyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	25	CH3	2-pyridyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
20	26	CH3	2-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	27	CH3	3-pyridyl	2-(aminosulfonyl)phenyl
	28	CH3	3-pyridyl	2-(methylaminosulfonyl)phenyl
	29	CH3	3-pyridyl	1-pyrrolidinocarbonyl
25	30	CH3	3-pyridyl	2-(methylsulfonyl)phenyl
	31	CH3	3-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
	32	CH3	3-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
	33	CH3	3-pyridyl	1-methyl-2-imidazolyl
30	34	CH3	3-pyridyl	2-methyl-1-imidazolyl
	35	CH3	3-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
	36	CH3	3-pyridyl	2-(N-(cyclopropylmethyl)aminomethyl)phenyl
35	37	CH3	3-pyridyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	38	CH3	3-pyridyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	39	CH3	3-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
40	40	CH3	2-pyrimidyl	2-(aminosulfonyl)phenyl
	41	CH3	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
	42	CH3	2-pyrimidyl	1-pyrrolidinocarbonyl
	43	CH3	2-pyrimidyl	2-(methylsulfonyl)phenyl
45	44	CH3	2-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
	45	CH3	2-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl

	46	CH3	2-pyrimidyl	1-methyl-2-imidazolyl
	47	CH3	2-pyrimidyl	2-methyl-1-imidazolyl
	48	CH3	2-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
5	49	CH3	2-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	50	CH3	2-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
10	51	CH3	2-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	52	CH3	2-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	53	CH3	5-pyrimidyl	2-(aminosulfonyl)phenyl
	54	CH3	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
15	55	CH3	5-pyrimidyl	1-pyrrolidinocarbonyl
	56	CH3	5-pyrimidyl	2-(methylsulfonyl)phenyl
	57	CH3	5-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
	58	CH3	5-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
20	59	CH3	5-pyrimidyl	1-methyl-2-imidazolyl
	60	CH3	5-pyrimidyl	2-methyl-1-imidazolyl
	61	CH3	5-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
25	62	CH3	5-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	63	CH3	5-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	64	CH3	5-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
30	65	CH3	5-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	66	CH3	2-Cl-phenyl	2-(aminosulfonyl)phenyl
	67	CH3	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
	68	CH3	2-Cl-phenyl	1-pyrrolidinocarbonyl
35	69	CH3	2-Cl-phenyl	2-(methylsulfonyl)phenyl
	70	CH3	2-Cl-phenyl	2-(N,N-dimethylaminomethyl)phenyl
	71	CH3	2-Cl-phenyl	2-(N-pyrrolidinylmethyl)phenyl
	72	CH3	2-Cl-phenyl	1-methyl-2-imidazolyl
40	73	CH3	2-Cl-phenyl	2-methyl-1-imidazolyl
	74	CH3	2-Cl-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
	75	CH3	2-Cl-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
45	76	CH3	2-Cl-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	77	CH3	2-Cl-phenyl	2-(N-(cyclopentyl)-

			aminomethyl)phenyl
78	CH3	2-Cl-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
79	CH3	2-F-phenyl	2-(aminosulfonyl)phenyl
5	80	CH3	2-(methylaminosulfonyl)phenyl
81	CH3	2-F-phenyl	1-pyrrolidinocarbonyl
82	CH3	2-F-phenyl	2-(methylsulfonyl)phenyl
83	CH3	2-F-phenyl	2-(N,N-dimethylaminomethyl)phenyl
10	84	CH3	2-(N-pyrrolidinylmethyl)phenyl
	85	CH3	1-methyl-2-imidazolyl
	86	CH3	2-methyl-1-imidazolyl
	87	CH3	2-(dimethylaminomethyl)-1-imidazolyl
15	88	CH3	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	89	CH3	2-(N-(cyclobutyl)-aminomethyl)phenyl
	90	CH3	2-(N-(cyclopentyl)-aminomethyl)phenyl
20	91	CH3	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	92	CH3	2-(aminosulfonyl)phenyl
	93	CH3	2-(methylaminosulfonyl)phenyl
25	94	CH3	1-pyrrolidinocarbonyl
	95	CH3	2-(methylsulfonyl)phenyl
	96	CH3	2-(N,N-dimethylaminomethyl)phenyl
	97	CH3	2-(N-pyrrolidinylmethyl)phenyl
30	98	CH3	1-methyl-2-imidazolyl
	99	CH3	2-methyl-1-imidazolyl
	100	CH3	2-(dimethylaminomethyl)-1-imidazolyl
35	101	CH3	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	102	CH3	2-(N-(cyclobutyl)-aminomethyl)phenyl
	103	CH3	2-(N-(cyclopentyl)-aminomethyl)phenyl
40	104	CH3	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	105	CH2CH3	2-(aminosulfonyl)phenyl
	106	CH2CH3	2-(methylaminosulfonyl)phenyl
	107	CH2CH3	1-pyrrolidinocarbonyl
45	108	CH2CH3	2-(methylsulfonyl)phenyl
	109	CH2CH3	2-(N,N-dimethylaminomethyl)phenyl

	110	CH <sub>2</sub> CH <sub>3</sub>	phenyl	2-(N-pyrrolidinylmethyl)phenyl
	111	CH <sub>2</sub> CH <sub>3</sub>	phenyl	1-methyl-2-imidazolyl
	112	CH <sub>2</sub> CH <sub>3</sub>	phenyl	2-methyl-1-imidazolyl
	113	CH <sub>2</sub> CH <sub>3</sub>	phenyl	2-(dimethylaminomethyl)-1-imidazolyl
5				
	114	CH <sub>2</sub> CH <sub>3</sub>	phenyl	2-(N-(cyclopropylmethyl)aminomethyl)phenyl
	115	CH <sub>2</sub> CH <sub>3</sub>	phenyl	2-(N-(cyclobutyl)aminomethyl)phenyl
10	116	CH <sub>2</sub> CH <sub>3</sub>	phenyl	2-(N-(cyclopentyl)aminomethyl)phenyl
	117	CH <sub>2</sub> CH <sub>3</sub>	phenyl	2-(N-(3-hydroxypyrrolidinyl)methyl)phenyl
	118	CH <sub>2</sub> CH <sub>3</sub>	2-pyridyl	2-(aminosulfonyl)phenyl
15	119	CH <sub>2</sub> CH <sub>3</sub>	2-pyridyl	2-(methylaminosulfonyl)phenyl
	120	CH <sub>2</sub> CH <sub>3</sub>	2-pyridyl	1-pyrrolidinocarbonyl
	121	CH <sub>2</sub> CH <sub>3</sub>	2-pyridyl	2-(methylsulfonyl)phenyl
	122	CH <sub>2</sub> CH <sub>3</sub>	2-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
20	123	CH <sub>2</sub> CH <sub>3</sub>	2-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
	124	CH <sub>2</sub> CH <sub>3</sub>	2-pyridyl	1-methyl-2-imidazolyl
	125	CH <sub>2</sub> CH <sub>3</sub>	2-pyridyl	2-methyl-1-imidazolyl
	126	CH <sub>2</sub> CH <sub>3</sub>	2-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
25	127	CH <sub>2</sub> CH <sub>3</sub>	2-pyridyl	2-(N-(cyclopropylmethyl)aminomethyl)phenyl
	128	CH <sub>2</sub> CH <sub>3</sub>	2-pyridyl	2-(N-(cyclobutyl)aminomethyl)phenyl
	129	CH <sub>2</sub> CH <sub>3</sub>	2-pyridyl	2-(N-(cyclopentyl)aminomethyl)phenyl
30	130	CH <sub>2</sub> CH <sub>3</sub>	2-pyridyl	2-(N-(3-hydroxypyrrolidinyl)methyl)phenyl
	131	CH <sub>2</sub> CH <sub>3</sub>	3-pyridyl	2-(aminosulfonyl)phenyl
	132	CH <sub>2</sub> CH <sub>3</sub>	3-pyridyl	2-(methylaminosulfonyl)phenyl
35	133	CH <sub>2</sub> CH <sub>3</sub>	3-pyridyl	1-pyrrolidinocarbonyl
	134	CH <sub>2</sub> CH <sub>3</sub>	3-pyridyl	2-(methylsulfonyl)phenyl
	135	CH <sub>2</sub> CH <sub>3</sub>	3-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
	136	CH <sub>2</sub> CH <sub>3</sub>	3-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
40	137	CH <sub>2</sub> CH <sub>3</sub>	3-pyridyl	1-methyl-2-imidazolyl
	138	CH <sub>2</sub> CH <sub>3</sub>	3-pyridyl	2-methyl-1-imidazolyl
	139	CH <sub>2</sub> CH <sub>3</sub>	3-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
	140	CH <sub>2</sub> CH <sub>3</sub>	3-pyridyl	2-(N-(cyclopropylmethyl)aminomethyl)phenyl
45	141	CH <sub>2</sub> CH <sub>3</sub>	3-pyridyl	2-(N-(cyclobutyl)aminomethyl)phenyl

	142	CH <sub>2</sub> CH <sub>3</sub>	3-pyridyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	143	CH <sub>2</sub> CH <sub>3</sub>	3-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
5	144	CH <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	2-(aminosulfonyl)phenyl
	145	CH <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
	146	CH <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	1-pyrrolidinocarbonyl
	147	CH <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	2-(methylsulfonyl)phenyl
	148	CH <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
10	149	CH <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
	150	CH <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	1-methyl-2-imidazolyl
	151	CH <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	2-methyl-1-imidazolyl
	152	CH <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
15	153	CH <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	154	CH <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
20	155	CH <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	156	CH <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	157	CH <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	2-(aminosulfonyl)phenyl
25	158	CH <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
	159	CH <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	1-pyrrolidinocarbonyl
	160	CH <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	2-(methylsulfonyl)phenyl
	161	CH <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
30	162	CH <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
	163	CH <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	1-methyl-2-imidazolyl
	164	CH <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	2-methyl-1-imidazolyl
	165	CH <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
35	166	CH <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	167	CH <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	168	CH <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
40	169	CH <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	170	CH <sub>2</sub> CH <sub>3</sub>	2-Cl-phenyl	2-(aminosulfonyl)phenyl
	171	CH <sub>2</sub> CH <sub>3</sub>	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
45	172	CH <sub>2</sub> CH <sub>3</sub>	2-Cl-phenyl	1-pyrrolidinocarbonyl
	173	CH <sub>2</sub> CH <sub>3</sub>	2-Cl-phenyl	2-(methylsulfonyl)phenyl
	174	CH <sub>2</sub> CH <sub>3</sub>	2-Cl-phenyl	2-(N,N-

			dimethylaminomethyl)phenyl
175	CH <sub>2</sub> CH <sub>3</sub>	2-Cl-phenyl	2-(N-pyrrolidinylmethyl)phenyl
176	CH <sub>2</sub> CH <sub>3</sub>	2-Cl-phenyl	1-methyl-2-imidazolyl
177	CH <sub>2</sub> CH <sub>3</sub>	2-Cl-phenyl	2-methyl-1-imidazolyl
5	178	CH <sub>2</sub> CH <sub>3</sub>	2-(dimethylaminomethyl)-1-imidazolyl
	179	CH <sub>2</sub> CH <sub>3</sub>	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
10	180	CH <sub>2</sub> CH <sub>3</sub>	2-(N-(cyclobutyl)-aminomethyl)phenyl
	181	CH <sub>2</sub> CH <sub>3</sub>	2-(N-(cyclopentyl)-aminomethyl)phenyl
	182	CH <sub>2</sub> CH <sub>3</sub>	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
15	183	CH <sub>2</sub> CH <sub>3</sub>	2-(aminosulfonyl)phenyl
	184	CH <sub>2</sub> CH <sub>3</sub>	2-(methylaminosulfonyl)phenyl
	185	CH <sub>2</sub> CH <sub>3</sub>	1-pyrrolidinocarbonyl
	186	CH <sub>2</sub> CH <sub>3</sub>	2-(methylsulfonyl)phenyl
	187	CH <sub>2</sub> CH <sub>3</sub>	2-(N,N-dimethylaminomethyl)phenyl
20	188	CH <sub>2</sub> CH <sub>3</sub>	2-(N-pyrrolidinylmethyl)phenyl
	189	CH <sub>2</sub> CH <sub>3</sub>	1-methyl-2-imidazolyl
	190	CH <sub>2</sub> CH <sub>3</sub>	2-methyl-1-imidazolyl
	191	CH <sub>2</sub> CH <sub>3</sub>	2-(dimethylaminomethyl)-1-imidazolyl
25	192	CH <sub>2</sub> CH <sub>3</sub>	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	193	CH <sub>2</sub> CH <sub>3</sub>	2-(N-(cyclobutyl)-aminomethyl)phenyl
30	194	CH <sub>2</sub> CH <sub>3</sub>	2-(N-(cyclopentyl)-aminomethyl)phenyl
	195	CH <sub>2</sub> CH <sub>3</sub>	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	196	CH <sub>2</sub> CH <sub>3</sub>	2-(aminosulfonyl)phenyl
35	197	CH <sub>2</sub> CH <sub>3</sub>	2-(methylaminosulfonyl)phenyl
	198	CH <sub>2</sub> CH <sub>3</sub>	1-pyrrolidinocarbonyl
	199	CH <sub>2</sub> CH <sub>3</sub>	2-(methylsulfonyl)phenyl
	200	CH <sub>2</sub> CH <sub>3</sub>	2-(N,N-dimethylaminomethyl)phenyl
40	201	CH <sub>2</sub> CH <sub>3</sub>	2-(N-pyrrolidinylmethyl)phenyl
	202	CH <sub>2</sub> CH <sub>3</sub>	1-methyl-2-imidazolyl
	203	CH <sub>2</sub> CH <sub>3</sub>	2-methyl-1-imidazolyl
	204	CH <sub>2</sub> CH <sub>3</sub>	2-(dimethylaminomethyl)-1-imidazolyl
45	205	CH <sub>2</sub> CH <sub>3</sub>	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	206	CH <sub>2</sub> CH <sub>3</sub>	2-(N-(cyclobutyl)-

			aminomethyl)phenyl
207	CH <sub>2</sub> CH <sub>3</sub>	2,6-diF-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
208	CH <sub>2</sub> CH <sub>3</sub>	2,6-diF-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
5			
209	CF <sub>3</sub>	phenyl	2-(aminosulfonyl)phenyl
210	CF <sub>3</sub>	phenyl	2-(methylaminosulfonyl)phenyl
211	CF <sub>3</sub>	phenyl	1-pyrrolidinocarbonyl
212	CF <sub>3</sub>	phenyl	2-(methylsulfonyl)phenyl
10	213	CF <sub>3</sub>	2-(N,N-dimethylaminomethyl)phenyl
214	CF <sub>3</sub>	phenyl	2-(N-pyrrolidinylmethyl)phenyl
215	CF <sub>3</sub>	phenyl	1-methyl-2-imidazolyl
216	CF <sub>3</sub>	phenyl	2-methyl-1-imidazolyl
15	217	CF <sub>3</sub>	2-(dimethylaminomethyl)-1-imidazolyl
218	CF <sub>3</sub>	phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
219	CF <sub>3</sub>	phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
20	220	CF <sub>3</sub>	2-(N-(cyclopentyl)-aminomethyl)phenyl
221	CF <sub>3</sub>	phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
25	222	CF <sub>3</sub>	2-(aminosulfonyl)phenyl
223	CF <sub>3</sub>	2-pyridyl	2-(methylaminosulfonyl)phenyl
224	CF <sub>3</sub>	2-pyridyl	1-pyrrolidinocarbonyl
225	CF <sub>3</sub>	2-pyridyl	2-(methylsulfonyl)phenyl
226	CF <sub>3</sub>	2-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
30	227	CF <sub>3</sub>	2-pyridyl
228	CF <sub>3</sub>	2-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
229	CF <sub>3</sub>	2-pyridyl	1-methyl-2-imidazolyl
230	CF <sub>3</sub>	2-pyridyl	2-methyl-1-imidazolyl
35	231	CF <sub>3</sub>	2-(dimethylaminomethyl)-1-imidazolyl
232	CF <sub>3</sub>	2-pyridyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
40	233	CF <sub>3</sub>	2-(N-(cyclobutyl)-aminomethyl)phenyl
234	CF <sub>3</sub>	2-pyridyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
235	CF <sub>3</sub>	3-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
45	236	CF <sub>3</sub>	2-(aminosulfonyl)phenyl
237	CF <sub>3</sub>	3-pyridyl	2-(methylaminosulfonyl)phenyl
238	CF <sub>3</sub>	3-pyridyl	1-pyrrolidinocarbonyl
			2-(methylsulfonyl)phenyl

	239	CF3	3-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
	240	CF3	3-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
	241	CF3	3-pyridyl	1-methyl-2-imidazolyl
5	242	CF3	3-pyridyl	2-methyl-1-imidazolyl
	243	CF3	3-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
	244	CF3	3-pyridyl	2-(N-(cyclopropylmethyl)aminomethyl)phenyl
10	245	CF3	3-pyridyl	2-(N-(cyclobutylaminomethyl)phenyl
	246	CF3	3-pyridyl	2-(N-(cyclopentylaminomethyl)phenyl
15	247	CF3	3-pyridyl	2-(N-(3-hydroxypyrrolidinyl)methyl)phenyl
	248	CF3	2-pyrimidyl	2-(aminosulfonyl)phenyl
	249	CF3	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
	250	CF3	2-pyrimidyl	1-pyrrolidinocarbonyl
20	251	CF3	2-pyrimidyl	2-(methylsulfonyl)phenyl
	252	CF3	2-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
	253	CF3	2-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
	254	CF3	2-pyrimidyl	1-methyl-2-imidazolyl
	255	CF3	2-pyrimidyl	2-methyl-1-imidazolyl
25	256	CF3	2-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
	257	CF3	2-pyrimidyl	2-(N-(cyclopropylmethyl)aminomethyl)phenyl
	258	CF3	2-pyrimidyl	2-(N-(cyclobutylaminomethyl)phenyl
30	259	CF3	2-pyrimidyl	2-(N-(cyclopentylaminomethyl)phenyl
	260	CF3	2-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)methyl)phenyl
35	261	CF3	5-pyrimidyl	2-(aminosulfonyl)phenyl
	262	CF3	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
	263	CF3	5-pyrimidyl	1-pyrrolidinocarbonyl
	264	CF3	5-pyrimidyl	2-(methylsulfonyl)phenyl
	265	CF3	5-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
40	266	CF3	5-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
	267	CF3	5-pyrimidyl	1-methyl-2-imidazolyl
	268	CF3	5-pyrimidyl	2-methyl-1-imidazolyl
	269	CF3	5-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
45	270	CF3	5-pyrimidyl	2-(N-(cyclopropylmethyl)aminomethyl)phenyl

	271	CF3	5-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	272	CF3	5-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
5	273	CF3	5-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	274	CF3	2-Cl-phenyl	2-(aminosulfonyl)phenyl
	275	CF3	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
	276	CF3	2-Cl-phenyl	1-pyrrolidinocarbonyl
10	277	CF3	2-Cl-phenyl	2-(methylsulfonyl)phenyl
	278	CF3	2-Cl-phenyl	2-(N,N-dimethylaminomethyl)phenyl
	279	CF3	2-Cl-phenyl	2-(N-pyrrolidinylmethyl)phenyl
	280	CF3	2-Cl-phenyl	1-methyl-2-imidazolyl
15	281	CF3	2-Cl-phenyl	2-methyl-1-imidazolyl
	282	CF3	2-Cl-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
	283	CF3	2-Cl-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
20	284	CF3	2-Cl-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	285	CF3	2-Cl-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	286	CF3	2-Cl-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
25	287	CF3	2-F-phenyl	2-(aminosulfonyl)phenyl
	288	CF3	2-F-phenyl	2-(methylaminosulfonyl)phenyl
	289	CF3	2-F-phenyl	1-pyrrolidinocarbonyl
	290	CF3	2-F-phenyl	2-(methylsulfonyl)phenyl
30	291	CF3	2-F-phenyl	2-(N,N-dimethylaminomethyl)phenyl
	292	CF3	2-F-phenyl	2-(N-pyrrolidinylmethyl)phenyl
	293	CF3	2-F-phenyl	1-methyl-2-imidazolyl
	294	CF3	2-F-phenyl	2-methyl-1-imidazolyl
35	295	CF3	2-F-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
	296	CF3	2-F-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	297	CF3	2-F-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
40	298	CF3	2-F-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	299	CF3	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
45	300	CF3	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
	301	CF3	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
	302	CF3	2,6-diF-phenyl	1-pyrrolidinocarbonyl

	303	CF3	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
	304	CF3	2,6-diF-phenyl	2-(N,N-dimethylaminomethyl)phenyl
5	305	CF3	2,6-diF-phenyl	2-(N-pyrrolidinylmethyl)phenyl
	306	CF3	2,6-diF-phenyl	1-methyl-2-imidazolyl
	307	CF3	2,6-diF-phenyl	2-methyl-1-imidazolyl
	308	CF3	2,6-diF-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
10	309	CF3	2,6-diF-phenyl	2-(N-(cyclopropylmethyl)aminomethyl)phenyl
	310	CF3	2,6-diF-phenyl	2-(N-(cyclobutyl)aminomethyl)phenyl
	311	CF3	2,6-diF-phenyl	2-(N-(cyclopentyl)aminomethyl)phenyl
15	312	CF3	2,6-diF-phenyl	2-(N-(3-hydroxypyrrolidinyl)methyl)phenyl
	313	SCH3	phenyl	2-(aminosulfonyl)phenyl
	314	SCH3	phenyl	2-(methylaminosulfonyl)phenyl
20	315	SCH3	phenyl	1-pyrrolidinocarbonyl
	316	SCH3	phenyl	2-(methylsulfonyl)phenyl
	317	SCH3	phenyl	2-(N,N-dimethylaminomethyl)phenyl
	318	SCH3	phenyl	2-(N-pyrrolidinylmethyl)phenyl
25	319	SCH3	phenyl	1-methyl-2-imidazolyl
	320	SCH3	phenyl	2-methyl-1-imidazolyl
	321	SCH3	phenyl	2-(dimethylaminomethyl)-1-imidazolyl
	322	SCH3	phenyl	2-(N-(cyclopropylmethyl)aminomethyl)phenyl
30	323	SCH3	phenyl	2-(N-(cyclobutyl)aminomethyl)phenyl
	324	SCH3	phenyl	2-(N-(cyclopentyl)aminomethyl)phenyl
35	325	SCH3	phenyl	2-(N-(3-hydroxypyrrolidinyl)methyl)phenyl
	326	SCH3	2-pyridyl	2-(aminosulfonyl)phenyl
	327	SCH3	2-pyridyl	2-(methylaminosulfonyl)phenyl
	328	SCH3	2-pyridyl	1-pyrrolidinocarbonyl
	329	SCH3	2-pyridyl	2-(methylsulfonyl)phenyl
40	330	SCH3	2-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
	331	SCH3	2-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
	332	SCH3	2-pyridyl	1-methyl-2-imidazolyl
	333	SCH3	2-pyridyl	2-methyl-1-imidazolyl
45	334	SCH3	2-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
	335	SCH3	2-pyridyl	2-(N-(cyclopropyl-

				methyl)aminomethyl)phenyl
	336	SCH3	2-pyridyl	2-(N-(cyclobutyl)- aminomethyl)phenyl
5	337	SCH3	2-pyridyl	2-(N-(cyclopentyl)- aminomethyl)phenyl
	338	SCH3	2-pyridyl	2-(N-(3-hydroxypyrrolidinyl)- methyl)phenyl
	339	SCH3	3-pyridyl	2-(aminosulfonyl)phenyl
10	340	SCH3	3-pyridyl	2-(methylaminosulfonyl)phenyl
	341	SCH3	3-pyridyl	1-pyrrolidinocarbonyl
	342	SCH3	3-pyridyl	2-(methylsulfonyl)phenyl
	343	SCH3	3-pyridyl	2-(N,N- dimethylaminomethyl)phenyl
	344	SCH3	3-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
15	345	SCH3	3-pyridyl	1-methyl-2-imidazolyl
	346	SCH3	3-pyridyl	2-methyl-1-imidazolyl
	347	SCH3	3-pyridyl	2-(dimethylaminomethyl)-1- imidazolyl
20	348	SCH3	3-pyridyl	2-(N-(cyclopropyl- methyl)aminomethyl)phenyl
	349	SCH3	3-pyridyl	2-(N-(cyclobutyl)- aminomethyl)phenyl
	350	SCH3	3-pyridyl	2-(N-(cyclopentyl)- aminomethyl)phenyl
25	351	SCH3	3-pyridyl	2-(N-(3-hydroxypyrrolidinyl)- methyl)phenyl
	352	SCH3	2-pyrimidyl	2-(aminosulfonyl)phenyl
	353	SCH3	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
	354	SCH3	2-pyrimidyl	1-pyrrolidinocarbonyl
30	355	SCH3	2-pyrimidyl	2-(methylsulfonyl)phenyl
	356	SCH3	2-pyrimidyl	2-(N,N- dimethylaminomethyl)phenyl
	357	SCH3	2-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
	358	SCH3	2-pyrimidyl	1-methyl-2-imidazolyl
35	359	SCH3	2-pyrimidyl	2-methyl-1-imidazolyl
	360	SCH3	2-pyrimidyl	2-(dimethylaminomethyl)-1- imidazolyl
	361	SCH3	2-pyrimidyl	2-(N-(cyclopropyl- methyl)aminomethyl)phenyl
40	362	SCH3	2-pyrimidyl	2-(N-(cyclobutyl)- aminomethyl)phenyl
	363	SCH3	2-pyrimidyl	2-(N-(cyclopentyl)- aminomethyl)phenyl
	364	SCH3	2-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)- methyl)phenyl
45	365	SCH3	5-pyrimidyl	2-(aminosulfonyl)phenyl
	366	SCH3	5-pyrimidyl	2-(methylaminosulfonyl)phenyl

	367	SCH3	5-pyrimidyl	1-pyrrolidinocarbonyl
	368	SCH3	5-pyrimidyl	2-(methylsulfonyl)phenyl
	369	SCH3	5-pyrimidyl	2-(N,N- dimethylaminomethyl)phenyl
5	370	SCH3	5-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
	371	SCH3	5-pyrimidyl	1-methyl-2-imidazolyl
	372	SCH3	5-pyrimidyl	2-methyl-1-imidazolyl
	373	SCH3	5-pyrimidyl	2-(dimethylaminomethyl)-1- imidazolyl
10	374	SCH3	5-pyrimidyl	2-(N-(cyclopropyl- methyl)aminomethyl)phenyl
	375	SCH3	5-pyrimidyl	2-(N-(cyclobutyl)- aminomethyl)phenyl
	376	SCH3	5-pyrimidyl	2-(N-(cyclopentyl)- aminomethyl)phenyl
15	377	SCH3	5-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)- methyl)phenyl
	378	SCH3	2-Cl-phenyl	2-(aminosulfonyl)phenyl
	379	SCH3	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
20	380	SCH3	2-Cl-phenyl	1-pyrrolidinocarbonyl
	381	SCH3	2-Cl-phenyl	2-(methylsulfonyl)phenyl
	382	SCH3	2-Cl-phenyl	2-(N,N- dimethylaminomethyl)phenyl
	383	SCH3	2-Cl-phenyl	2-(N-pyrrolidinylmethyl)phenyl
25	384	SCH3	2-Cl-phenyl	1-methyl-2-imidazolyl
	385	SCH3	2-Cl-phenyl	2-methyl-1-imidazolyl
	386	SCH3	2-Cl-phenyl	2-(dimethylaminomethyl)-1- imidazolyl
30	387	SCH3	2-Cl-phenyl	2-(N-(cyclopropyl- methyl)aminomethyl)phenyl
	388	SCH3	2-Cl-phenyl	2-(N-(cyclobutyl)- aminomethyl)phenyl
	389	SCH3	2-Cl-phenyl	2-(N-(cyclopentyl)- aminomethyl)phenyl
35	390	SCH3	2-Cl-phenyl	2-(N-(3-hydroxypyrrolidinyl)- methyl)phenyl
	391	SCH3	2-F-phenyl	2-(aminosulfonyl)phenyl
	392	SCH3	2-F-phenyl	2-(methylaminosulfonyl)phenyl
	393	SCH3	2-F-phenyl	1-pyrrolidinocarbonyl
40	394	SCH3	2-F-phenyl	2-(methylsulfonyl)phenyl
	395	SCH3	2-F-phenyl	2-(N,N- dimethylaminomethyl)phenyl
	396	SCH3	2-F-phenyl	2-(N-pyrrolidinylmethyl)phenyl
	397	SCH3	2-F-phenyl	1-methyl-2-imidazolyl
45	398	SCH3	2-F-phenyl	2-methyl-1-imidazolyl
	399	SCH3	2-F-phenyl	2-(dimethylaminomethyl)-1- imidazolyl

	400	SCH3	2-F-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	401	SCH3	2-F-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
5	402	SCH3	2-F-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	403	SCH3	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	404	SCH3	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
10	405	SCH3	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
	406	SCH3	2,6-diF-phenyl	1-pyrrolidinocarbonyl
	407	SCH3	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
	408	SCH3	2,6-diF-phenyl	2-(N,N-dimethylaminomethyl)phenyl
15	409	SCH3	2,6-diF-phenyl	2-(N-pyrrolidinylmethyl)phenyl
	410	SCH3	2,6-diF-phenyl	1-methyl-2-imidazolyl
	411	SCH3	2,6-diF-phenyl	2-methyl-1-imidazolyl
	412	SCH3	2,6-diF-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
20	413	SCH3	2,6-diF-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	414	SCH3	2,6-diF-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	415	SCH3	2,6-diF-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
25	416	SCH3	2,6-diF-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	417	SOCH3	phenyl	2-(aminosulfonyl)phenyl
	418	SOCH3	phenyl	2-(methylaminosulfonyl)phenyl
30	419	SOCH3	phenyl	1-pyrrolidinocarbonyl
	420	SOCH3	phenyl	2-(methylsulfonyl)phenyl
	421	SOCH3	phenyl	2-(N,N-dimethylaminomethyl)phenyl
	422	SOCH3	phenyl	2-(N-pyrrolidinylmethyl)phenyl
35	423	SOCH3	phenyl	1-methyl-2-imidazolyl
	424	SOCH3	phenyl	2-methyl-1-imidazolyl
	425	SOCH3	phenyl	2-(dimethylaminomethyl)-1-imidazolyl
	426	SOCH3	phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
40	427	SOCH3	phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	428	SOCH3	phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
45	429	SOCH3	phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	430	SOCH3	2-pyridyl	2-(aminosulfonyl)phenyl

	431	SOCH <sub>3</sub>	2-pyridyl	2-(methylaminosulfonyl)phenyl
	432	SOCH <sub>3</sub>	2-pyridyl	1-pyrrolidinocarbonyl
	433	SOCH <sub>3</sub>	2-pyridyl	2-(methylsulfonyl)phenyl
	434	SOCH <sub>3</sub>	2-pyridyl	2-(N,N-
5				dimethylaminomethyl)phenyl
	435	SOCH <sub>3</sub>	2-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
	436	SOCH <sub>3</sub>	2-pyridyl	1-methyl-2-imidazolyl
	437	SOCH <sub>3</sub>	2-pyridyl	2-methyl-1-imidazolyl
	438	SOCH <sub>3</sub>	2-pyridyl	2-(dimethylaminomethyl)-1-
10				imidazolyl
	439	SOCH <sub>3</sub>	2-pyridyl	2-(N-(cyclopropyl-
				methy)aminomethyl)phenyl
	440	SOCH <sub>3</sub>	2-pyridyl	2-(N-(cyclobutyl)-
				aminomethyl)phenyl
15	441	SOCH <sub>3</sub>	2-pyridyl	2-(N-(cyclopentyl)-
				aminomethyl)phenyl
	442	SOCH <sub>3</sub>	2-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-
				methyl)phenyl
	443	SOCH <sub>3</sub>	3-pyridyl	2-(aminosulfonyl)phenyl
20	444	SOCH <sub>3</sub>	3-pyridyl	2-(methylaminosulfonyl)phenyl
	445	SOCH <sub>3</sub>	3-pyridyl	1-pyrrolidinocarbonyl
	446	SOCH <sub>3</sub>	3-pyridyl	2-(methylsulfonyl)phenyl
	447	SOCH <sub>3</sub>	3-pyridyl	2-(N,N-
				dimethylaminomethyl)phenyl
25	448	SOCH <sub>3</sub>	3-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
	449	SOCH <sub>3</sub>	3-pyridyl	1-methyl-2-imidazolyl
	450	SOCH <sub>3</sub>	3-pyridyl	2-methyl-1-imidazolyl
	451	SOCH <sub>3</sub>	3-pyridyl	2-(dimethylaminomethyl)-1-
				imidazolyl
30	452	SOCH <sub>3</sub>	3-pyridyl	2-(N-(cyclopropyl-
				methy)aminomethyl)phenyl
	453	SOCH <sub>3</sub>	3-pyridyl	2-(N-(cyclobutyl)-
				aminomethyl)phenyl
	454	SOCH <sub>3</sub>	3-pyridyl	2-(N-(cyclopentyl)-
35				aminomethyl)phenyl
	455	SOCH <sub>3</sub>	3-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-
				methyl)phenyl
	456	SOCH <sub>3</sub>	2-pyrimidyl	2-(aminosulfonyl)phenyl
	457	SOCH <sub>3</sub>	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
40	458	SOCH <sub>3</sub>	2-pyrimidyl	1-pyrrolidinocarbonyl
	459	SOCH <sub>3</sub>	2-pyrimidyl	2-(methylsulfonyl)phenyl
	460	SOCH <sub>3</sub>	2-pyrimidyl	2-(N,N-
				dimethylaminomethyl)phenyl
	461	SOCH <sub>3</sub>	2-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
45	462	SOCH <sub>3</sub>	2-pyrimidyl	1-methyl-2-imidazolyl
	463	SOCH <sub>3</sub>	2-pyrimidyl	2-methyl-1-imidazolyl
	464	SOCH <sub>3</sub>	2-pyrimidyl	2-(dimethylaminomethyl)-1-

			imidazolyl
465	SOCH <sub>3</sub>	2-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
466	SOCH <sub>3</sub>	2-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
5			2-(N-(cyclopentyl)-aminomethyl)phenyl
467	SOCH <sub>3</sub>	2-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
468	SOCH <sub>3</sub>	2-pyrimidyl	2-(aminosulfonyl)phenyl
10	469	SOCH <sub>3</sub>	2-(methylaminosulfonyl)phenyl
	470	SOCH <sub>3</sub>	1-pyrrolidinocarbonyl
	471	SOCH <sub>3</sub>	2-(methylsulfonyl)phenyl
	472	SOCH <sub>3</sub>	2-(N,N-dimethylaminomethyl)phenyl
	473	SOCH <sub>3</sub>	2-(N-pyrrolidinylmethyl)phenyl
15			1-methyl-2-imidazolyl
	474	SOCH <sub>3</sub>	2-methyl-1-imidazolyl
	475	SOCH <sub>3</sub>	2-(dimethylaminomethyl)-1-imidazolyl
20	477	SOCH <sub>3</sub>	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	478	SOCH <sub>3</sub>	2-(N-(cyclobutyl)-aminomethyl)phenyl
	479	SOCH <sub>3</sub>	2-(N-(cyclopentyl)-aminomethyl)phenyl
25	480	SOCH <sub>3</sub>	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	481	SOCH <sub>3</sub>	2-(aminosulfonyl)phenyl
	482	SOCH <sub>3</sub>	2-(methylaminosulfonyl)phenyl
30	483	SOCH <sub>3</sub>	1-pyrrolidinocarbonyl
	484	SOCH <sub>3</sub>	2-(methylsulfonyl)phenyl
	485	SOCH <sub>3</sub>	2-(N,N-dimethylaminomethyl)phenyl
	486	SOCH <sub>3</sub>	2-(N-pyrrolidinylmethyl)phenyl
35	487	SOCH <sub>3</sub>	1-methyl-2-imidazolyl
	488	SOCH <sub>3</sub>	2-methyl-1-imidazolyl
	489	SOCH <sub>3</sub>	2-(dimethylaminomethyl)-1-imidazolyl
	490	SOCH <sub>3</sub>	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
40	491	SOCH <sub>3</sub>	2-(N-(cyclobutyl)-aminomethyl)phenyl
	492	SOCH <sub>3</sub>	2-(N-(cyclopentyl)-aminomethyl)phenyl
	493	SOCH <sub>3</sub>	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
45	494	SOCH <sub>3</sub>	

	495	SOCH3	2-F-phenyl	2-(aminosulfonyl)phenyl
	496	SOCH3	2-F-phenyl	2-(methylaminosulfonyl)phenyl
	497	SOCH3	2-F-phenyl	1-pyrrolidinocarbonyl
	498	SOCH3	2-F-phenyl	2-(methylsulfonyl)phenyl
5	499	SOCH3	2-F-phenyl	2-(N,N-dimethylaminomethyl)phenyl
	500	SOCH3	2-F-phenyl	2-(N-pyrrolidinylmethyl)phenyl
	501	SOCH3	2-F-phenyl	1-methyl-2-imidazolyl
	502	SOCH3	2-F-phenyl	2-methyl-1-imidazolyl
10	503	SOCH3	2-F-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
	504	SOCH3	2-F-phenyl	2-(N-(cyclopropylmethyl)aminomethyl)phenyl
	505	SOCH3	2-F-phenyl	2-(N-(cyclobutyl)aminomethyl)phenyl
15	506	SOCH3	2-F-phenyl	2-(N-(cyclopentyl)aminomethyl)phenyl
	507	SOCH3	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)methyl)phenyl
20	508	SOCH3	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
	509	SOCH3	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
	510	SOCH3	2,6-diF-phenyl	1-pyrrolidinocarbonyl
	511	SOCH3	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
	512	SOCH3	2,6-diF-phenyl	2-(N,N-dimethylaminomethyl)phenyl
25	513	SOCH3	2,6-diF-phenyl	2-(N-pyrrolidinylmethyl)phenyl
	514	SOCH3	2,6-diF-phenyl	1-methyl-2-imidazolyl
	515	SOCH3	2,6-diF-phenyl	2-methyl-1-imidazolyl
	516	SOCH3	2,6-diF-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
30	517	SOCH3	2,6-diF-phenyl	2-(N-(cyclopropylmethyl)aminomethyl)phenyl
	518	SOCH3	2,6-diF-phenyl	2-(N-(cyclobutyl)aminomethyl)phenyl
35	519	SOCH3	2,6-diF-phenyl	2-(N-(cyclopentyl)aminomethyl)phenyl
	520	SOCH3	2,6-diF-phenyl	2-(N-(3-hydroxypyrrolidinyl)methyl)phenyl
	521	SO <sub>2</sub> CH <sub>3</sub>	phenyl	2-(aminosulfonyl)phenyl
40	522	SO <sub>2</sub> CH <sub>3</sub>	phenyl	2-(methylaminosulfonyl)phenyl
	523	SO <sub>2</sub> CH <sub>3</sub>	phenyl	1-pyrrolidinocarbonyl
	524	SO <sub>2</sub> CH <sub>3</sub>	phenyl	2-(methylsulfonyl)phenyl
	525	SO <sub>2</sub> CH <sub>3</sub>	phenyl	2-(N,N-dimethylaminomethyl)phenyl
45	526	SO <sub>2</sub> CH <sub>3</sub>	phenyl	2-(N-pyrrolidinylmethyl)phenyl
	527	SO <sub>2</sub> CH <sub>3</sub>	phenyl	1-methyl-2-imidazolyl
	528	SO <sub>2</sub> CH <sub>3</sub>	phenyl	2-methyl-1-imidazolyl

	529	SO <sub>2</sub> CH <sub>3</sub>	phenyl	2-(dimethylaminomethyl)-1-imidazolyl
	530	SO <sub>2</sub> CH <sub>3</sub>	phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
5	531	SO <sub>2</sub> CH <sub>3</sub>	phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	532	SO <sub>2</sub> CH <sub>3</sub>	phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	533	SO <sub>2</sub> CH <sub>3</sub>	phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
10	534	SO <sub>2</sub> CH <sub>3</sub>	2-pyridyl	2-(aminosulfonyl)phenyl
	535	SO <sub>2</sub> CH <sub>3</sub>	2-pyridyl	2-(methylaminosulfonyl)phenyl
	536	SO <sub>2</sub> CH <sub>3</sub>	2-pyridyl	1-pyrrolidinocarbonyl
	537	SO <sub>2</sub> CH <sub>3</sub>	2-pyridyl	2-(methylsulfonyl)phenyl
15	538	SO <sub>2</sub> CH <sub>3</sub>	2-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
	539	SO <sub>2</sub> CH <sub>3</sub>	2-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
	540	SO <sub>2</sub> CH <sub>3</sub>	2-pyridyl	1-methyl-2-imidazolyl
	541	SO <sub>2</sub> CH <sub>3</sub>	2-pyridyl	2-methyl-1-imidazolyl
20	542	SO <sub>2</sub> CH <sub>3</sub>	2-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
	543	SO <sub>2</sub> CH <sub>3</sub>	2-pyridyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	544	SO <sub>2</sub> CH <sub>3</sub>	2-pyridyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
25	545	SO <sub>2</sub> CH <sub>3</sub>	2-pyridyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	546	SO <sub>2</sub> CH <sub>3</sub>	2-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
30	547	SO <sub>2</sub> CH <sub>3</sub>	3-pyridyl	2-(aminosulfonyl)phenyl
	548	SO <sub>2</sub> CH <sub>3</sub>	3-pyridyl	2-(methylaminosulfonyl)phenyl
	549	SO <sub>2</sub> CH <sub>3</sub>	3-pyridyl	1-pyrrolidinocarbonyl
	550	SO <sub>2</sub> CH <sub>3</sub>	3-pyridyl	2-(methylsulfonyl)phenyl
	551	SO <sub>2</sub> CH <sub>3</sub>	3-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
35	552	SO <sub>2</sub> CH <sub>3</sub>	3-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
	553	SO <sub>2</sub> CH <sub>3</sub>	3-pyridyl	1-methyl-2-imidazolyl
	554	SO <sub>2</sub> CH <sub>3</sub>	3-pyridyl	2-methyl-1-imidazolyl
	555	SO <sub>2</sub> CH <sub>3</sub>	3-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
40	556	SO <sub>2</sub> CH <sub>3</sub>	3-pyridyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	557	SO <sub>2</sub> CH <sub>3</sub>	3-pyridyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	558	SO <sub>2</sub> CH <sub>3</sub>	3-pyridyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
45	559	SO <sub>2</sub> CH <sub>3</sub>	3-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-

			methyl)phenyl	
560	SO <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	2-(aminosulfonyl)phenyl	
561	SO <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	2-(methylaminosulfonyl)phenyl	
562	SO <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	1-pyrrolidinocarbonyl	
5	563	SO <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	2-(methylsulfonyl)phenyl
	564	SO <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	2-(N,N-
			dimethylaminomethyl)phenyl	
	565	SO <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
	566	SO <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	1-methyl-2-imidazolyl
10	567	SO <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	2-methyl-1-imidazolyl
	568	SO <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	2-(dimethylaminomethyl)-1-
			imidazolyl	
	569	SO <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	2-(N-(cyclopropyl-
15	570	SO <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	methyl)aminomethyl)phenyl
	571	SO <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	2-(N-(cyclobutyl)-
			aminomethyl)phenyl	
	572	SO <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	2-(N-(cyclopentyl)-
20			aminomethyl)phenyl	
	573	SO <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-
	574	SO <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	methyl)phenyl
	575	SO <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	2-(aminosulfonyl)phenyl
	576	SO <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
25	577	SO <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	1-pyrrolidinocarbonyl
			2-(methylsulfonyl)phenyl	
			2-(N,N-	
			dimethylaminomethyl)phenyl	
	578	SO <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
	579	SO <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	1-methyl-2-imidazolyl
	580	SO <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	2-methyl-1-imidazolyl
30	581	SO <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	2-(dimethylaminomethyl)-1-
			imidazolyl	
	582	SO <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	2-(N-(cyclopropyl-
			methyl)aminomethyl)phenyl	
	583	SO <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	2-(N-(cyclobutyl)-
35			aminomethyl)phenyl	
	584	SO <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	2-(N-(cyclopentyl)-
			aminomethyl)phenyl	
	585	SO <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-
40			methyl)phenyl	
	586	SO <sub>2</sub> CH <sub>3</sub>	2-Cl-phenyl	2-(aminosulfonyl)phenyl
	587	SO <sub>2</sub> CH <sub>3</sub>	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
	588	SO <sub>2</sub> CH <sub>3</sub>	2-Cl-phenyl	1-pyrrolidinocarbonyl
	589	SO <sub>2</sub> CH <sub>3</sub>	2-Cl-phenyl	2-(methylsulfonyl)phenyl
	590	SO <sub>2</sub> CH <sub>3</sub>	2-Cl-phenyl	2-(N,N-
45			dimethylaminomethyl)phenyl	
	591	SO <sub>2</sub> CH <sub>3</sub>	2-Cl-phenyl	2-(N-pyrrolidinylmethyl)phenyl
	592	SO <sub>2</sub> CH <sub>3</sub>	2-Cl-phenyl	1-methyl-2-imidazolyl

	593	SO <sub>2</sub> CH <sub>3</sub>	2-Cl-phenyl	2-methyl-1-imidazolyl
	594	SO <sub>2</sub> CH <sub>3</sub>	2-Cl-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
5	595	SO <sub>2</sub> CH <sub>3</sub>	2-Cl-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	596	SO <sub>2</sub> CH <sub>3</sub>	2-Cl-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	597	SO <sub>2</sub> CH <sub>3</sub>	2-Cl-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
10	598	SO <sub>2</sub> CH <sub>3</sub>	2-Cl-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	599	SO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	2-(aminosulfonyl)phenyl
	600	SO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	2-(methylaminosulfonyl)phenyl
	601	SO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	1-pyrrolidinocarbonyl
15	602	SO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	2-(methylsulfonyl)phenyl
	603	SO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	2-(N,N-dimethylaminomethyl)phenyl
	604	SO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	2-(N-pyrrolidinylmethyl)phenyl
	605	SO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	1-methyl-2-imidazolyl
20	606	SO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	2-methyl-1-imidazolyl
	607	SO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
	608	SO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
25	609	SO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	610	SO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	611	SO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
30	612	SO <sub>2</sub> CH <sub>3</sub>	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
	613	SO <sub>2</sub> CH <sub>3</sub>	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
	614	SO <sub>2</sub> CH <sub>3</sub>	2,6-diF-phenyl	1-pyrrolidinocarbonyl
	615	SO <sub>2</sub> CH <sub>3</sub>	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
35	616	SO <sub>2</sub> CH <sub>3</sub>	2,6-diF-phenyl	2-(N,N-dimethylaminomethyl)phenyl
	617	SO <sub>2</sub> CH <sub>3</sub>	2,6-diF-phenyl	2-(N-pyrrolidinylmethyl)phenyl
	618	SO <sub>2</sub> CH <sub>3</sub>	2,6-diF-phenyl	1-methyl-2-imidazolyl
	619	SO <sub>2</sub> CH <sub>3</sub>	2,6-diF-phenyl	2-methyl-1-imidazolyl
40	620	SO <sub>2</sub> CH <sub>3</sub>	2,6-diF-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
	621	SO <sub>2</sub> CH <sub>3</sub>	2,6-diF-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	622	SO <sub>2</sub> CH <sub>3</sub>	2,6-diF-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
45	623	SO <sub>2</sub> CH <sub>3</sub>	2,6-diF-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl

	624	SO <sub>2</sub> CH <sub>3</sub>	2,6-diF-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	625	Cl	phenyl	2-(aminosulfonyl)phenyl
	626	Cl	phenyl	2-(methylaminosulfonyl)phenyl
5	627	Cl	phenyl	1-pyrrolidinocarbonyl
	628	Cl	phenyl	2-(methylsulfonyl)phenyl
	629	Cl	phenyl	2-(N,N-dimethylaminomethyl)phenyl
	630	Cl	phenyl	2-(N-pyrrolidinylmethyl)phenyl
10	631	Cl	phenyl	1-methyl-2-imidazolyl
	632	Cl	phenyl	2-methyl-1-imidazolyl
	633	Cl	phenyl	2-(dimethylaminomethyl)-1-imidazolyl
	634	Cl	phenyl	2-(N-(cyclopropylmethyl)aminomethyl)phenyl
15	635	Cl	phenyl	2-(N-(cyclobutylaminomethyl)phenyl
	636	Cl	phenyl	2-(N-(cyclopentylaminomethyl)phenyl
20	637	Cl	phenyl	2-(N-(3-hydroxypyrrolidinyl)methyl)phenyl
	638	Cl	2-pyridyl	2-(aminosulfonyl)phenyl
	639	Cl	2-pyridyl	2-(methylaminosulfonyl)phenyl
	640	Cl	2-pyridyl	1-pyrrolidinocarbonyl
25	641	Cl	2-pyridyl	2-(methylsulfonyl)phenyl
	642	Cl	2-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
	643	Cl	2-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
	644	Cl	2-pyridyl	1-methyl-2-imidazolyl
30	645	Cl	2-pyridyl	2-methyl-1-imidazolyl
	646	Cl	2-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
	647	Cl	2-pyridyl	2-(N-(cyclopropylmethyl)aminomethyl)phenyl
35	648	Cl	2-pyridyl	2-(N-(cyclobutylaminomethyl)phenyl
	649	Cl	2-pyridyl	2-(N-(cyclopentylaminomethyl)phenyl
	650	Cl	2-pyridyl	2-(N-(3-hydroxypyrrolidinyl)methyl)phenyl
40	651	Cl	3-pyridyl	2-(aminosulfonyl)phenyl
	652	Cl	3-pyridyl	2-(methylaminosulfonyl)phenyl
	653	Cl	3-pyridyl	1-pyrrolidinocarbonyl
	654	Cl	3-pyridyl	2-(methylsulfonyl)phenyl
45	655	Cl	3-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
	656	Cl	3-pyridyl	2-(N-pyrrolidinylmethyl)phenyl

	657	Cl	3-pyridyl	1-methyl-2-imidazolyl
	658	Cl	3-pyridyl	2-methyl-1-imidazolyl
	659	Cl	3-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
5	660	Cl	3-pyridyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	661	Cl	3-pyridyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	662	Cl	3-pyridyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
10	663	Cl	3-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	664	Cl	2-pyrimidyl	2-(aminosulfonyl)phenyl
	665	Cl	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
15	666	Cl	2-pyrimidyl	1-pyrrolidinocarbonyl
	667	Cl	2-pyrimidyl	2-(methylsulfonyl)phenyl
	668	Cl	2-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
20	669	Cl	2-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
	670	Cl	2-pyrimidyl	1-methyl-2-imidazolyl
	671	Cl	2-pyrimidyl	2-methyl-1-imidazolyl
	672	Cl	2-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
25	673	Cl	2-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	674	Cl	2-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	675	Cl	2-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
30	676	Cl	2-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	677	Cl	5-pyrimidyl	2-(aminosulfonyl)phenyl
	678	Cl	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
	679	Cl	5-pyrimidyl	1-pyrrolidinocarbonyl
35	680	Cl	5-pyrimidyl	2-(methylsulfonyl)phenyl
	681	Cl	5-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
	682	Cl	5-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
	683	Cl	5-pyrimidyl	1-methyl-2-imidazolyl
40	684	Cl	5-pyrimidyl	2-methyl-1-imidazolyl
	685	Cl	5-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
	686	Cl	5-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
45	687	Cl	5-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	688	Cl	5-pyrimidyl	2-(N-(cyclopentyl)-

			aminomethyl)phenyl
689	Cl	5-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
690	Cl	2-Cl-phenyl	2-(aminosulfonyl)phenyl
5	691	Cl	2-(methylaminosulfonyl)phenyl
692	Cl	2-Cl-phenyl	1-pyrrolidinocarbonyl
693	Cl	2-Cl-phenyl	2-(methylsulfonyl)phenyl
694	Cl	2-Cl-phenyl	2-(N,N-dimethylaminomethyl)phenyl
10	695	Cl	2-(N-pyrrolidinylmethyl)phenyl
696	Cl	2-Cl-phenyl	1-methyl-2-imidazolyl
697	Cl	2-Cl-phenyl	2-methyl-1-imidazolyl
698	Cl	2-Cl-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
15	699	Cl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
700	Cl	2-Cl-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
20	701	Cl	2-(N-(cyclopentyl)-aminomethyl)phenyl
702	Cl	2-Cl-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
703	Cl	2-F-phenyl	2-(aminosulfonyl)phenyl
704	Cl	2-F-phenyl	2-(methylaminosulfonyl)phenyl
25	705	Cl	1-pyrrolidinocarbonyl
706	Cl	2-F-phenyl	2-(methylsulfonyl)phenyl
707	Cl	2-F-phenyl	2-(N,N-dimethylaminomethyl)phenyl
708	Cl	2-F-phenyl	2-(N-pyrrolidinylmethyl)phenyl
30	709	Cl	1-methyl-2-imidazolyl
710	Cl	2-F-phenyl	2-methyl-1-imidazolyl
711	Cl	2-F-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
712	Cl	2-F-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
35	713	Cl	2-(N-(cyclobutyl)-aminomethyl)phenyl
714	Cl	2-F-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
40	715	Cl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
716	Cl	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
717	Cl	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
45	718	Cl	1-pyrrolidinocarbonyl
719	Cl	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
720	Cl	2,6-diF-phenyl	2-(N,N-dimethylaminomethyl)phenyl

	721	Cl	2,6-diF-phenyl	2-(N-pyrrolidinylmethyl)phenyl
	722	Cl	2,6-diF-phenyl	1-methyl-2-imidazolyl
	723	Cl	2,6-diF-phenyl	2-methyl-1-imidazolyl
	724	Cl	2,6-diF-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
5	725	Cl	2,6-diF-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	726	Cl	2,6-diF-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
10	727	Cl	2,6-diF-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	728	Cl	2,6-diF-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	729	F	phenyl	2-(aminosulfonyl)phenyl
15	730	F	phenyl	2-(methylaminosulfonyl)phenyl
	731	F	phenyl	1-pyrrolidinocarbonyl
	732	F	phenyl	2-(methylsulfonyl)phenyl
	733	F	phenyl	2-(N,N-dimethylaminomethyl)phenyl
20	734	F	phenyl	2-(N-pyrrolidinylmethyl)phenyl
	735	F	phenyl	1-methyl-2-imidazolyl
	736	F	phenyl	2-methyl-1-imidazolyl
	737	F	phenyl	2-(dimethylaminomethyl)-1-imidazolyl
25	738	F	phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	739	F	phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	740	F	phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
30	741	F	phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	742	F	2-pyridyl	2-(aminosulfonyl)phenyl
	743	F	2-pyridyl	2-(methylaminosulfonyl)phenyl
35	744	F	2-pyridyl	1-pyrrolidinocarbonyl
	745	F	2-pyridyl	2-(methylsulfonyl)phenyl
	746	F	2-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
	747	F	2-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
40	748	F	2-pyridyl	1-methyl-2-imidazolyl
	749	F	2-pyridyl	2-methyl-1-imidazolyl
	750	F	2-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
	751	F	2-pyridyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
45	752	F	2-pyridyl	2-(N-(cyclobutyl)-aminomethyl)phenyl

	753	F	2-pyridyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	754	F	2-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
5	755	F	3-pyridyl	2-(aminosulfonyl)phenyl
	756	F	3-pyridyl	2-(methylaminosulfonyl)phenyl
	757	F	3-pyridyl	1-pyrrolidinocarbonyl
	758	F	3-pyridyl	2-(methylsulfonyl)phenyl
	759	F	3-pyridyl	2-(N,N-
10				dimethylaminomethyl)phenyl
	760	F	3-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
	761	F	3-pyridyl	1-methyl-2-imidazolyl
	762	F	3-pyridyl	2-methyl-1-imidazolyl
	763	F	3-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
15	764	F	3-pyridyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	765	F	3-pyridyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
20	766	F	3-pyridyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	767	F	3-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	768	F	2-pyrimidyl	2-(aminosulfonyl)phenyl
25	769	F	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
	770	F	2-pyrimidyl	1-pyrrolidinocarbonyl
	771	F	2-pyrimidyl	2-(methylsulfonyl)phenyl
	772	F	2-pyrimidyl	2-(N,N-
30	773	F	2-pyrimidyl	dimethylaminomethyl)phenyl
	774	F	2-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
	775	F	2-pyrimidyl	1-methyl-2-imidazolyl
	776	F	2-pyrimidyl	2-methyl-1-imidazolyl
35	777	F	2-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
	778	F	2-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	779	F	2-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
40	780	F	2-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	781	F	5-pyrimidyl	2-(aminosulfonyl)phenyl
	782	F	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
45	783	F	5-pyrimidyl	1-pyrrolidinocarbonyl
	784	F	5-pyrimidyl	2-(methylsulfonyl)phenyl
	785	F	5-pyrimidyl	2-(N,N-

			dimethylaminomethyl)phenyl
786	F	5-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
787	F	5-pyrimidyl	1-methyl-2-imidazolyl
788	F	5-pyrimidyl	2-methyl-1-imidazolyl
5	789	F	5-pyrimidyl 2-(dimethylaminomethyl)-1-imidazolyl
790	F	5-pyrimidyl	2-(N-(cyclopropylmethyl)aminomethyl)phenyl
10	791	F	5-pyrimidyl 2-(N-(cyclobutyl)aminomethyl)phenyl
792	F	5-pyrimidyl	2-(N-(cyclopentyl)aminomethyl)phenyl
793	F	5-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)methyl)phenyl
15	794	F	2-F-phenyl 2-(aminosulfonyl)phenyl
795	F	2-F-phenyl	2-(methylaminosulfonyl)phenyl
796	F	2-F-phenyl	1-pyrrolidinocarbonyl
797	F	2-F-phenyl	2-(methylsulfonyl)phenyl
798	F	2-F-phenyl	2-(N,N-dimethylaminomethyl)phenyl
20	799	F	2-F-phenyl 2-(N-pyrrolidinylmethyl)phenyl
800	F	2-F-phenyl	1-methyl-2-imidazolyl
801	F	2-F-phenyl	2-methyl-1-imidazolyl
802	F	2-F-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
25	803	F	2-F-phenyl 2-(N-(cyclopropylmethyl)aminomethyl)phenyl
804	F	2-F-phenyl	2-(N-(cyclobutyl)aminomethyl)phenyl
30	805	F	2-F-phenyl 2-(N-(cyclopentyl)aminomethyl)phenyl
806	F	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)methyl)phenyl
35	807	F	2-F-phenyl 2-(aminosulfonyl)phenyl
808	F	2-F-phenyl	2-(methylaminosulfonyl)phenyl
809	F	2-F-phenyl	1-pyrrolidinocarbonyl
810	F	2-F-phenyl	2-(methylsulfonyl)phenyl
811	F	2-F-phenyl	2-(N,N-dimethylaminomethyl)phenyl
40	812	F	2-F-phenyl 2-(N-pyrrolidinylmethyl)phenyl
813	F	2-F-phenyl	1-methyl-2-imidazolyl
814	F	2-F-phenyl	2-methyl-1-imidazolyl
815	F	2-F-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
45	816	F	2-F-phenyl 2-(N-(cyclopropylmethyl)aminomethyl)phenyl
817	F	2-F-phenyl	2-(N-(cyclobutyl)-

			aminomethyl)phenyl
818	F	2-F-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
819	F	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
5			2-(aminosulfonyl)phenyl
820	F	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
821	F	2,6-diF-phenyl	1-pyrrolidinocarbonyl
822	F	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
823	F	2,6-diF-phenyl	2-(N,N-dimethylaminomethyl)phenyl
10	824	F	2-(N-pyrrolidinylmethyl)phenyl
825	F	2,6-diF-phenyl	1-methyl-2-imidazolyl
826	F	2,6-diF-phenyl	2-methyl-1-imidazolyl
15	827	F	2-(dimethylaminomethyl)-1-imidazolyl
828	F	2,6-diF-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
20	829	F	2-(N-(cyclobutyl)-aminomethyl)phenyl
830	F	2,6-diF-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
831	F	2,6-diF-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
25	832	F	2-(aminosulfonyl)phenyl
833	CO <sub>2</sub> CH <sub>3</sub>	phenyl	2-(methylaminosulfonyl)phenyl
834	CO <sub>2</sub> CH <sub>3</sub>	phenyl	1-pyrrolidinocarbonyl
835	CO <sub>2</sub> CH <sub>3</sub>	phenyl	2-(methylsulfonyl)phenyl
836	CO <sub>2</sub> CH <sub>3</sub>	phenyl	2-(N,N-dimethylaminomethyl)phenyl
30	837	CO <sub>2</sub> CH <sub>3</sub>	2-(N-pyrrolidinylmethyl)phenyl
838	CO <sub>2</sub> CH <sub>3</sub>	phenyl	1-methyl-2-imidazolyl
839	CO <sub>2</sub> CH <sub>3</sub>	phenyl	2-methyl-1-imidazolyl
35	840	CO <sub>2</sub> CH <sub>3</sub>	2-(dimethylaminomethyl)-1-imidazolyl
841	CO <sub>2</sub> CH <sub>3</sub>	phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
842	CO <sub>2</sub> CH <sub>3</sub>	phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
40	843	CO <sub>2</sub> CH <sub>3</sub>	2-(N-(cyclopentyl)-aminomethyl)phenyl
844	CO <sub>2</sub> CH <sub>3</sub>	phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
45	845	CO <sub>2</sub> CH <sub>3</sub>	2-(aminosulfonyl)phenyl
846	CO <sub>2</sub> CH <sub>3</sub>	2-pyridyl	2-(methylaminosulfonyl)phenyl
847	CO <sub>2</sub> CH <sub>3</sub>	2-pyridyl	1-pyrrolidinocarbonyl
848	CO <sub>2</sub> CH <sub>3</sub>	2-pyridyl	2-(methylsulfonyl)phenyl
849	CO <sub>2</sub> CH <sub>3</sub>	2-pyridyl	

	850	CO <sub>2</sub> CH <sub>3</sub>	2-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
5	851	CO <sub>2</sub> CH <sub>3</sub>	2-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
	852	CO <sub>2</sub> CH <sub>3</sub>	2-pyridyl	1-methyl-2-imidazolyl
	853	CO <sub>2</sub> CH <sub>3</sub>	2-pyridyl	2-methyl-1-imidazolyl
	854	CO <sub>2</sub> CH <sub>3</sub>	2-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
10	855	CO <sub>2</sub> CH <sub>3</sub>	2-pyridyl	2-(N-(cyclopropylmethyl)aminomethyl)phenyl
	856	CO <sub>2</sub> CH <sub>3</sub>	2-pyridyl	2-(N-(cyclobutyl)aminomethyl)phenyl
	857	CO <sub>2</sub> CH <sub>3</sub>	2-pyridyl	2-(N-(cyclopentyl)aminomethyl)phenyl
15	858	CO <sub>2</sub> CH <sub>3</sub>	2-pyridyl	2-(N-(3-hydroxypyrrolidinyl)methyl)phenyl
	859	CO <sub>2</sub> CH <sub>3</sub>	3-pyridyl	2-(aminosulfonyl)phenyl
	860	CO <sub>2</sub> CH <sub>3</sub>	3-pyridyl	2-(methylaminosulfonyl)phenyl
	861	CO <sub>2</sub> CH <sub>3</sub>	3-pyridyl	1-pyrrolidinocarbonyl
	862	CO <sub>2</sub> CH <sub>3</sub>	3-pyridyl	2-(methylsulfonyl)phenyl
20	863	CO <sub>2</sub> CH <sub>3</sub>	3-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
	864	CO <sub>2</sub> CH <sub>3</sub>	3-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
	865	CO <sub>2</sub> CH <sub>3</sub>	3-pyridyl	1-methyl-2-imidazolyl
	866	CO <sub>2</sub> CH <sub>3</sub>	3-pyridyl	2-methyl-1-imidazolyl
25	867	CO <sub>2</sub> CH <sub>3</sub>	3-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
	868	CO <sub>2</sub> CH <sub>3</sub>	3-pyridyl	2-(N-(cyclopropylmethyl)aminomethyl)phenyl
	869	CO <sub>2</sub> CH <sub>3</sub>	3-pyridyl	2-(N-(cyclobutyl)aminomethyl)phenyl
30	870	CO <sub>2</sub> CH <sub>3</sub>	3-pyridyl	2-(N-(cyclopentyl)aminomethyl)phenyl
	871	CO <sub>2</sub> CH <sub>3</sub>	3-pyridyl	2-(N-(3-hydroxypyrrolidinyl)methyl)phenyl
35	872	CO <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	2-(aminosulfonyl)phenyl
	873	CO <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
	874	CO <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	1-pyrrolidinocarbonyl
	875	CO <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	2-(methylsulfonyl)phenyl
	876	CO <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
40	877	CO <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
	878	CO <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	1-methyl-2-imidazolyl
	879	CO <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	2-methyl-1-imidazolyl
	880	CO <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
45	881	CO <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	2-(N-(cyclopropylmethyl)aminomethyl)phenyl

	882	CO <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	883	CO <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
5	884	CO <sub>2</sub> CH <sub>3</sub>	2-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	885	CO <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	2-(aminosulfonyl)phenyl
	886	CO <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
	887	CO <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	1-pyrrolidinocarbonyl
10	888	CO <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	2-(methylsulfonyl)phenyl
	889	CO <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
	890	CO <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
	891	CO <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	1-methyl-2-imidazolyl
15	892	CO <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	2-methyl-1-imidazolyl
	893	CO <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
	894	CO <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
20	895	CO <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	896	CO <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	897	CO <sub>2</sub> CH <sub>3</sub>	5-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
25	898	CO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	2-(aminosulfonyl)phenyl
	899	CO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	2-(methylaminosulfonyl)phenyl
	900	CO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	1-pyrrolidinocarbonyl
	901	CO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	2-(methylsulfonyl)phenyl
30	902	CO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	2-(N,N-dimethylaminomethyl)phenyl
	903	CO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	2-(N-pyrrolidinylmethyl)phenyl
	904	CO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	1-methyl-2-imidazolyl
	905	CO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	2-methyl-1-imidazolyl
35	906	CO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
	907	CO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	908	CO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
40	909	CO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	910	CO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
45	911	CO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	2-(aminosulfonyl)phenyl
	912	CO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	2-(methylaminosulfonyl)phenyl
	913	CO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	1-pyrrolidinocarbonyl

	914	CO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	2-(methylsulfonyl)phenyl
	915	CO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	2-(N,N-dimethylaminomethyl)phenyl
5	916	CO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	2-(N-pyrrolidinylmethyl)phenyl
	917	CO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	1-methyl-2-imidazolyl
	918	CO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	2-methyl-1-imidazolyl
	919	CO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
10	920	CO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	2-(N-(cyclopropylmethyl)aminomethyl)phenyl
	921	CO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	2-(N-(cyclobutyl)aminomethyl)phenyl
	922	CO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	2-(N-(cyclopentyl)aminomethyl)phenyl
15	923	CO <sub>2</sub> CH <sub>3</sub>	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)methyl)phenyl
	924	CO <sub>2</sub> CH <sub>3</sub>	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
	925	CO <sub>2</sub> CH <sub>3</sub>	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
	926	CO <sub>2</sub> CH <sub>3</sub>	2,6-diF-phenyl	1-pyrrolidinocarbonyl
20	927	CO <sub>2</sub> CH <sub>3</sub>	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
	928	CO <sub>2</sub> CH <sub>3</sub>	2,6-diF-phenyl	2-(N,N-dimethylaminomethyl)phenyl
	929	CO <sub>2</sub> CH <sub>3</sub>	2,6-diF-phenyl	2-(N-pyrrolidinylmethyl)phenyl
	930	CO <sub>2</sub> CH <sub>3</sub>	2,6-diF-phenyl	1-methyl-2-imidazolyl
25	931	CO <sub>2</sub> CH <sub>3</sub>	2,6-diF-phenyl	2-methyl-1-imidazolyl
	932	CO <sub>2</sub> CH <sub>3</sub>	2,6-diF-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
	933	CO <sub>2</sub> CH <sub>3</sub>	2,6-diF-phenyl	2-(N-(cyclopropylmethyl)aminomethyl)phenyl
30	934	CO <sub>2</sub> CH <sub>3</sub>	2,6-diF-phenyl	2-(N-(cyclobutyl)aminomethyl)phenyl
	935	CO <sub>2</sub> CH <sub>3</sub>	2,6-diF-phenyl	2-(N-(cyclopentyl)aminomethyl)phenyl
	936	CO <sub>2</sub> CH <sub>3</sub>	2,6-diF-phenyl	2-(N-(3-hydroxypyrrolidinyl)methyl)phenyl
35	937	CH <sub>2</sub> OCH <sub>3</sub>	phenyl	2-(aminosulfonyl)phenyl
	938	CH <sub>2</sub> OCH <sub>3</sub>	phenyl	2-(methylaminosulfonyl)phenyl
	939	CH <sub>2</sub> OCH <sub>3</sub>	phenyl	1-pyrrolidinocarbonyl
	940	CH <sub>2</sub> OCH <sub>3</sub>	phenyl	2-(methylsulfonyl)phenyl
40	941	CH <sub>2</sub> OCH <sub>3</sub>	phenyl	2-(N,N-dimethylaminomethyl)phenyl
	942	CH <sub>2</sub> OCH <sub>3</sub>	phenyl	2-(N-pyrrolidinylmethyl)phenyl
	943	CH <sub>2</sub> OCH <sub>3</sub>	phenyl	1-methyl-2-imidazolyl
	944	CH <sub>2</sub> OCH <sub>3</sub>	phenyl	2-methyl-1-imidazolyl
45	945	CH <sub>2</sub> OCH <sub>3</sub>	phenyl	2-(dimethylaminomethyl)-1-imidazolyl
	946	CH <sub>2</sub> OCH <sub>3</sub>	phenyl	2-(N-(cyclopropyl-

			methyl)aminomethyl)phenyl	
947	CH2OCH3	phenyl	2-(N-(cyclobutyl)- aminomethyl)phenyl	
948	CH2OCH3	phenyl	2-(N-(cyclopentyl)- aminomethyl)phenyl	
5	949	CH2OCH3	phenyl	2-(N-(3-hydroxypyrrolidinyl)- methyl)phenyl
950	CH2OCH3	2-pyridyl	2-(aminosulfonyl)phenyl	
951	CH2OCH3	2-pyridyl	2-(methylaminosulfonyl)phenyl	
10	952	CH2OCH3	2-pyridyl	1-pyrrolidinocarbonyl
953	CH2OCH3	2-pyridyl	2-(methylsulfonyl)phenyl	
954	CH2OCH3	2-pyridyl	2-(N,N- dimethylaminomethyl)phenyl	
15	955	CH2OCH3	2-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
956	CH2OCH3	2-pyridyl	1-methyl-2-imidazolyl	
957	CH2OCH3	2-pyridyl	2-methyl-1-imidazolyl	
958	CH2OCH3	2-pyridyl	2-(dimethylaminomethyl)-1- imidazolyl	
20	959	CH2OCH3	2-pyridyl	2-(N-(cyclopropyl)- methyl)aminomethyl)phenyl
960	CH2OCH3	2-pyridyl	2-(N-(cyclobutyl)- aminomethyl)phenyl	
961	CH2OCH3	2-pyridyl	2-(N-(cyclopentyl)- aminomethyl)phenyl	
25	962	CH2OCH3	2-pyridyl	2-(N-(3-hydroxypyrrolidinyl)- methyl)phenyl
963	CH2OCH3	3-pyridyl	2-(aminosulfonyl)phenyl	
964	CH2OCH3	3-pyridyl	2-(methylaminosulfonyl)phenyl	
30	965	CH2OCH3	3-pyridyl	1-pyrrolidinocarbonyl
966	CH2OCH3	3-pyridyl	2-(methylsulfonyl)phenyl	
967	CH2OCH3	3-pyridyl	2-(N,N- dimethylaminomethyl)phenyl	
35	968	CH2OCH3	3-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
969	CH2OCH3	3-pyridyl	1-methyl-2-imidazolyl	
970	CH2OCH3	3-pyridyl	2-methyl-1-imidazolyl	
971	CH2OCH3	3-pyridyl	2-(dimethylaminomethyl)-1- imidazolyl	
40	972	CH2OCH3	3-pyridyl	2-(N-(cyclopropyl)- methyl)aminomethyl)phenyl
973	CH2OCH3	3-pyridyl	2-(N-(cyclobutyl)- aminomethyl)phenyl	
974	CH2OCH3	3-pyridyl	2-(N-(cyclopentyl)- aminomethyl)phenyl	
45	975	CH2OCH3	3-pyridyl	2-(N-(3-hydroxypyrrolidinyl)- methyl)phenyl
976	CH2OCH3	2-pyrimidyl	2-(aminosulfonyl)phenyl	
977	CH2OCH3	2-pyrimidyl	2-(methylaminosulfonyl)phenyl	

	978	CH <sub>2</sub> OCH <sub>3</sub>	2-pyrimidyl	1-pyrrolidinocarbonyl
	979	CH <sub>2</sub> OCH <sub>3</sub>	2-pyrimidyl	2-(methylsulfonyl)phenyl
	980	CH <sub>2</sub> OCH <sub>3</sub>	2-pyrimidyl	2-(N,N-
				dimethylaminomethyl)phenyl
5	981	CH <sub>2</sub> OCH <sub>3</sub>	2-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
	982	CH <sub>2</sub> OCH <sub>3</sub>	2-pyrimidyl	1-methyl-2-imidazolyl
	983	CH <sub>2</sub> OCH <sub>3</sub>	2-pyrimidyl	2-methyl-1-imidazolyl
	984	CH <sub>2</sub> OCH <sub>3</sub>	2-pyrimidyl	2-(dimethylaminomethyl)-1-
10	985	CH <sub>2</sub> OCH <sub>3</sub>	2-pyrimidyl	imidazolyl
	986	CH <sub>2</sub> OCH <sub>3</sub>	2-pyrimidyl	2-(N-(cyclopropyl-
				methyl)aminomethyl)phenyl
	987	CH <sub>2</sub> OCH <sub>3</sub>	2-pyrimidyl	2-(N-(cyclobutyl)-
15	988	CH <sub>2</sub> OCH <sub>3</sub>	2-pyrimidyl	aminomethyl)phenyl
	989	CH <sub>2</sub> OCH <sub>3</sub>	5-pyrimidyl	2-(N-(cyclopentyl)-
	990	CH <sub>2</sub> OCH <sub>3</sub>	5-pyrimidyl	aminomethyl)phenyl
20	991	CH <sub>2</sub> OCH <sub>3</sub>	5-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-
	992	CH <sub>2</sub> OCH <sub>3</sub>	5-pyrimidyl	methyl)phenyl
	993	CH <sub>2</sub> OCH <sub>3</sub>	5-pyrimidyl	2-(aminosulfonyl)phenyl
				2-(methylaminosulfonyl)phenyl
	994	CH <sub>2</sub> OCH <sub>3</sub>	5-pyrimidyl	1-pyrrolidinocarbonyl
25	995	CH <sub>2</sub> OCH <sub>3</sub>	5-pyrimidyl	2-(methylsulfonyl)phenyl
	996	CH <sub>2</sub> OCH <sub>3</sub>	5-pyrimidyl	2-(N,N-
	997	CH <sub>2</sub> OCH <sub>3</sub>	5-pyrimidyl	dimethylaminomethyl)phenyl
	998	CH <sub>2</sub> OCH <sub>3</sub>	5-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
30	999	CH <sub>2</sub> OCH <sub>3</sub>	5-pyrimidyl	1-methyl-2-imidazolyl
	1000	CH <sub>2</sub> OCH <sub>3</sub>	5-pyrimidyl	2-methyl-1-imidazolyl
				2-(dimethylaminomethyl)-1-
35	1001	CH <sub>2</sub> OCH <sub>3</sub>	5-pyrimidyl	imidazolyl
	1002	CH <sub>2</sub> OCH <sub>3</sub>	2-F-phenyl	2-(N-(cyclopropyl-
	1003	CH <sub>2</sub> OCH <sub>3</sub>	2-F-phenyl	methyl)aminomethyl)phenyl
	1004	CH <sub>2</sub> OCH <sub>3</sub>	2-F-phenyl	2-(N-(cyclobutyl)-
40	1005	CH <sub>2</sub> OCH <sub>3</sub>	2-F-phenyl	aminomethyl)phenyl
	1006	CH <sub>2</sub> OCH <sub>3</sub>	2-F-phenyl	2-(N-(cyclopentyl)-
				aminomethyl)phenyl
	1007	CH <sub>2</sub> OCH <sub>3</sub>	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)-
	1008	CH <sub>2</sub> OCH <sub>3</sub>	2-F-phenyl	methyl)phenyl
45	1009	CH <sub>2</sub> OCH <sub>3</sub>	2-F-phenyl	2-(aminosulfonyl)phenyl
	1010	CH <sub>2</sub> OCH <sub>3</sub>	2-F-phenyl	2-(methylaminosulfonyl)phenyl
				1-pyrrolidinocarbonyl
				2-(methylsulfonyl)phenyl
				2-(N,N-
				dimethylaminomethyl)phenyl
				2-(N-pyrrolidinylmethyl)phenyl
				1-methyl-2-imidazolyl
				2-methyl-1-imidazolyl
				2-(dimethylaminomethyl)-1-
				imidazolyl

	1011	CH <sub>2</sub> OCH <sub>3</sub>	2-F-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	1012	CH <sub>2</sub> OCH <sub>3</sub>	2-F-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
5	1013	CH <sub>2</sub> OCH <sub>3</sub>	2-F-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	1014	CH <sub>2</sub> OCH <sub>3</sub>	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	1015	CH <sub>2</sub> OCH <sub>3</sub>	2-F-phenyl	2-(aminosulfonyl)phenyl
10	1016	CH <sub>2</sub> OCH <sub>3</sub>	2-F-phenyl	2-(methylaminosulfonyl)phenyl
	1017	CH <sub>2</sub> OCH <sub>3</sub>	2-F-phenyl	1-pyrrolidinocarbonyl
	1018	CH <sub>2</sub> OCH <sub>3</sub>	2-F-phenyl	2-(methylsulfonyl)phenyl
	1019	CH <sub>2</sub> OCH <sub>3</sub>	2-F-phenyl	2-(N,N-dimethylaminomethyl)phenyl
15	1020	CH <sub>2</sub> OCH <sub>3</sub>	2-F-phenyl	2-(N-pyrrolidinylmethyl)phenyl
	1021	CH <sub>2</sub> OCH <sub>3</sub>	2-F-phenyl	1-methyl-2-imidazolyl
	1022	CH <sub>2</sub> OCH <sub>3</sub>	2-F-phenyl	2-methyl-1-imidazolyl
	1023	CH <sub>2</sub> OCH <sub>3</sub>	2-F-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
20	1024	CH <sub>2</sub> OCH <sub>3</sub>	2-F-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	1025	CH <sub>2</sub> OCH <sub>3</sub>	2-F-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	1026	CH <sub>2</sub> OCH <sub>3</sub>	2-F-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
25	1027	CH <sub>2</sub> OCH <sub>3</sub>	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	1028	CH <sub>2</sub> OCH <sub>3</sub>	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
	1029	CH <sub>2</sub> OCH <sub>3</sub>	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
30	1030	CH <sub>2</sub> OCH <sub>3</sub>	2,6-diF-phenyl	1-pyrrolidinocarbonyl
	1031	CH <sub>2</sub> OCH <sub>3</sub>	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
	1032	CH <sub>2</sub> OCH <sub>3</sub>	2,6-diF-phenyl	2-(N,N-dimethylaminomethyl)phenyl
	1033	CH <sub>2</sub> OCH <sub>3</sub>	2,6-diF-phenyl	2-(N-pyrrolidinylmethyl)phenyl
35	1034	CH <sub>2</sub> OCH <sub>3</sub>	2,6-diF-phenyl	1-methyl-2-imidazolyl
	1035	CH <sub>2</sub> OCH <sub>3</sub>	2,6-diF-phenyl	2-methyl-1-imidazolyl
	1036	CH <sub>2</sub> OCH <sub>3</sub>	2,6-diF-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
	1037	CH <sub>2</sub> OCH <sub>3</sub>	2,6-diF-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
40	1038	CH <sub>2</sub> OCH <sub>3</sub>	2,6-diF-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	1039	CH <sub>2</sub> OCH <sub>3</sub>	2,6-diF-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
45	1040	CH <sub>2</sub> OCH <sub>3</sub>	2,6-diF-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	1041	CONH <sub>2</sub>	phenyl	2-(aminosulfonyl)phenyl

	1042	CONH2	phenyl	2-(methylaminosulfonyl)phenyl
	1043	CONH2	phenyl	1-pyrrolidinocarbonyl
	1044	CONH2	phenyl	2-(methylsulfonyl)phenyl
	1045	CONH2	phenyl	2-(N,N-
5				dimethylaminomethyl)phenyl
	1046	CONH2	phenyl	2-(N-pyrrolidinylmethyl)phenyl
	1047	CONH2	phenyl	1-methyl-2-imidazolyl
	1048	CONH2	phenyl	2-methyl-1-imidazolyl
	1049	CONH2	phenyl	2-(dimethylaminomethyl)-1-
10				imidazolyl
	1050	CONH2	phenyl	2-(N-(cyclopropyl-
				methyl)aminomethyl)phenyl
	1051	CONH2	phenyl	2-(N-(cyclobutyl)-
				aminomethyl)phenyl
15	1052	CONH2	phenyl	2-(N-(cyclopentyl)-
				aminomethyl)phenyl
	1053	CONH2	phenyl	2-(N-(3-hydroxypyrrolidinyl)-
				methyl)phenyl
	1054	CONH2	2-pyridyl	2-(aminosulfonyl)phenyl
20	1055	CONH2	2-pyridyl	2-(methylaminosulfonyl)phenyl
	1056	CONH2	2-pyridyl	1-pyrrolidinocarbonyl
	1057	CONH2	2-pyridyl	2-(methylsulfonyl)phenyl
	1058	CONH2	2-pyridyl	2-(N,N-
				dimethylaminomethyl)phenyl
25	1059	CONH2	2-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
	1060	CONH2	2-pyridyl	1-methyl-2-imidazolyl
	1061	CONH2	2-pyridyl	2-methyl-1-imidazolyl
	1062	CONH2	2-pyridyl	2-(dimethylaminomethyl)-1-
30				imidazolyl
	1063	CONH2	2-pyridyl	2-(N-(cyclopropyl-
				methyl)aminomethyl)phenyl
	1064	CONH2	2-pyridyl	2-(N-(cyclobutyl)-
				aminomethyl)phenyl
	1065	CONH2	2-pyridyl	2-(N-(cyclopentyl)-
				aminomethyl)phenyl
35	1066	CONH2	2-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-
				methyl)phenyl
	1067	CONH2	3-pyridyl	2-(aminosulfonyl)phenyl
	1068	CONH2	3-pyridyl	2-(methylaminosulfonyl)phenyl
40	1069	CONH2	3-pyridyl	1-pyrrolidinocarbonyl
	1070	CONH2	3-pyridyl	2-(methylsulfonyl)phenyl
	1071	CONH2	3-pyridyl	2-(N,N-
				dimethylaminomethyl)phenyl
	1072	CONH2	3-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
45	1073	CONH2	3-pyridyl	1-methyl-2-imidazolyl
	1074	CONH2	3-pyridyl	2-methyl-1-imidazolyl
	1075	CONH2	3-pyridyl	2-(dimethylaminomethyl)-1-

			imidazolyl	
1076	CONH2	3-pyridyl	2-(N-(cyclopropyl)- methyl)aminomethyl)phenyl	
5	1077	CONH2	3-pyridyl	2-(N-(cyclobutyl)- aminomethyl)phenyl
	1078	CONH2	3-pyridyl	2-(N-(cyclopentyl)- aminomethyl)phenyl
	1079	CONH2	3-pyridyl	2-(N-(3-hydroxypyrrolidinyl)- methyl)phenyl
10	1080	CONH2	2-pyrimidyl	2-(aminosulfonyl)phenyl
	1081	CONH2	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
	1082	CONH2	2-pyrimidyl	1-pyrrolidinocarbonyl
	1083	CONH2	2-pyrimidyl	2-(methylsulfonyl)phenyl
	1084	CONH2	2-pyrimidyl	2-(N,N- dimethylaminomethyl)phenyl
15	1085	CONH2	2-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
	1086	CONH2	2-pyrimidyl	1-methyl-2-imidazolyl
	1087	CONH2	2-pyrimidyl	2-methyl-1-imidazolyl
	1088	CONH2	2-pyrimidyl	2-(dimethylaminomethyl)-1- imidazolyl
20	1089	CONH2	2-pyrimidyl	2-(N-(cyclopropyl- methyl)aminomethyl)phenyl
	1090	CONH2	2-pyrimidyl	2-(N-(cyclobutyl)- aminomethyl)phenyl
25	1091	CONH2	2-pyrimidyl	2-(N-(cyclopentyl)- aminomethyl)phenyl
	1092	CONH2	2-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)- methyl)phenyl
	1093	CONH2	5-pyrimidyl	2-(aminosulfonyl)phenyl
30	1094	CONH2	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
	1095	CONH2	5-pyrimidyl	1-pyrrolidinocarbonyl
	1096	CONH2	5-pyrimidyl	2-(methylsulfonyl)phenyl
	1097	CONH2	5-pyrimidyl	2-(N,N- dimethylaminomethyl)phenyl
35	1098	CONH2	5-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
	1099	CONH2	5-pyrimidyl	1-methyl-2-imidazolyl
	1100	CONH2	5-pyrimidyl	2-methyl-1-imidazolyl
	1101	CONH2	5-pyrimidyl	2-(dimethylaminomethyl)-1- imidazolyl
40	1102	CONH2	5-pyrimidyl	2-(N-(cyclopropyl- methyl)aminomethyl)phenyl
	1103	CONH2	5-pyrimidyl	2-(N-(cyclobutyl)- aminomethyl)phenyl
	1104	CONH2	5-pyrimidyl	2-(N-(cyclopentyl)- aminomethyl)phenyl
45	1105	CONH2	5-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)- methyl)phenyl

	1106	CONH2	2-F-phenyl	2-(aminosulfonyl)phenyl
	1107	CONH2	2-F-phenyl	2-(methylaminosulfonyl)phenyl
	1108	CONH2	2-F-phenyl	1-pyrrolidinocarbonyl
	1109	CONH2	2-F-phenyl	2-(methylsulfonyl)phenyl
5	1110	CONH2	2-F-phenyl	2-(N,N-dimethylaminomethyl)phenyl
	1111	CONH2	2-F-phenyl	2-(N-pyrrolidinylmethyl)phenyl
	1112	CONH2	2-F-phenyl	1-methyl-2-imidazolyl
	1113	CONH2	2-F-phenyl	2-methyl-1-imidazolyl
10	1114	CONH2	2-F-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
	1115	CONH2	2-F-phenyl	2-(N-(cyclopropylmethyl)aminomethyl)phenyl
	1116	CONH2	2-F-phenyl	2-(N-(cyclobutyl)aminomethyl)phenyl
15	1117	CONH2	2-F-phenyl	2-(N-(cyclopentyl)aminomethyl)phenyl
	1118	CONH2	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)methyl)phenyl
20	1119	CONH2	2-F-phenyl	2-(aminosulfonyl)phenyl
	1120	CONH2	2-F-phenyl	2-(methylaminosulfonyl)phenyl
	1121	CONH2	2-F-phenyl	1-pyrrolidinocarbonyl
	1122	CONH2	2-F-phenyl	2-(methylsulfonyl)phenyl
	1123	CONH2	2-F-phenyl	2-(N,N-dimethylaminomethyl)phenyl
25	1124	CONH2	2-F-phenyl	2-(N-pyrrolidinylmethyl)phenyl
	1125	CONH2	2-F-phenyl	1-methyl-2-imidazolyl
	1126	CONH2	2-F-phenyl	2-methyl-1-imidazolyl
	1127	CONH2	2-F-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
30	1128	CONH2	2-F-phenyl	2-(N-(cyclopropylmethyl)aminomethyl)phenyl
	1129	CONH2	2-F-phenyl	2-(N-(cyclobutyl)aminomethyl)phenyl
35	1130	CONH2	2-F-phenyl	2-(N-(cyclopentyl)aminomethyl)phenyl
	1131	CONH2	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)methyl)phenyl
	1132	CONH2	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
40	1133	CONH2	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
	1134	CONH2	2,6-diF-phenyl	1-pyrrolidinocarbonyl
	1135	CONH2	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
	1136	CONH2	2,6-diF-phenyl	2-(N,N-dimethylaminomethyl)phenyl
45	1137	CONH2	2,6-diF-phenyl	2-(N-pyrrolidinylmethyl)phenyl
	1138	CONH2	2,6-diF-phenyl	1-methyl-2-imidazolyl
	1139	CONH2	2,6-diF-phenyl	2-methyl-1-imidazolyl

	1140	CONH2	2,6-diF-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
	1141	CONH2	2,6-diF-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
5	1142	CONH2	2,6-diF-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	1143	CONH2	2,6-diF-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	1144	CONH2	2,6-diF-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
10	1145	CN	phenyl	2-(aminosulfonyl)phenyl
	1146	CN	phenyl	2-(methylaminosulfonyl)phenyl
	1147	CN	phenyl	1-pyrrolidinocarbonyl
	1148	CN	phenyl	2-(methylsulfonyl)phenyl
15	1149	CN	phenyl	2-(N,N-dimethylaminomethyl)phenyl
	1150	CN	phenyl	2-(N-pyrrolidinylmethyl)phenyl
	1151	CN	phenyl	1-methyl-2-imidazolyl
	1152	CN	phenyl	2-methyl-1-imidazolyl
20	1153	CN	phenyl	2-(dimethylaminomethyl)-1-imidazolyl
	1154	CN	phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	1155	CN	phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
25	1156	CN	phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	1157	CN	phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
30	1158	CN	2-pyridyl	2-(aminosulfonyl)phenyl
	1159	CN	2-pyridyl	2-(methylaminosulfonyl)phenyl
	1160	CN	2-pyridyl	1-pyrrolidinocarbonyl
	1161	CN	2-pyridyl	2-(methylsulfonyl)phenyl
	1162	CN	2-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
35	1163	CN	2-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
	1164	CN	2-pyridyl	1-methyl-2-imidazolyl
	1165	CN	2-pyridyl	2-methyl-1-imidazolyl
	1166	CN	2-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
40	1167	CN	2-pyridyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	1168	CN	2-pyridyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
45	1169	CN	2-pyridyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	1170	CN	2-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-

			methyl)phenyl	
1171	CN	3-pyridyl	2-(aminosulfonyl)phenyl	
1172	CN	3-pyridyl	2-(methylaminosulfonyl)phenyl	
1173	CN	3-pyridyl	1-pyrrolidinocarbonyl	
5	1174	CN	3-pyridyl	2-(methylsulfonyl)phenyl
	1175	CN	3-pyridyl	2-(N,N- dimethylaminomethyl)phenyl
1176	CN	3-pyridyl	2-(N-pyrrolidinylmethyl)phenyl	
1177	CN	3-pyridyl	1-methyl-2-imidazolyl	
10	1178	CN	3-pyridyl	2-methyl-1-imidazolyl
	1179	CN	3-pyridyl	2-(dimethylaminomethyl)-1- imidazolyl
	1180	CN	3-pyridyl	2-(N-(cyclopropyl- methyl)aminomethyl)phenyl
15	1181	CN	3-pyridyl	2-(N-(cyclobutyl)- aminomethyl)phenyl
	1182	CN	3-pyridyl	2-(N-(cyclopentyl)- aminomethyl)phenyl
20	1183	CN	3-pyridyl	2-(N-(3-hydroxypyrrolidinyl)- methyl)phenyl
	1184	CN	2-pyrimidyl	2-(aminosulfonyl)phenyl
	1185	CN	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
	1186	CN	2-pyrimidyl	1-pyrrolidinocarbonyl
25	1187	CN	2-pyrimidyl	2-(methylsulfonyl)phenyl
	1188	CN	2-pyrimidyl	2-(N,N- dimethylaminomethyl)phenyl
	1189	CN	2-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
	1190	CN	2-pyrimidyl	1-methyl-2-imidazolyl
30	1191	CN	2-pyrimidyl	2-methyl-1-imidazolyl
	1192	CN	2-pyrimidyl	2-(dimethylaminomethyl)-1- imidazolyl
	1193	CN	2-pyrimidyl	2-(N-(cyclopropyl- methyl)aminomethyl)phenyl
35	1194	CN	2-pyrimidyl	2-(N-(cyclobutyl)- aminomethyl)phenyl
	1195	CN	2-pyrimidyl	2-(N-(cyclopentyl)- aminomethyl)phenyl
	1196	CN	2-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)- methyl)phenyl
40	1197	CN	5-pyrimidyl	2-(aminosulfonyl)phenyl
	1198	CN	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
	1199	CN	5-pyrimidyl	1-pyrrolidinocarbonyl
	1200	CN	5-pyrimidyl	2-(methylsulfonyl)phenyl
45	1201	CN	5-pyrimidyl	2-(N,N- dimethylaminomethyl)phenyl
	1202	CN	5-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
	1203	CN	5-pyrimidyl	1-methyl-2-imidazolyl

	1204	CN	5-pyrimidyl	2-methyl-1-imidazolyl
	1205	CN	5-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
5	1206	CN	5-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	1207	CN	5-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	1208	CN	5-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
10	1209	CN	5-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	1210	CN	2-F-phenyl	2-(aminosulfonyl)phenyl
	1211	CN	2-F-phenyl	2-(methylaminosulfonyl)phenyl
	1212	CN	2-F-phenyl	1-pyrrolidinocarbonyl
15	1213	CN	2-F-phenyl	2-(methylsulfonyl)phenyl
	1214	CN	2-F-phenyl	2-(N,N-dimethylaminomethyl)phenyl
	1215	CN	2-F-phenyl	2-(N-pyrrolidinylmethyl)phenyl
	1216	CN	2-F-phenyl	1-methyl-2-imidazolyl
20	1217	CN	2-F-phenyl	2-methyl-1-imidazolyl
	1218	CN	2-F-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
	1219	CN	2-F-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
25	1220	CN	2-F-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	1221	CN	2-F-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	1222	CN	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
30	1223	CN	2-F-phenyl	2-(aminosulfonyl)phenyl
	1224	CN	2-F-phenyl	2-(methylaminosulfonyl)phenyl
	1225	CN	2-F-phenyl	1-pyrrolidinocarbonyl
	1226	CN	2-F-phenyl	2-(methylsulfonyl)phenyl
35	1227	CN	2-F-phenyl	2-(N,N-dimethylaminomethyl)phenyl
	1228	CN	2-F-phenyl	2-(N-pyrrolidinylmethyl)phenyl
	1229	CN	2-F-phenyl	1-methyl-2-imidazolyl
	1230	CN	2-F-phenyl	2-methyl-1-imidazolyl
40	1231	CN	2-F-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
	1232	CN	2-F-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	1233	CN	2-F-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
45	1234	CN	2-F-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl

	1235	CN	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	1236	CN	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
5	1237	CN	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
	1238	CN	2,6-diF-phenyl	1-pyrrolidinocarbonyl
	1239	CN	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
	1240	CN	2,6-diF-phenyl	2-(N,N-dimethylaminomethyl)phenyl
10	1241	CN	2,6-diF-phenyl	2-(N-pyrrolidinylmethyl)phenyl
	1242	CN	2,6-diF-phenyl	1-methyl-2-imidazolyl
	1243	CN	2,6-diF-phenyl	2-methyl-1-imidazolyl
	1244	CN	2,6-diF-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
15	1245	CN	2,6-diF-phenyl	2-(N-(cyclopropylmethyl)aminomethyl)phenyl
	1246	CN	2,6-diF-phenyl	2-(N-(cyclobutyl)aminomethyl)phenyl
	1247	CN	2,6-diF-phenyl	2-(N-(cyclopentyl)aminomethyl)phenyl
20	1248	CN	2,6-diF-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	1249	CH2NH2	phenyl	2-(aminosulfonyl)phenyl
	1250	CH2NH2	phenyl	2-(methylaminosulfonyl)phenyl
25	1251	CH2NH2	phenyl	1-pyrrolidinocarbonyl
	1252	CH2NH2	phenyl	2-(methylsulfonyl)phenyl
	1253	CH2NH2	phenyl	2-(N,N-dimethylaminomethyl)phenyl
	1254	CH2NH2	phenyl	2-(N-pyrrolidinylmethyl)phenyl
30	1255	CH2NH2	phenyl	1-methyl-2-imidazolyl
	1256	CH2NH2	phenyl	2-methyl-1-imidazolyl
	1257	CH2NH2	phenyl	2-(dimethylaminomethyl)-1-imidazolyl
	1258	CH2NH2	phenyl	2-(N-(cyclopropylmethyl)aminomethyl)phenyl
35	1259	CH2NH2	phenyl	2-(N-(cyclobutyl)aminomethyl)phenyl
	1260	CH2NH2	phenyl	2-(N-(cyclopentyl)aminomethyl)phenyl
40	1261	CH2NH2	phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	1262	CH2NH2	2-pyridyl	2-(aminosulfonyl)phenyl
	1263	CH2NH2	2-pyridyl	2-(methylaminosulfonyl)phenyl
	1264	CH2NH2	2-pyridyl	1-pyrrolidinocarbonyl
45	1265	CH2NH2	2-pyridyl	2-(methylsulfonyl)phenyl
	1266	CH2NH2	2-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
	1267	CH2NH2	2-pyridyl	2-(N-pyrrolidinylmethyl)phenyl

	1268	CH2NH2	2-pyridyl	1-methyl-2-imidazolyl
	1269	CH2NH2	2-pyridyl	2-methyl-1-imidazolyl
	1270	CH2NH2	2-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
5	1271	CH2NH2	2-pyridyl	2-(N-(cyclopropylmethyl)aminomethyl)phenyl
	1272	CH2NH2	2-pyridyl	2-(N-(cyclobutyl)aminomethyl)phenyl
	1273	CH2NH2	2-pyridyl	2-(N-(cyclopentyl)aminomethyl)phenyl
10	1274	CH2NH2	2-pyridyl	2-(N-(3-hydroxypyrrolidinyl)methyl)phenyl
	1275	CH2NH2	3-pyridyl	2-(aminosulfonyl)phenyl
	1276	CH2NH2	3-pyridyl	2-(methylaminosulfonyl)phenyl
15	1277	CH2NH2	3-pyridyl	1-pyrrolidinocarbonyl
	1278	CH2NH2	3-pyridyl	2-(methylsulfonyl)phenyl
	1279	CH2NH2	3-pyridyl	2-(N,N-dimethylaminomethyl)phenyl
20	1280	CH2NH2	3-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
	1281	CH2NH2	3-pyridyl	1-methyl-2-imidazolyl
	1282	CH2NH2	3-pyridyl	2-methyl-1-imidazolyl
	1283	CH2NH2	3-pyridyl	2-(dimethylaminomethyl)-1-imidazolyl
25	1284	CH2NH2	3-pyridyl	2-(N-(cyclopropylmethyl)aminomethyl)phenyl
	1285	CH2NH2	3-pyridyl	2-(N-(cyclobutyl)aminomethyl)phenyl
	1286	CH2NH2	3-pyridyl	2-(N-(cyclopentyl)aminomethyl)phenyl
30	1287	CH2NH2	3-pyridyl	2-(N-(3-hydroxypyrrolidinyl)methyl)phenyl
	1288	CH2NH2	2-pyrimidyl	2-(aminosulfonyl)phenyl
	1289	CH2NH2	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
	1290	CH2NH2	2-pyrimidyl	1-pyrrolidinocarbonyl
35	1291	CH2NH2	2-pyrimidyl	2-(methylsulfonyl)phenyl
	1292	CH2NH2	2-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
	1293	CH2NH2	2-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
	1294	CH2NH2	2-pyrimidyl	1-methyl-2-imidazolyl
40	1295	CH2NH2	2-pyrimidyl	2-methyl-1-imidazolyl
	1296	CH2NH2	2-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
	1297	CH2NH2	2-pyrimidyl	2-(N-(cyclopropylmethyl)aminomethyl)phenyl
45	1298	CH2NH2	2-pyrimidyl	2-(N-(cyclobutyl)aminomethyl)phenyl
	1299	CH2NH2	2-pyrimidyl	2-(N-(cyclopentyl)-

			aminomethyl)phenyl
1300	CH2NH2	2-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
5	1301	CH2NH2	5-pyrimidyl
	1302	CH2NH2	5-pyrimidyl
	1303	CH2NH2	5-pyrimidyl
	1304	CH2NH2	5-pyrimidyl
	1305	CH2NH2	5-pyrimidyl
10	1306	CH2NH2	5-pyrimidyl
	1307	CH2NH2	5-pyrimidyl
	1308	CH2NH2	5-pyrimidyl
	1309	CH2NH2	5-pyrimidyl
15	1310	CH2NH2	5-pyrimidyl
	1311	CH2NH2	5-pyrimidyl
20	1312	CH2NH2	5-pyrimidyl
	1313	CH2NH2	5-pyrimidyl
25	1314	CH2NH2	2-F-phenyl
	1315	CH2NH2	2-F-phenyl
	1316	CH2NH2	2-F-phenyl
	1317	CH2NH2	2-F-phenyl
	1318	CH2NH2	2-F-phenyl
30	1319	CH2NH2	2-F-phenyl
	1320	CH2NH2	2-F-phenyl
	1321	CH2NH2	2-F-phenyl
	1322	CH2NH2	2-F-phenyl
35	1323	CH2NH2	2-F-phenyl
	1324	CH2NH2	2-F-phenyl
	1325	CH2NH2	2-F-phenyl
40	1326	CH2NH2	2-F-phenyl
	1327	CH2NH2	2-F-phenyl
	1328	CH2NH2	2-F-phenyl
	1329	CH2NH2	2-F-phenyl
45	1330	CH2NH2	2-F-phenyl
	1331	CH2NH2	2-F-phenyl

	1332	CH2NH2	2-F-phenyl	2-(N-pyrrolidinylmethyl)phenyl
	1333	CH2NH2	2-F-phenyl	1-methyl-2-imidazolyl
	1334	CH2NH2	2-F-phenyl	2-methyl-1-imidazolyl
	1335	CH2NH2	2-F-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
5	1336	CH2NH2	2-F-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	1337	CH2NH2	2-F-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
10	1338	CH2NH2	2-F-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	1339	CH2NH2	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	1340	CH2NH2	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
15	1341	CH2NH2	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
	1342	CH2NH2	2,6-diF-phenyl	1-pyrrolidinocarbonyl
	1343	CH2NH2	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
	1344	CH2NH2	2,6-diF-phenyl	2-(N,N-dimethylaminomethyl)phenyl
20	1345	CH2NH2	2,6-diF-phenyl	2-(N-pyrrolidinylmethyl)phenyl
	1346	CH2NH2	2,6-diF-phenyl	1-methyl-2-imidazolyl
	1347	CH2NH2	2,6-diF-phenyl	2-methyl-1-imidazolyl
	1348	CH2NH2	2,6-diF-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
25	1349	CH2NH2	2,6-diF-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	1350	CH2NH2	2,6-diF-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	1351	CH2NH2	2,6-diF-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
30	1352	CH2NH2	2,6-diF-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	1353	CH2NH-SO2CH3	phenyl	2-(aminosulfonyl)phenyl
35	1354	CH2NH-SO2CH3	phenyl	2-(methylaminosulfonyl)phenyl
	1355	CH2NH-SO2CH3	phenyl	1-pyrrolidinocarbonyl
	1356	CH2NH-SO2CH3	phenyl	2-(methylsulfonyl)phenyl
40	1357	CH2NH-SO2CH3	phenyl	2-(N,N-dimethylaminomethyl)phenyl
	1358	CH2NH-SO2CH3	phenyl	2-(N-pyrrolidinylmethyl)phenyl
45	1359	CH2NH-SO2CH3	phenyl	1-methyl-2-imidazolyl
	1360	CH2NH-	phenyl	2-methyl-1-imidazolyl

		SO <sub>2</sub> CH <sub>3</sub>	
1361	CH <sub>2</sub> NH-	phenyl	2-(dimethylaminomethyl)-1-imidazolyl
	SO <sub>2</sub> CH <sub>3</sub>		
5	1362	CH <sub>2</sub> NH-	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	SO <sub>2</sub> CH <sub>3</sub>		
1363	CH <sub>2</sub> NH-	phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	SO <sub>2</sub> CH <sub>3</sub>		
10	1364	CH <sub>2</sub> NH-	2-(N-(cyclopentyl)-aminomethyl)phenyl
	SO <sub>2</sub> CH <sub>3</sub>		
1365	CH <sub>2</sub> NH-	phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	SO <sub>2</sub> CH <sub>3</sub>		
1366	CH <sub>2</sub> NH-	2-pyridyl	2-(aminosulfonyl)phenyl
	SO <sub>2</sub> CH <sub>3</sub>		
15	1367	CH <sub>2</sub> NH-	2-(methylaminosulfonyl)phenyl
	SO <sub>2</sub> CH <sub>3</sub>		
1368	CH <sub>2</sub> NH-	2-pyridyl	1-pyrrolidinocarbonyl
	SO <sub>2</sub> CH <sub>3</sub>		
1369	CH <sub>2</sub> NH-	2-pyridyl	2-(methylsulfonyl)phenyl
	SO <sub>2</sub> CH <sub>3</sub>		
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	SO <sub>2</sub> CH <sub>3</sub>		
	SO <sub>2</sub> CH <sub>3</sub>		
1371	CH <sub>2</sub> NH-	2-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
	SO <sub>2</sub> CH <sub>3</sub>		
25	1372	CH <sub>2</sub> NH-	1-methyl-2-imidazolyl
	SO <sub>2</sub> CH <sub>3</sub>		
1373	CH <sub>2</sub> NH-	2-pyridyl	2-methyl-1-imidazolyl
	SO <sub>2</sub> CH <sub>3</sub>		
30	1374	CH <sub>2</sub> NH-	2-(dimethylaminomethyl)-1-imidazolyl
	SO <sub>2</sub> CH <sub>3</sub>		
1375	CH <sub>2</sub> NH-	2-pyridyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	SO <sub>2</sub> CH <sub>3</sub>		
1376	CH <sub>2</sub> NH-	2-pyridyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	SO <sub>2</sub> CH <sub>3</sub>		
35	1377	CH <sub>2</sub> NH-	2-(N-(cyclopentyl)-aminomethyl)phenyl
	SO <sub>2</sub> CH <sub>3</sub>		
1378	CH <sub>2</sub> NH-	2-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
	SO <sub>2</sub> CH <sub>3</sub>		
1379	CH <sub>2</sub> NH-	3-pyridyl	2-(aminosulfonyl)phenyl
	SO <sub>2</sub> CH <sub>3</sub>		
40	1380	CH <sub>2</sub> NH-	2-(methylaminosulfonyl)phenyl
	SO <sub>2</sub> CH <sub>3</sub>		
1381	CH <sub>2</sub> NH-	3-pyridyl	1-pyrrolidinocarbonyl
	SO <sub>2</sub> CH <sub>3</sub>		
45	1382	CH <sub>2</sub> NH-	2-(methylsulfonyl)phenyl
	SO <sub>2</sub> CH <sub>3</sub>		
1383	CH <sub>2</sub> NH-	3-pyridyl	2-(N,N-

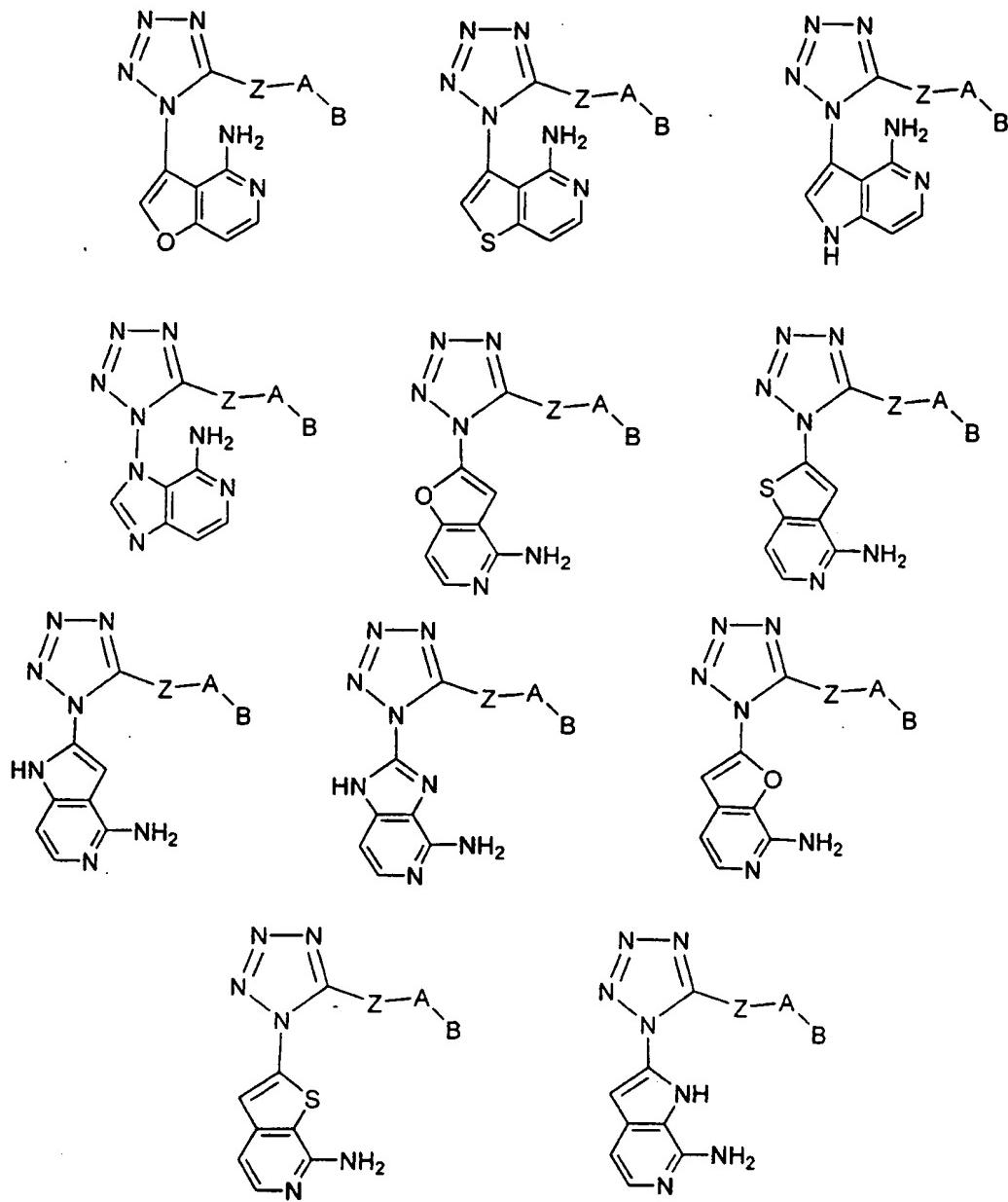
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	SO <sub>2</sub> CH <sub>3</sub>		
5	1385	CH <sub>2</sub> NH-	1-methyl-2-imidazolyl
	SO <sub>2</sub> CH <sub>3</sub>		
1386	CH <sub>2</sub> NH-	3-pyridyl	2-methyl-1-imidazolyl
	SO <sub>2</sub> CH <sub>3</sub>		
1387	CH <sub>2</sub> NH-	3-pyridyl	2-(dimethylaminomethyl)-1-
	SO <sub>2</sub> CH <sub>3</sub>		imidazolyl
10	1388	CH <sub>2</sub> NH-	2-(N-(cyclopropyl-
	SO <sub>2</sub> CH <sub>3</sub>		methyl)aminomethyl)phenyl
1389	CH <sub>2</sub> NH-	3-pyridyl	2-(N-(cyclobutyl)-
	SO <sub>2</sub> CH <sub>3</sub>		aminomethyl)phenyl
15	1390	CH <sub>2</sub> NH-	2-(N-(cyclopentyl)-
	SO <sub>2</sub> CH <sub>3</sub>		aminomethyl)phenyl
1391	CH <sub>2</sub> NH-	3-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-
	SO <sub>2</sub> CH <sub>3</sub>		methyl)phenyl
1392	CH <sub>2</sub> NH-	2-pyrimidyl	2-(aminosulfonyl)phenyl
	SO <sub>2</sub> CH <sub>3</sub>		
20	1393	CH <sub>2</sub> NH-	2-(methylaminosulfonyl)phenyl
	SO <sub>2</sub> CH <sub>3</sub>		
1394	CH <sub>2</sub> NH-	2-pyrimidyl	1-pyrrolidinocarbonyl
	SO <sub>2</sub> CH <sub>3</sub>		
1395	CH <sub>2</sub> NH-	2-pyrimidyl	2-(methylsulfonyl)phenyl
25	1396	CH <sub>2</sub> NH-	2-(N,N-
	SO <sub>2</sub> CH <sub>3</sub>		dimethylaminomethyl)phenyl
1397	CH <sub>2</sub> NH-	2-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
	SO <sub>2</sub> CH <sub>3</sub>		
30	1398	CH <sub>2</sub> NH-	1-methyl-2-imidazolyl
	SO <sub>2</sub> CH <sub>3</sub>		
1399	CH <sub>2</sub> NH-	2-pyrimidyl	2-methyl-1-imidazolyl
	SO <sub>2</sub> CH <sub>3</sub>		
35	1400	CH <sub>2</sub> NH-	2-(dimethylaminomethyl)-1-
	SO <sub>2</sub> CH <sub>3</sub>		imidazolyl
1401	CH <sub>2</sub> NH-	2-pyrimidyl	2-(N-(cyclopropyl-
	SO <sub>2</sub> CH <sub>3</sub>		methyl)aminomethyl)phenyl
1402	CH <sub>2</sub> NH-	2-pyrimidyl	2-(N-(cyclobutyl)-
	SO <sub>2</sub> CH <sub>3</sub>		aminomethyl)phenyl
40	1403	CH <sub>2</sub> NH-	2-(N-(cyclopentyl)-
	SO <sub>2</sub> CH <sub>3</sub>		aminomethyl)phenyl
1404	CH <sub>2</sub> NH-	2-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-
	SO <sub>2</sub> CH <sub>3</sub>		methyl)phenyl
45	1405	CH <sub>2</sub> NH-	2-(aminosulfonyl)phenyl
	SO <sub>2</sub> CH <sub>3</sub>		
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	SO <sub>2</sub> CH <sub>3</sub>		

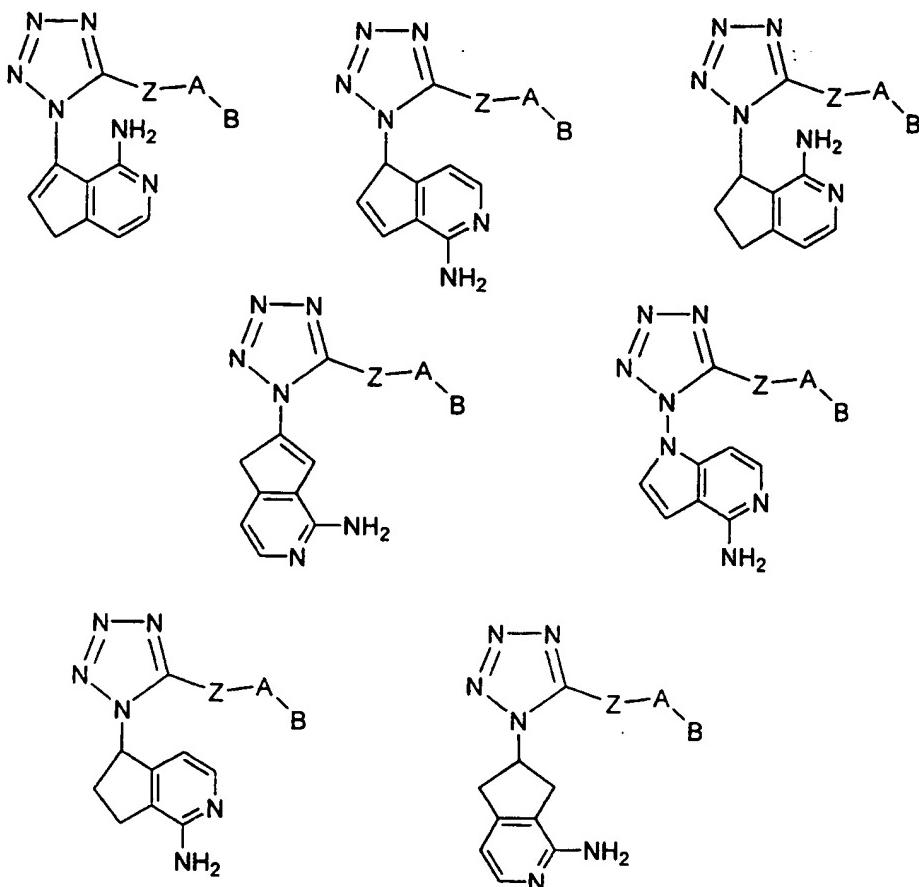
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	1408	CH2NH-SO2CH3	5-pyrimidyl	2-(methylsulfonyl)phenyl
5	1409	CH2NH-SO2CH3	5-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
	1410	CH2NH-SO2CH3	5-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
10	1411	CH2NH-SO2CH3	5-pyrimidyl	1-methyl-2-imidazolyl
	1412	CH2NH-SO2CH3	5-pyrimidyl	2-methyl-1-imidazolyl
	1413	CH2NH-SO2CH3	5-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
15	1414	CH2NH-SO2CH3	5-pyrimidyl	2-(N-(cyclopropylmethyl)aminomethyl)phenyl
	1415	CH2NH-SO2CH3	5-pyrimidyl	2-(N-(cyclobutyl)aminomethyl)phenyl
20	1416	CH2NH-SO2CH3	5-pyrimidyl	2-(N-(cyclopentyl)aminomethyl)phenyl
	1417	CH2NH-SO2CH3	5-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)methyl)phenyl
	1418	CH2NH-SO2CH3	2-Cl-phenyl	2-(aminosulfonyl)phenyl
25	1419	CH2NH-SO2CH3	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
	1420	CH2NH-SO2CH3	2-Cl-phenyl	1-pyrrolidinocarbonyl
30	1421	CH2NH-SO2CH3	2-Cl-phenyl	2-(methylsulfonyl)phenyl
	1422	CH2NH-SO2CH3	2-Cl-phenyl	2-(N,N-dimethylaminomethyl)phenyl
	1423	CH2NH-SO2CH3	2-Cl-phenyl	2-(N-pyrrolidinylmethyl)phenyl
35	1424	CH2NH-SO2CH3	2-Cl-phenyl	1-methyl-2-imidazolyl
	1425	CH2NH-SO2CH3	2-Cl-phenyl	2-methyl-1-imidazolyl
40	1426	CH2NH-SO2CH3	2-Cl-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
	1427	CH2NH-SO2CH3	2-Cl-phenyl	2-(N-(cyclopropylmethyl)aminomethyl)phenyl
	1428	CH2NH-SO2CH3	2-Cl-phenyl	2-(N-(cyclobutyl)aminomethyl)phenyl
45	1429	CH2NH-SO2CH3	2-Cl-phenyl	2-(N-(cyclopentyl)aminomethyl)phenyl
	1430	CH2NH-	2-Cl-phenyl	2-(N-(3-hydroxypyrrolidinyl)-

	SO <sub>2</sub> CH <sub>3</sub>		methyl)phenyl
1431	CH <sub>2</sub> NH-	2-F-phenyl	2-(aminosulfonyl)phenyl
	SO <sub>2</sub> CH <sub>3</sub>		
5	1432	CH <sub>2</sub> NH-	2-(methylaminosulfonyl)phenyl
	SO <sub>2</sub> CH <sub>3</sub>		
1433	CH <sub>2</sub> NH-	2-F-phenyl	1-pyrrolidinocarbonyl
	SO <sub>2</sub> CH <sub>3</sub>		
1434	CH <sub>2</sub> NH-	2-F-phenyl	2-(methylsulfonyl)phenyl
	SO <sub>2</sub> CH <sub>3</sub>		
10	1435	CH <sub>2</sub> NH-	2-(N,N-
	SO <sub>2</sub> CH <sub>3</sub>		dimethylaminomethyl)phenyl
1436	CH <sub>2</sub> NH-	2-F-phenyl	2-(N-pyrrolidinylmethyl)phenyl
	SO <sub>2</sub> CH <sub>3</sub>		
15	1437	CH <sub>2</sub> NH-	1-methyl-2-imidazolyl
	SO <sub>2</sub> CH <sub>3</sub>		
1438	CH <sub>2</sub> NH-	2-F-phenyl	2-methyl-1-imidazolyl
	SO <sub>2</sub> CH <sub>3</sub>		
1439	CH <sub>2</sub> NH-	2-F-phenyl	2-(dimethylaminomethyl)-1-
	SO <sub>2</sub> CH <sub>3</sub>		imidazolyl
20	1440	CH <sub>2</sub> NH-	2-(N-(cyclopropyl-
	SO <sub>2</sub> CH <sub>3</sub>		methy)aminomethyl)phenyl
1441	CH <sub>2</sub> NH-	2-F-phenyl	2-(N-(cyclobutyl)-
	SO <sub>2</sub> CH <sub>3</sub>		aminomethyl)phenyl
25	1442	CH <sub>2</sub> NH-	2-(N-(cyclopentyl)-
	SO <sub>2</sub> CH <sub>3</sub>		aminomethyl)phenyl
1443	CH <sub>2</sub> NH-	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)-
	SO <sub>2</sub> CH <sub>3</sub>		methyl)phenyl
30	1444	CH <sub>2</sub> NH-	2-(aminosulfonyl)phenyl
	SO <sub>2</sub> CH <sub>3</sub>		
1445	CH <sub>2</sub> NH-	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
	SO <sub>2</sub> CH <sub>3</sub>		
1446	CH <sub>2</sub> NH-	2,6-diF-phenyl	1-pyrrolidinocarbonyl
	SO <sub>2</sub> CH <sub>3</sub>		
35	1447	CH <sub>2</sub> NH-	2-(methylsulfonyl)phenyl
	SO <sub>2</sub> CH <sub>3</sub>		
1448	CH <sub>2</sub> NH-	2,6-diF-phenyl	2-(N,N-
	SO <sub>2</sub> CH <sub>3</sub>		dimethylaminomethyl)phenyl
1449	CH <sub>2</sub> NH-	2,6-diF-phenyl	2-(N-pyrrolidinylmethyl)phenyl
	SO <sub>2</sub> CH <sub>3</sub>		
40	1450	CH <sub>2</sub> NH-	1-methyl-2-imidazolyl
	SO <sub>2</sub> CH <sub>3</sub>		
1451	CH <sub>2</sub> NH-	2,6-diF-phenyl	2-methyl-1-imidazolyl
	SO <sub>2</sub> CH <sub>3</sub>		
45	1452	CH <sub>2</sub> NH-	2-(dimethylaminomethyl)-1-
	SO <sub>2</sub> CH <sub>3</sub>		imidazolyl
1453	CH <sub>2</sub> NH-	2,6-diF-phenyl	2-(N-(cyclopropyl-
	SO <sub>2</sub> CH <sub>3</sub>		methy)aminomethyl)phenyl

1454	CH2NH- SO2CH3	2,6-diF-phenyl	2-(N-(cyclobutyl)- aminomethyl)phenyl
1455	CH2NH- SO2CH3	2,6-diF-phenyl	2-(N-(cyclopentyl)- aminomethyl)phenyl
5    1456	CH2NH- SO2CH3	2,6-diF-phenyl	2-(N-(3-hydroxypyrrolidinyl)- methyl)phenyl

Table 2





Z is C(O)NH or C(O)CH<sub>2</sub>

	<b>Ex#</b>	<b>A</b>	<b>B</b>
5	1	phenyl	2-(aminosulfonyl)phenyl
	2	phenyl	2-(methylaminosulfonyl)phenyl
	3	phenyl	1-pyrrolidinocarbonyl
	4	phenyl	2-(methylsulfonyl)phenyl
10	5	phenyl	2-(N,N-dimethylaminomethyl)phenyl
	6	phenyl	2-(N-pyrrolidinylmethyl)phenyl
	7	phenyl	1-methyl-2-imidazolyl
	8	phenyl	2-methyl-1-imidazolyl
15	9	phenyl	2-(dimethylaminomethyl)-1-imidazolyl
	10	phenyl	2-(N-(cyclopropylmethyl)aminomethyl)phenyl
	11	phenyl	2-(N-(cyclobutyl)aminomethyl)phenyl
20	12	phenyl	2-(N-(cyclopentyl)aminomethyl)phenyl
	13	phenyl	2-(N-(3-hydroxypyrrolidinyl)methyl)phenyl

	14	2-pyridyl	2-(aminosulfonyl)phenyl
	15	2-pyridyl	2-(methylaminosulfonyl)phenyl
	16	2-pyridyl	1-pyrrolidinocarbonyl
	17	2-pyridyl	2-(methylsulfonyl)phenyl
5	18	2-pyridyl	2-(N,N-
			dimethylaminomethyl)phenyl
	19	2-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
	20	2-pyridyl	1-methyl-2-imidazolyl
	21	2-pyridyl	2-methyl-1-imidazolyl
10	22	2-pyridyl	2-(dimethylaminomethyl)-1-
			imidazolyl
	23	2-pyridyl	2-(N-(cyclopropyl-
			methyl)aminomethyl)phenyl
15	24	2-pyridyl	2-(N-(cyclobutyl)-
			aminomethyl)phenyl
	25	2-pyridyl	2-(N-(cyclopentyl)-
			aminomethyl)phenyl
	26	2-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-
			methyl)phenyl
20	27	3-pyridyl	2-(aminosulfonyl)phenyl
	28	3-pyridyl	2-(methylaminosulfonyl)phenyl
	29	3-pyridyl	1-pyrrolidinocarbonyl
	30	3-pyridyl	2-(methylsulfonyl)phenyl
	31	3-pyridyl	2-(N,N-
25			dimethylaminomethyl)phenyl
	32	3-pyridyl	2-(N-pyrrolidinylmethyl)phenyl
	33	3-pyridyl	1-methyl-2-imidazolyl
	34	3-pyridyl	2-methyl-1-imidazolyl
	35	3-pyridyl	2-(dimethylaminomethyl)-1-
30			imidazolyl
	36	3-pyridyl	2-(N-(cyclopropyl-
			methyl)aminomethyl)phenyl
	37	3-pyridyl	2-(N-(cyclobutyl)-
			aminomethyl)phenyl
35	38	3-pyridyl	2-(N-(cyclopentyl)-
			aminomethyl)phenyl
	39	3-pyridyl	2-(N-(3-hydroxypyrrolidinyl)-
			methyl)phenyl
	40	2-pyrimidyl	2-(aminosulfonyl)phenyl
40	41	2-pyrimidyl	2-(methylaminosulfonyl)phenyl
	42	2-pyrimidyl	1-pyrrolidinocarbonyl
	43	2-pyrimidyl	2-(methylsulfonyl)phenyl
	44	2-pyrimidyl	2-(N,N-
			dimethylaminomethyl)phenyl
45	45	2-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
	46	2-pyrimidyl	1-methyl-2-imidazolyl
	47	2-pyrimidyl	2-methyl-1-imidazolyl

	48	2-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
	49	2-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
5	50	2-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
	51	2-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	52	2-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
10	53	5-pyrimidyl	2-(aminosulfonyl)phenyl
	54	5-pyrimidyl	2-(methylaminosulfonyl)phenyl
	55	5-pyrimidyl	1-pyrrolidinocarbonyl
	56	5-pyrimidyl	2-(methylsulfonyl)phenyl
15	57	5-pyrimidyl	2-(N,N-dimethylaminomethyl)phenyl
	58	5-pyrimidyl	2-(N-pyrrolidinylmethyl)phenyl
	59	5-pyrimidyl	1-methyl-2-imidazolyl
	60	5-pyrimidyl	2-methyl-1-imidazolyl
20	61	5-pyrimidyl	2-(dimethylaminomethyl)-1-imidazolyl
	62	5-pyrimidyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	63	5-pyrimidyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
25	64	5-pyrimidyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	65	5-pyrimidyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
30	66	2-Cl-phenyl	2-(aminosulfonyl)phenyl
	67	2-Cl-phenyl	2-(methylaminosulfonyl)phenyl
	68	2-Cl-phenyl	1-pyrrolidinocarbonyl
	69	2-Cl-phenyl	2-(methylsulfonyl)phenyl
	70	2-Cl-phenyl	2-(N,N-dimethylaminomethyl)phenyl
35	71	2-Cl-phenyl	2-(N-pyrrolidinylmethyl)phenyl
	72	2-Cl-phenyl	1-methyl-2-imidazolyl
	73	2-Cl-phenyl	2-methyl-1-imidazolyl
	74	2-Cl-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
40	75	2-Cl-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
	76	2-Cl-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
45	77	2-Cl-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
	78	2-Cl-phenyl	2-(N-(3-hydroxypyrrolidinyl)-

		methyl)phenyl
79	2-F-phenyl	2-(aminosulfonyl)phenyl
80	2-F-phenyl	2-(methylaminosulfonyl)phenyl
81	2-F-phenyl	1-pyrrolidinocarbonyl
5 82	2-F-phenyl	2-(methylsulfonyl)phenyl
83	2-F-phenyl	2-(N,N-dimethylaminomethyl)phenyl
84	2-F-phenyl	2-(N-pyrrolidinylmethyl)phenyl
85	2-F-phenyl	1-methyl-2-imidazolyl
10 86	2-F-phenyl	2-methyl-1-imidazolyl
87	2-F-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
88	2-F-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
15 89	2-F-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
90	2-F-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
91	2-F-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl
20 92	2,6-diF-phenyl	2-(aminosulfonyl)phenyl
93	2,6-diF-phenyl	2-(methylaminosulfonyl)phenyl
94	2,6-diF-phenyl	1-pyrrolidinocarbonyl
95	2,6-diF-phenyl	2-(methylsulfonyl)phenyl
25 96	2,6-diF-phenyl	2-(N,N-dimethylaminomethyl)phenyl
97	2,6-diF-phenyl	2-(N-pyrrolidinylmethyl)phenyl
98	2,6-diF-phenyl	1-methyl-2-imidazolyl
99	2,6-diF-phenyl	2-methyl-1-imidazolyl
30 100	2,6-diF-phenyl	2-(dimethylaminomethyl)-1-imidazolyl
101	2,6-diF-phenyl	2-(N-(cyclopropyl-methyl)aminomethyl)phenyl
102	2,6-diF-phenyl	2-(N-(cyclobutyl)-aminomethyl)phenyl
35 103	2,6-diF-phenyl	2-(N-(cyclopentyl)-aminomethyl)phenyl
104	2,6-diF-phenyl	2-(N-(3-hydroxypyrrolidinyl)-methyl)phenyl

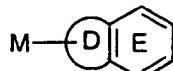
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Obviously, numerous modifications and variations of the present invention are possible in light of the above teachings. It is therefore to be understood that within the scope of the appended claims, the invention may be practiced otherwise than as specifically described herein.

45

WHAT IS CLAIMED IS:

1. A compound of formula I:



I

5

ring D is selected from  $-(CH_2)_3-$ ,  $-CH_2CH=CH-$ ,  $-CH_2N=CH-$ , and a 5 membered aromatic system containing from 0-2 heteroatoms selected from the group N, O, and S, provided that from 0-1 O and S atoms are present;

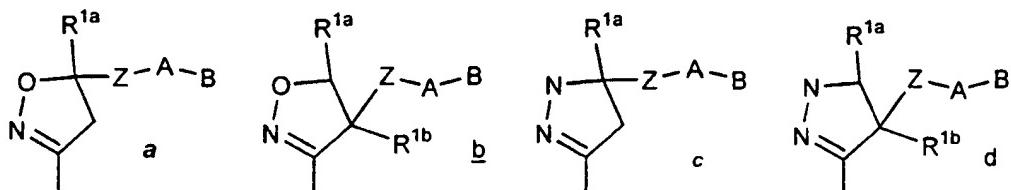
10 ring D is substituted with 0-2 R, provided that when ring D is unsubstituted, it contains at least one heteroatom;

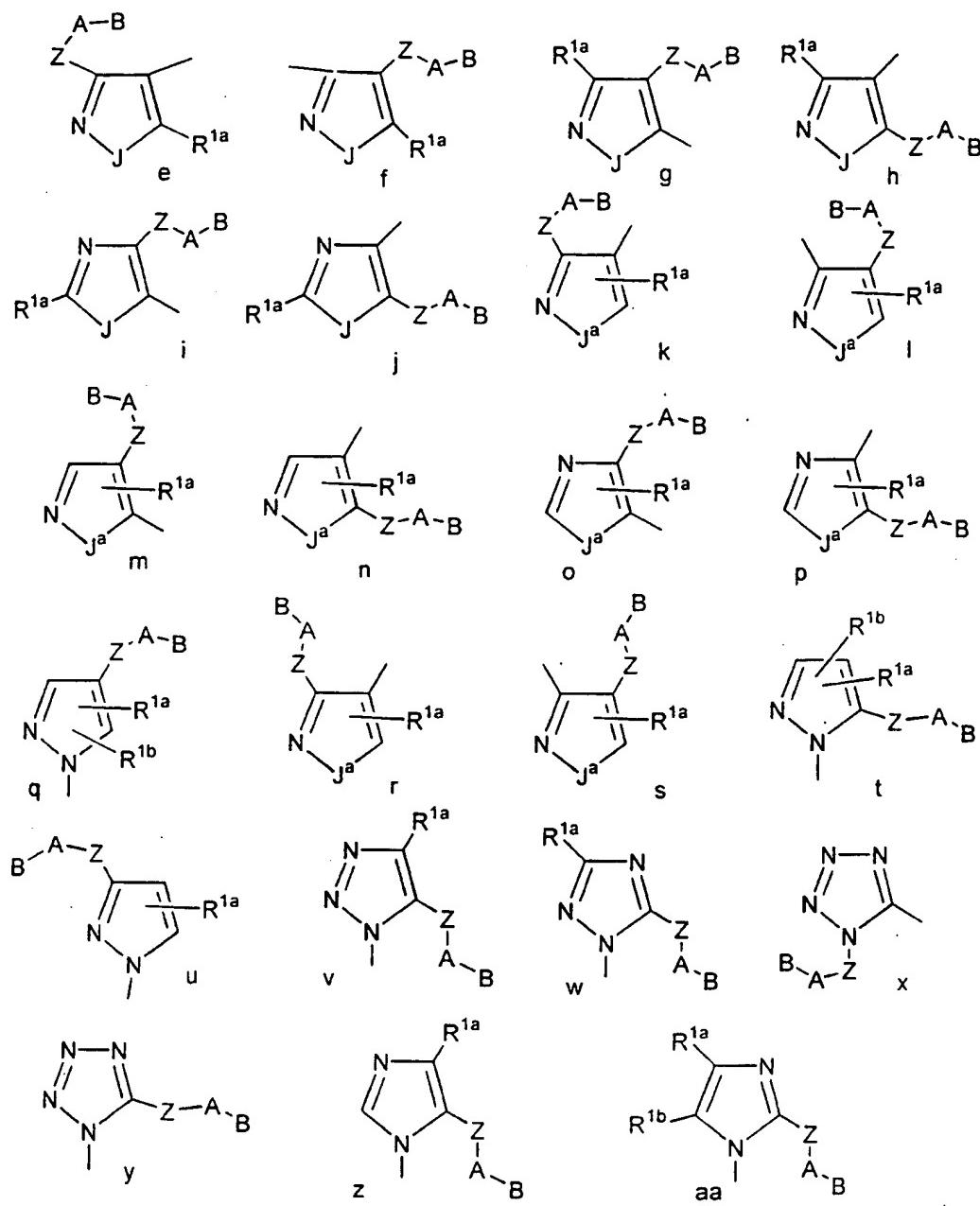
E is selected from phenyl, pyridyl, pyrimidyl, pyrazinyl, and pyridazinyl, substituted with 0-1 R;

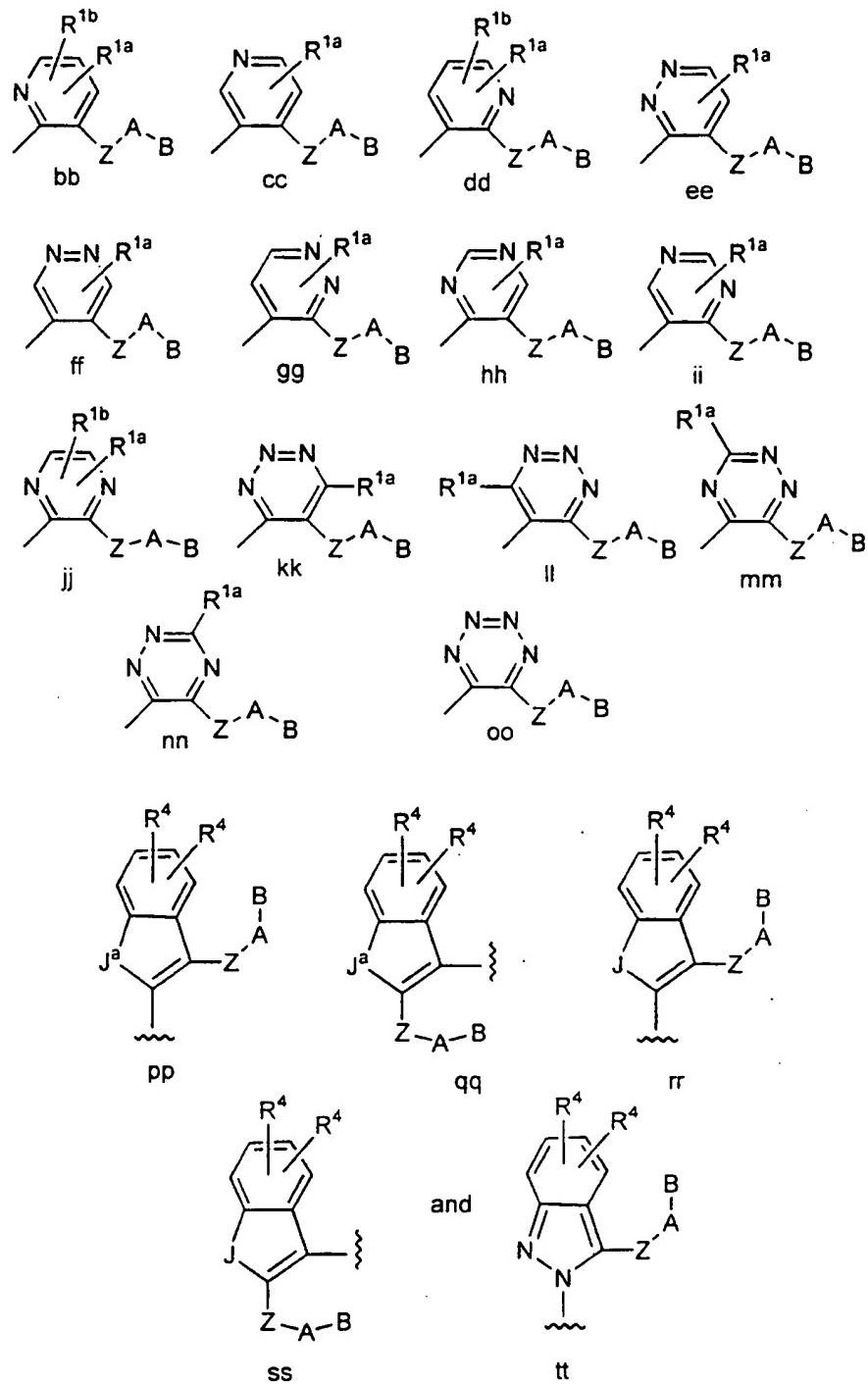
15

R is selected from Cl, F, Br, I, OH,  $C_{1-3}$  alkoxy,  $NH_2$ ,  $NH(C_{1-3} \text{ alkyl})$ ,  $N(C_{1-3} \text{ alkyl})_2$ ,  $CH_2NH_2$ ,  $CH_2NH(C_{1-3} \text{ alkyl})$ ,  $CH_2N(C_{1-3} \text{ alkyl})_2$ ,  $CH_2CH_2NH_2$ ,  $CH_2CH_2NH(C_{1-3} \text{ alkyl})$ , and  $CH_2CH_2N(C_{1-3} \text{ alkyl})_2$ ;

20 M is selected from the group:







J is O or S;

5

J<sup>a</sup> is NH or NR<sup>1a</sup>;

Z is selected from (CR<sup>8</sup>R<sup>9</sup>)<sub>1-4</sub>, (CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>O(CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>, (CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>NR<sup>3</sup>(CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>,  
 (CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>C(O)(CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>, (CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>C(O)O(CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>, (CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>OC(O)(CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>,  
 (CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>C(O)NR<sup>3</sup>(CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>, (CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>NR<sup>3</sup>C(O)(CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>,

10

(CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>OC(O)O(CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>, (CH<sub>2</sub>)<sub>r</sub>OC(O)NR<sup>3</sup>(CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>,  
 (CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>NR<sup>3</sup>C(O)O(CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>, (CH<sub>2</sub>)<sub>r</sub>NR<sup>3</sup>C(O)NR<sup>3</sup>(CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>,  
 (CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>S(O)<sub>p</sub>(CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>, (CCR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>SO<sub>2</sub>NR<sup>3</sup>(CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>,  
 (CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>NR<sup>3</sup>SO<sub>2</sub>(CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>, and (CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>NR<sup>3</sup>SO<sub>2</sub>NR<sup>3</sup>(CR<sup>8</sup>R<sup>9</sup>)<sub>r</sub>, provided that Z  
 5 does not form a N-N, N-O, N-S, NCH<sub>2</sub>N, NCH<sub>2</sub>O, or NCH<sub>2</sub>S bond with the  
 groups to which Z is attached;

R<sup>1a</sup> is selected from H, -(CH<sub>2</sub>)<sub>r</sub>R<sup>1'</sup>, -CH=CH-R<sup>1''</sup>, NHCH<sub>2</sub>R<sup>1'''</sup>, OCH<sub>2</sub>R<sup>1''''</sup>, SCH<sub>2</sub>R<sup>1'''''</sup>,  
 NH(CH<sub>2</sub>)<sub>2</sub>(CH<sub>2</sub>)<sub>t</sub>R<sup>1'</sup>, O(CH<sub>2</sub>)<sub>2</sub>(CH<sub>2</sub>)<sub>t</sub>R<sup>1''</sup>, and S(CH<sub>2</sub>)<sub>2</sub>(CH<sub>2</sub>)<sub>t</sub>R<sup>1'''</sup>;

10

R<sup>1'</sup> is selected from H, C<sub>1-3</sub> alkyl, F, Cl, Br, I, -CN, -CHO, (CF<sub>2</sub>)<sub>r</sub>CF<sub>3</sub>, (CH<sub>2</sub>)<sub>r</sub>OR<sup>2</sup>,  
 NR<sup>2</sup>R<sup>2a</sup>, C(O)R<sup>2c</sup>, OC(O)R<sup>2</sup>, (CF<sub>2</sub>)<sub>r</sub>CO<sub>2</sub>R<sup>2c</sup>, S(O)<sub>p</sub>R<sup>2b</sup>, NR<sup>2</sup>(CH<sub>2</sub>)<sub>r</sub>OR<sup>2</sup>,  
 C(=NR<sup>2c</sup>)NR<sup>2</sup>R<sup>2a</sup>, NR<sup>2</sup>C(O)R<sup>2b</sup>, NR<sup>2</sup>C(O)NHR<sup>2b</sup>, NR<sup>2</sup>C(O)<sub>2</sub>R<sup>2a</sup>,  
 OC(O)NR<sup>2a</sup>R<sup>2b</sup>, C(O)NR<sup>2</sup>R<sup>2a</sup>, C(O)NR<sup>2</sup>(CH<sub>2</sub>)<sub>r</sub>OR<sup>2</sup>, SO<sub>2</sub>NR<sup>2</sup>R<sup>2a</sup>, NR<sup>2</sup>SO<sub>2</sub>R<sup>2b</sup>,  
 C<sub>3-6</sub> carbocyclic residue substituted with 0-2 R<sup>4</sup>, and 5-10 membered heterocyclic  
 15 system containing from 1-4 heteroatoms selected from the group consisting of N,  
 O, and S substituted with 0-2 R<sup>4</sup>;

15

R<sup>1''</sup> is selected from H, CH(CH<sub>2</sub>OR<sup>2</sup>)<sub>2</sub>, C(O)R<sup>2c</sup>, C(O)NR<sup>2</sup>R<sup>2a</sup>, S(O)R<sup>2b</sup>, S(O)<sub>2</sub>R<sup>2b</sup>, and  
 20 SO<sub>2</sub>NR<sup>2</sup>R<sup>2a</sup>;

25

R<sup>2</sup>, at each occurrence, is selected from H, CF<sub>3</sub>, C<sub>1-6</sub> alkyl, benzyl, C<sub>3-6</sub> carbocyclic  
 residue substituted with 0-2 R<sup>4b</sup>, and 5-6 membered heterocyclic system  
 containing from 1-4 heteroatoms selected from the group consisting of N, O, and S  
 substituted with 0-2 R<sup>4b</sup>;

30

R<sup>2a</sup>, at each occurrence, is selected from H, CF<sub>3</sub>, C<sub>1-6</sub> alkyl, benzyl, C<sub>3-6</sub>  
 cycloalkylmethyl substituted with 0-2 R<sup>4b</sup>, C<sub>3-6</sub> carbocyclic residue substituted  
 with 0-2 R<sup>4b</sup>, and 5-6 membered heterocyclic system containing from 1-4  
 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2  
 R<sup>4b</sup>;

35

R<sup>2b</sup>, at each occurrence, is selected from CF<sub>3</sub>, C<sub>1-4</sub> alkoxy, C<sub>1-6</sub> alkyl, benzyl, C<sub>3-6</sub>  
 carbocyclic residue substituted with 0-2 R<sup>4b</sup>, and 5-6 membered heterocyclic  
 system containing from 1-4 heteroatoms selected from the group consisting of N,  
 O, and S substituted with 0-2 R<sup>4b</sup>;

$R^{2c}$ , at each occurrence, is selected from  $CF_3$ , OH,  $C_{1-4}$  alkoxy,  $C_{1-6}$  alkyl, benzyl,  $C_{3-6}$  carbocyclic residue substituted with 0-2  $R^{4b}$ , and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2  $R^{4b}$ ;

5

alternatively,  $R^2$  and  $R^{2a}$  combine to form a 5 or 6 membered saturated, partially saturated or unsaturated ring substituted with 0-2  $R^{4b}$  which contains from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

10 alternatively,  $R^2$  and  $R^{2a}$ , together with the atom to which they are attached, combine to form a 5 or 6 membered saturated, partially saturated or unsaturated ring substituted with 0-2  $R^{4b}$  and containing from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

15  $R^3$ , at each occurrence, is selected from H,  $C_{1-4}$  alkyl, and phenyl;

$R^{3a}$ , at each occurrence, is selected from H,  $C_{1-4}$  alkyl, and phenyl;

$R^{3b}$ , at each occurrence, is selected from H,  $C_{1-4}$  alkyl, and phenyl;

20

$R^{3c}$ , at each occurrence, is selected from  $C_{1-4}$  alkyl, and phenyl;

A is selected from:

$C_{3-10}$  carbocyclic residue substituted with 0-2  $R^4$ , and

25 5-10 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2  $R^4$ ;

B is selected from:

$X-Y$ ,  $NR^2R^{2a}$ ,  $C(=NR^2)NR^2R^{2a}$ ,  $NR^2C(=NR^2)NR^2R^{2a}$ ,

30  $C_{3-10}$  carbocyclic residue substituted with 0-2  $R^{4a}$ , and

5-10 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2  $R^{4a}$ ;

X is selected from  $C_{1-4}$  alkylene,  $-CR^2(CR^2R^{2b})(CH_2)_t-$ ,  $-C(O)-$ ,  $-C(=NR^{1''})-$ ,

35  $-CR^2(NR^{1''}R^2)-$ ,  $-CR^2(OR^2)-$ ,  $-CR^2(SR^2)-$ ,  $-C(O)CR^2R^{2a}-$ ,  $-CR^2R^{2a}C(O)$ ,  $-S(O)_p-$ ,  
 $-S(O)_pCR^2R^{2a}-$ ,  $-CR^2R^{2a}S(O)_p-$ ,  $-S(O)_2NR^2-$ ,  $-NR^2S(O)_2-$ ,  $-NR^2S(O)_2CR^2R^{2a}-$ ,  
 $-CR^2R^{2a}S(O)_2NR^2-$ ,  $-NR^2S(O)_2NR^2-$ ,  $-C(O)NR^2-$ ,  $-NR^2C(O)-$ ,  
 $-C(O)NR^2CR^2R^{2a}-$ ,  $-NR^2C(O)CR^2R^{2a}-$ ,  $-CR^2R^{2a}C(O)NR^2-$ ,  $-CR^2R^{2a}NR^2C(O)-$ ,

-NR<sup>2</sup>C(O)O-, -OC(O)NR<sup>2</sup>-, -NR<sup>2</sup>C(O)NR<sup>2</sup>-, -NR<sup>2</sup>-, -NR<sup>2</sup>CR<sup>2</sup>R<sup>2a</sup>-, -CR<sup>2</sup>R<sup>2a</sup>NR<sup>2</sup>-, O-, -CR<sup>2</sup>R<sup>2a</sup>O-, and -OCR<sup>2</sup>R<sup>2a</sup>;

Y is selected from:

- 5 (CH<sub>2</sub>)<sub>r</sub>NR<sup>2</sup>R<sup>2a</sup>, provided that X-Y do not form a N-N, O-N, or S-N bond,  
C<sub>3-10</sub> carbocyclic residue substituted with 0-2 R<sup>4a</sup>, and  
5-10 membered heterocyclic system containing from 1-4 heteroatoms selected  
from the group consisting of N, O, and S substituted with 0-2 R<sup>4a</sup>;
- 10 R<sup>4</sup>, at each occurrence, is selected from H, =O, (CH<sub>2</sub>)<sub>r</sub>OR<sup>2</sup>, F, Cl, Br, I, C<sub>1-4</sub> alkyl, -CN,  
NO<sub>2</sub>, (CH<sub>2</sub>)<sub>r</sub>NR<sup>2</sup>R<sup>2a</sup>, (CH<sub>2</sub>)<sub>r</sub>C(O)R<sup>2c</sup>, NR<sup>2</sup>C(O)R<sup>2b</sup>, C(O)NR<sup>2</sup>R<sup>2a</sup>,  
NR<sup>2</sup>C(O)NR<sup>2</sup>R<sup>2a</sup>, C(=NR<sup>2</sup>)NR<sup>2</sup>R<sup>2a</sup>, C(=NS(O)<sub>2</sub>R<sup>5</sup>)NR<sup>2</sup>R<sup>2a</sup>,  
NHC(=NR<sup>2</sup>)NR<sup>2</sup>R<sup>2a</sup>, C(O)NHC(=NR<sup>2</sup>)NR<sup>2</sup>R<sup>2a</sup>, SO<sub>2</sub>NR<sup>2</sup>R<sup>2a</sup>, NR<sup>2</sup>SO<sub>2</sub>NR<sup>2</sup>R<sup>2a</sup>,  
NR<sup>2</sup>SO<sub>2</sub>-C<sub>1-4</sub> alkyl, NR<sup>2</sup>SO<sub>2</sub>R<sup>5</sup>, S(O)<sub>p</sub>R<sup>5</sup>, (CF<sub>2</sub>)<sub>r</sub>CF<sub>3</sub>, NHCH<sub>2</sub>R<sup>1'</sup>, OCH<sub>2</sub>R<sup>1'</sup>,  
15 SCH<sub>2</sub>R<sup>1'</sup>, N(CH<sub>2</sub>)<sub>2</sub>(CH<sub>2</sub>)<sub>r</sub>R<sup>1'</sup>, O(CH<sub>2</sub>)<sub>2</sub>(CH<sub>2</sub>)<sub>r</sub>R<sup>1'</sup>, and S(CH<sub>2</sub>)<sub>2</sub>(CH<sub>2</sub>)<sub>r</sub>R<sup>1'</sup>,

alternatively, one R<sup>4</sup> is a 5-6 membered aromatic heterocycle containing from 1-4  
heteroatoms selected from the group consisting of N, O, and S;

- 20 R<sup>4a</sup>, at each occurrence, is selected from H, =O, (CH<sub>2</sub>)<sub>r</sub>OR<sup>2</sup>, (CH<sub>2</sub>)<sub>r</sub>F, (CH<sub>2</sub>)<sub>r</sub>Br, (CH<sub>2</sub>)<sub>r</sub>  
Cl, Cl, Br, F, I, C<sub>1-4</sub> alkyl, -CN, NO<sub>2</sub>, (CH<sub>2</sub>)<sub>r</sub>NR<sup>2</sup>R<sup>2a</sup>, (CH<sub>2</sub>)<sub>r</sub>C(O)R<sup>2c</sup>,  
NR<sup>2</sup>C(O)R<sup>2b</sup>, C(O)NR<sup>2</sup>R<sup>2a</sup>, C(O)NH(CH<sub>2</sub>)<sub>2</sub>NR<sup>2</sup>R<sup>2a</sup>, NR<sup>2</sup>C(O)NR<sup>2</sup>R<sup>2a</sup>,  
C(=NR<sup>2</sup>)NR<sup>2</sup>R<sup>2a</sup>, NHC(=NR<sup>2</sup>)NR<sup>2</sup>R<sup>2a</sup>, SO<sub>2</sub>NR<sup>2</sup>R<sup>2a</sup>, NR<sup>2</sup>SO<sub>2</sub>NR<sup>2</sup>R<sup>2a</sup>,  
NR<sup>2</sup>SO<sub>2</sub>-C<sub>1-4</sub> alkyl, C(O)NHSO<sub>2</sub>-C<sub>1-4</sub> alkyl, NR<sup>2</sup>SO<sub>2</sub>R<sup>5</sup>, S(O)<sub>p</sub>R<sup>5</sup>, and  
25 (CF<sub>2</sub>)<sub>r</sub>CF<sub>3</sub>;

alternatively, one R<sup>4a</sup> is a 5-6 membered aromatic heterocycle containing from 1-4  
heteroatoms selected from the group consisting of N, O, and S substituted with 0-1  
R<sup>5</sup>;

- 30 R<sup>4b</sup>, at each occurrence, is selected from H, =O, (CH<sub>2</sub>)<sub>r</sub>OR<sup>3</sup>, F, Cl, Br, I, C<sub>1-4</sub> alkyl, -CN,  
NO<sub>2</sub>, (CH<sub>2</sub>)<sub>r</sub>NR<sup>3</sup>R<sup>3a</sup>, (CH<sub>2</sub>)<sub>r</sub>C(O)R<sup>3</sup>, (CH<sub>2</sub>)<sub>r</sub>C(O)OR<sup>3c</sup>, NR<sup>3</sup>C(O)R<sup>3a</sup>,  
C(O)NR<sup>3</sup>R<sup>3a</sup>, NR<sup>3</sup>C(O)NR<sup>3</sup>R<sup>3a</sup>, C(=NR<sup>3</sup>)NR<sup>3</sup>R<sup>3a</sup>, NR<sup>3</sup>C(=NR<sup>3</sup>)NR<sup>3</sup>R<sup>3a</sup>,  
SO<sub>2</sub>NR<sup>3</sup>R<sup>3a</sup>, NR<sup>3</sup>SO<sub>2</sub>NR<sup>3</sup>R<sup>3a</sup>, NR<sup>3</sup>SO<sub>2</sub>-C<sub>1-4</sub> alkyl, NR<sup>3</sup>SO<sub>2</sub>CF<sub>3</sub>, NR<sup>3</sup>SO<sub>2</sub>-  
35 phenyl, S(O)<sub>p</sub>CF<sub>3</sub>, S(O)<sub>p</sub>-C<sub>1-4</sub> alkyl, S(O)<sub>p</sub>-phenyl, and (CF<sub>2</sub>)<sub>r</sub>CF<sub>3</sub>;

R<sup>5</sup>, at each occurrence, is selected from CF<sub>3</sub>, C<sub>1-6</sub> alkyl, phenyl substituted with 0-2 R<sup>6</sup>,  
and benzyl substituted with 0-2 R<sup>6</sup>;

R<sup>6</sup>, at each occurrence, is selected from H, OH, (CH<sub>2</sub>)<sub>r</sub>OR<sup>2</sup>, halo, C<sub>1-4</sub> alkyl, CN, NO<sub>2</sub>, (CH<sub>2</sub>)<sub>r</sub>NR<sup>2</sup>R<sup>2a</sup>, (CH<sub>2</sub>)<sub>r</sub>C(O)R<sup>2b</sup>, NR<sup>2</sup>C(O)R<sup>2b</sup>, NR<sup>2</sup>C(O)NR<sup>2</sup>R<sup>2a</sup>, C(=NH)NH<sub>2</sub>, NHC(=NH)NH<sub>2</sub>, SO<sub>2</sub>NR<sup>2</sup>R<sup>2a</sup>, NR<sup>2</sup>SO<sub>2</sub>NR<sup>2</sup>R<sup>2a</sup>, and NR<sup>2</sup>SO<sub>2</sub>C<sub>1-4</sub> alkyl;

5

R<sup>7</sup>, at each occurrence, is selected from H, OH, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkylcarbonyl, C<sub>1-6</sub> alkoxy, C<sub>1-4</sub> alkoxy carbonyl, (CH<sub>2</sub>)<sub>n</sub>-phenyl, C<sub>6-10</sub> aryloxy, C<sub>6-10</sub> aryloxycarbonyl, C<sub>6-10</sub> arylmethylcarbonyl, C<sub>1-4</sub> alkylcarbonyloxy C<sub>1-4</sub> alkoxy carbonyl, C<sub>6-10</sub> arylcarbonyloxy C<sub>1-4</sub> alkoxy carbonyl, C<sub>1-6</sub> alkylaminocarbonyl, phenylaminocarbonyl, and phenyl C<sub>1-4</sub> alkoxy carbonyl;

10

R<sup>8</sup>, at each occurrence, is selected from H, C<sub>1-6</sub> alkyl and (CH<sub>2</sub>)<sub>n</sub>-phenyl;

alternatively, R<sup>7</sup> and R<sup>8</sup> combine to form a 5 or 6 membered saturated, ring which

15 contains from 0-1 additional heteroatoms selected from the group consisting of N, O, and S;

R<sup>9</sup>, at each occurrence, is selected from H, C<sub>1-6</sub> alkyl and (CH<sub>2</sub>)<sub>n</sub>-phenyl;

20 n, at each occurrence, is selected from 0, 1, 2, and 3;

m, at each occurrence, is selected from 0, 1, and 2;

p, at each occurrence, is selected from 0, 1, and 2;

25

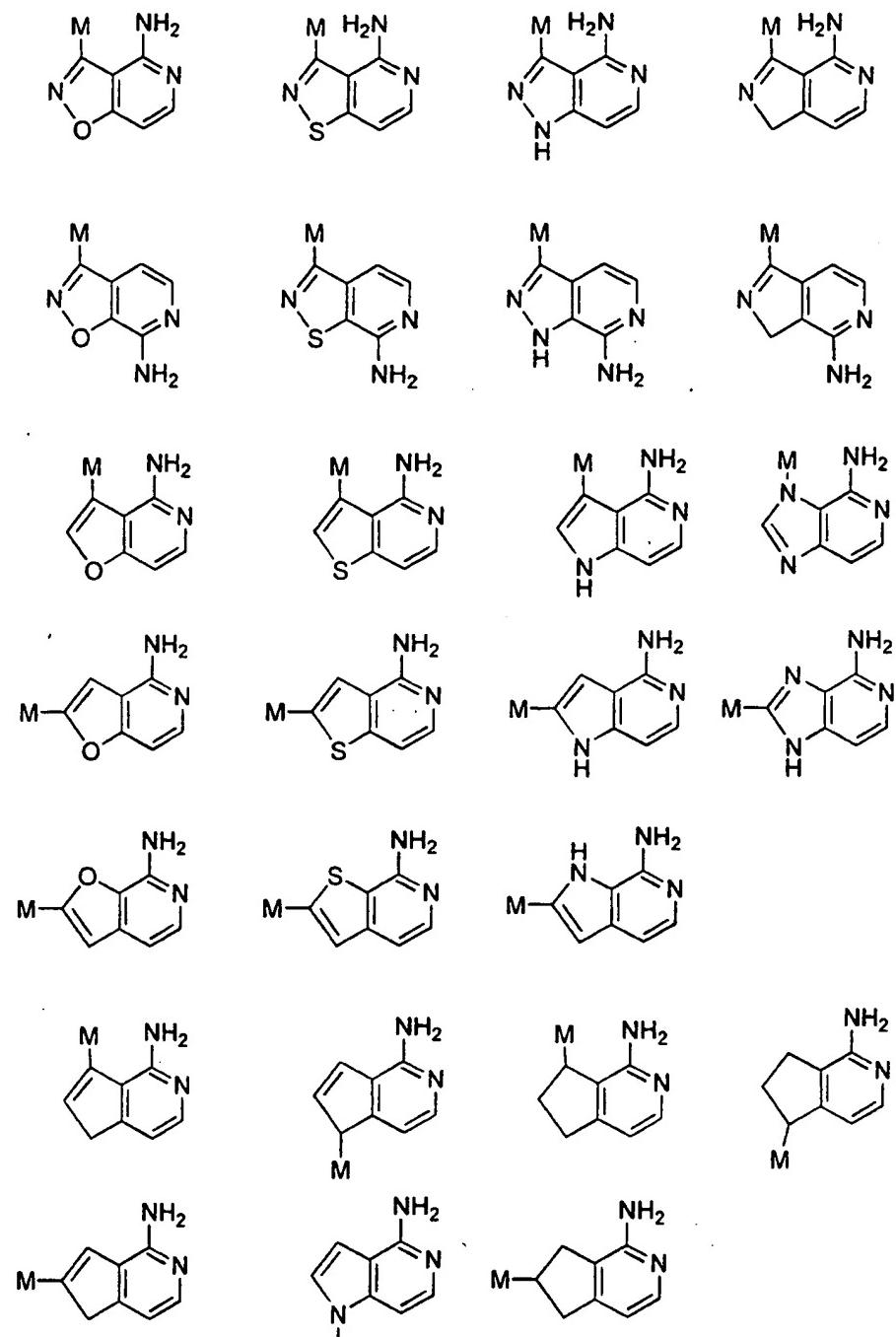
r, at each occurrence, is selected from 0, 1, 2, and 3;

s, at each occurrence, is selected from 0, 1, and 2; and,

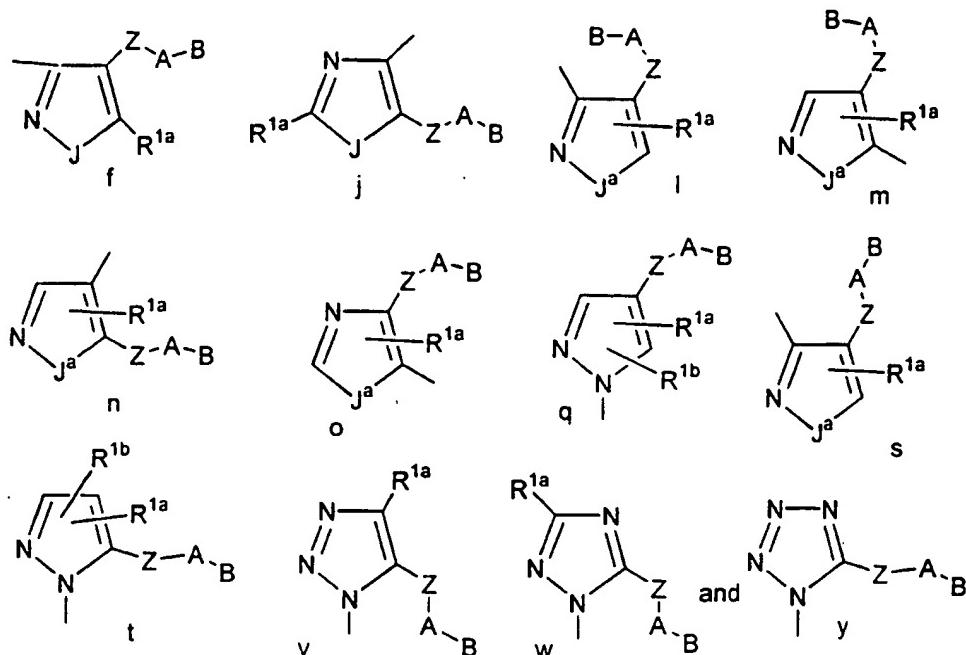
30 t, at each occurrence, is selected from 0, 1, 2, and 3.

2. A compound according to Claim 1, wherein the compound is selected from the group:

35



wherein, M is selected from the group:



R is selected from H, Cl, F, Br, I,  $(\text{CH}_2)_t\text{OR}^3$ ,  $\text{C}_{1-4}$  alkyl,  $\text{OCF}_3$ ,  $\text{CF}_3$ ,  $\text{C}(\text{O})\text{NR}^7\text{R}^8$ , and  $(\text{CR}^8\text{R}^9)_t\text{NR}^7\text{R}^8$ ;

5

Z is selected from  $\text{CH}_2\text{O}$ ,  $\text{OCH}_2$ ,  $\text{CH}_2\text{NH}$ ,  $\text{NHCH}_2$ ,  $\text{C}(\text{O})$ ,  $\text{CH}_2\text{C}(\text{O})$ ,  $\text{C}(\text{O})\text{CH}_2$ ,  $\text{NHC}(\text{O})$ ,  $\text{C}(\text{O})\text{NH}$ ,  $\text{CH}_2\text{S}(\text{O})_2$ ,  $\text{S}(\text{O})_2(\text{CH}_2)$ ,  $\text{SO}_2\text{NH}$ , and  $\text{NHSO}_2$ , provided that Z does not form a N-N, N-O,  $\text{NCH}_2\text{N}$ , or  $\text{NCH}_2\text{O}$  bond with ring M or group A;

10 A is selected from one of the following carbocyclic and heterocyclic systems which are substituted with 0-2 R<sup>4</sup>:

phenyl, piperidinyl, piperazinyl, pyridyl, pyrimidyl, furanyl, morpholinyl, thiophenyl, pyrrolyl, pyrrolidinyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, oxadiazolyl, thiadiazolyl, triazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1,2,5-triazolyl, 1,3,4-triazolyl, benzofuranyl, benzothiofuranyl, indolyl, benzimidazolyl, benzoxazolyl, benzthiazolyl, indazolyl, benzisoxazolyl, benzisothiazolyl, and isoindazolyl;

20

B is selected from: H, Y, and X-Y;

X is selected from  $\text{C}_{1-4}$  alkylene,  $-\text{C}(\text{O})-$ ,  $-\text{C}(=\text{NR})-$ ,  $-\text{CR}^2(\text{NR}^2\text{R}^{2a})-$ ,  $-\text{C}(\text{O})\text{CR}^2\text{R}^{2a}-$ ,  $-\text{CR}^2\text{R}^{2a}\text{C}(\text{O})$ ,  $-\text{C}(\text{O})\text{NR}^2-$ ,  $-\text{NR}^2\text{C}(\text{O})-$ ,  $-\text{C}(\text{O})\text{NR}^2\text{CR}^2\text{R}^{2a}-$ ,  $-\text{NR}^2\text{C}(\text{O})\text{CR}^2\text{R}^{2a}-$ ,

-CR<sup>2</sup>R<sup>2a</sup>C(O)NR<sup>2</sup>-, -CR<sup>2</sup>R<sup>2a</sup>NR<sup>2</sup>C(O)-, -NR<sup>2</sup>C(O)NR<sup>2</sup>-, -NR<sup>2</sup>-, -NR<sup>2</sup>CR<sup>2</sup>R<sup>2a</sup>-,  
 -CR<sup>2</sup>R<sup>2a</sup>NR<sup>2</sup>-, O, -CR<sup>2</sup>R<sup>2a</sup>O-, and -OCR<sup>2</sup>R<sup>2a</sup>;

Y is NR<sup>2</sup>R<sup>2a</sup> or CH<sub>2</sub>NR<sup>2</sup>R<sup>2a</sup>, provided that X-Y do not form a N-N or O-N bond;

5

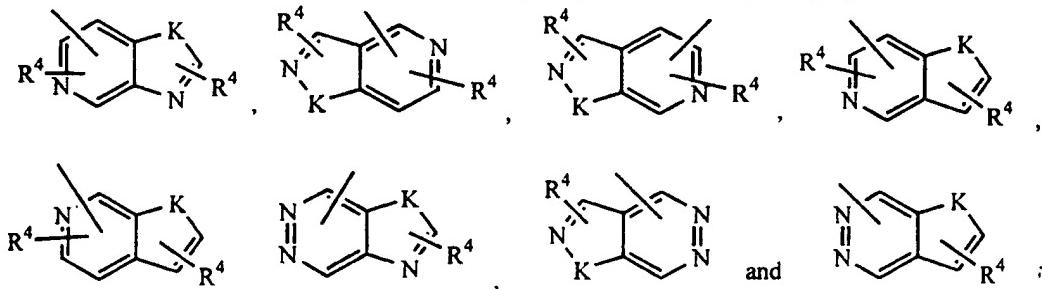
alternatively, Y is selected from one of the following carbocyclic and heterocyclic systems which are substituted with 0-2 R<sup>4a</sup>:

10

cyclopropyl, cyclopentyl, cyclohexyl, phenyl, piperidinyl, piperazinyl, pyridyl, pyrimidyl, furanyl, morpholinyl, thiophenyl, pyrrolyl, pyrrolidinyl, oxazolyl, isoxazolyl, isoxazolinyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, oxadiazolyl, thiadiazolyl, triazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1,2,5-triazolyl, 1,3,4-triazolyl, benzofuranyl, benzothiofuranyl, indolyl, benzimidazolyl, benzoxazolyl, benzthiazolyl, indazolyl, benzisoxazolyl, benzisothiazolyl, and isoindazolyl;

15

alternatively, Y is selected from the following bicyclic heteroaryl ring systems:

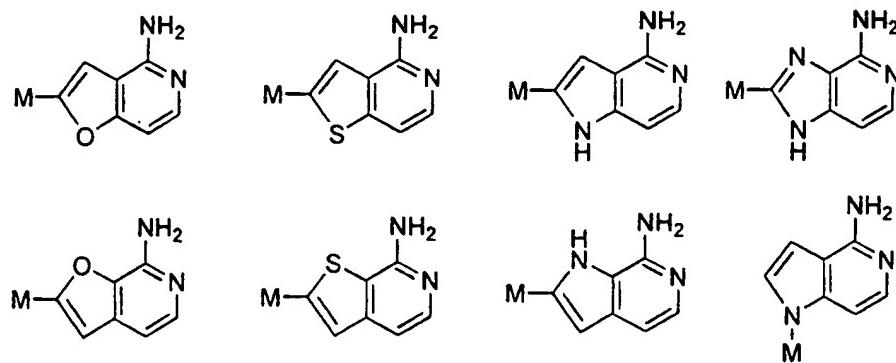


20

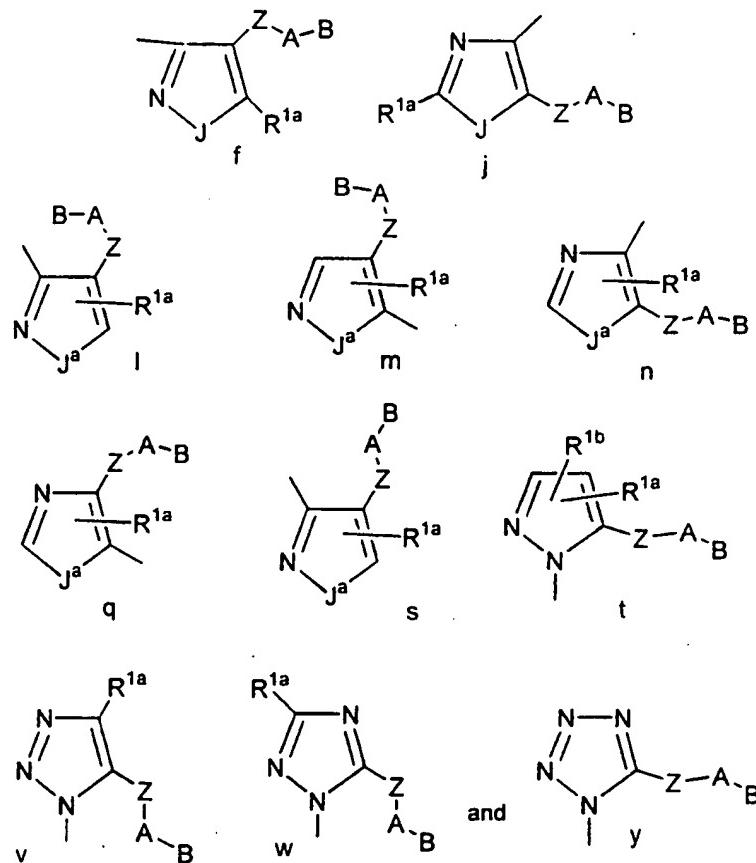
K is selected from O, S, NH, and N.

25

3. A compound according to Claim 2, wherein the compound is selected from the group:



M is selected from the group:



5

Z is C(O)CH<sub>2</sub> and CONH, provided that Z does not form a N-N bond with group A;

A is selected from phenyl, pyridyl, and pyrimidyl, and is substituted with 0-2 R<sup>4</sup>; and,

10

B is selected from Y, X-Y, phenyl, pyrrolidino, morpholino, 1,2,3-triazolyl, and imidazolyl, and is substituted with 0-1 R<sup>4a</sup>;

B is selected from: Y and X-Y;

X is selected from CH<sub>2</sub>, -C(O)-, and O;

Y is NR<sup>2</sup>R<sup>2a</sup> or CH<sub>2</sub>NR<sup>2</sup>R<sup>2a</sup>, provided that X-Y does not form an O-N bond;

5

alternatively, Y is selected from one of the following carbocyclic and heterocyclic systems which are substituted with 0-2 R<sup>4a</sup>:

phenyl, piperazinyl, pyridyl, pyrimidyl, morpholinyl, pyrrolidinyl, imidazolyl, and 1,2,3-triazolyl;

10

R<sup>2</sup>, at each occurrence, is selected from H, CF<sub>3</sub>, CH<sub>3</sub>, benzyl, and phenyl;

R<sup>2a</sup>, at each occurrence, is selected from H, CF<sub>3</sub>, CH<sub>3</sub>, CH(CH<sub>3</sub>)<sub>2</sub>, cyclopropylmethyl, benzyl, and phenyl;

15

alternatively, R<sup>2</sup> and R<sup>2a</sup> combine to form a ring system substituted with 0-2 R<sup>4b</sup>, the ring system being selected from pyrrolidinyl, piperazinyl and morpholino;

20

R<sup>4</sup>, at each occurrence, is selected from OH, (CH<sub>2</sub>)<sub>r</sub>OR<sup>2</sup>, Cl, F, C<sub>1-4</sub> alkyl, (CH<sub>2</sub>)<sub>r</sub>NR<sup>2</sup>R<sup>2a</sup>, and (CF<sub>2</sub>)<sub>r</sub>CF<sub>3</sub>;

R<sup>4a</sup> is selected from Cl, F, C<sub>1-4</sub> alkyl, CF<sub>3</sub>, (CH<sub>2</sub>)<sub>r</sub>NR<sup>2</sup>R<sup>2a</sup>, S(O)<sub>p</sub>R<sup>5</sup>, SO<sub>2</sub>NR<sup>2</sup>R<sup>2a</sup>, and 1-CF<sub>3</sub>-tetrazol-2-yl;

25

R<sup>4b</sup>, at each occurrence, is selected from OH, Cl, F, CH<sub>3</sub>, and CF<sub>3</sub>;

R<sup>5</sup>, at each occurrence, is selected from CF<sub>3</sub>, C<sub>1-6</sub> alkyl, phenyl, and benzyl;

R<sup>7</sup>, at each occurrence, is selected from H, CH<sub>3</sub>, and CH<sub>2</sub>CH<sub>3</sub>; and,

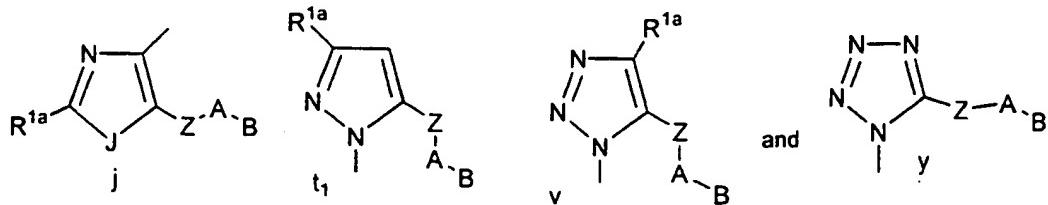
30

R<sup>8</sup>, at each occurrence, is selected from H and CH<sub>3</sub>.

4. A compound according to Claim 3, wherein:

35

M is selected from the group:



J is N;

5 R<sup>1a</sup> is absent or is -(CH<sub>2</sub>)<sub>r</sub>-R<sup>1'</sup>;

R<sup>1'</sup> is selected from H, C<sub>1-3</sub> alkyl, F, Cl, -CN, CF<sub>3</sub>, (CH<sub>2</sub>)<sub>r</sub>OR<sup>2</sup>, NR<sup>2</sup>R<sup>2a</sup>, C(O)R<sup>2c</sup>, OC(O)R<sup>2</sup>, S(O)<sub>p</sub>R<sup>2b</sup>, NR<sup>2</sup>C(O)R<sup>2b</sup>, C(O)NR<sup>2</sup>R<sup>2a</sup>, SO<sub>2</sub>NR<sup>2</sup>R<sup>2a</sup>, C<sub>3-6</sub> carbocyclic residue substituted with 0-2 R<sup>4a</sup>, and 5-6 membered heterocyclic system containing from 1-4 heteroatoms selected from the group consisting of N, O, and S substituted with 0-2 R<sup>4a</sup>;

A is selected from the group: phenyl, 2-pyridyl, 3-pyridyl, 2-pyrimidyl, 2-Cl-phenyl, 3-Cl-phenyl, 2-F-phenyl, 3-F-phenyl, 2-methylphenyl, 2-aminophenyl, and 2-methoxyphenyl; and,

B is selected from the group: 2-CF<sub>3</sub>-phenyl, 2-(aminosulfonyl)phenyl, 2-(methylaminosulfonyl)phenyl, 2-(dimethylaminosulfonyl)phenyl, 1-pyrrolidinocarbonyl, 2-(methylsulfonyl)phenyl, 2-(N,N-dimethylaminomethyl)phenyl, 2-(isopropylaminomethyl)phenyl, 2-(cyclopropylaminomethyl)phenyl, 2-(N-pyrrolidinylmethyl)phenyl, 2-(3-hydroxy-N-pyrrolidinylmethyl)phenyl, 4-morpholino, 2-(1'-CF<sub>3</sub>-tetrazol-2-yl)phenyl, 4-morpholinocarbonyl, 1-methyl-2-imidazolyl, 2-methyl-1-imidazolyl, 5-methyl-1-imidazolyl, 2-(N,N-dimethylaminomethyl)imidazolyl, 2-methylsulfonyl-1-imidazolyl and, 5-methyl-1,2,3-triazolyl.

5. A pharmaceutical composition, comprising: a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound according to one of Claims 1-4 or a pharmaceutically acceptable salt thereof.

6. A method for treating or preventing a thromboembolic disorder, comprising: administering to a patient in need thereof a therapeutically effective amount of a compound according to one of Claims 1-4 or a pharmaceutically acceptable salt thereof.

5

7. Use of a compound according to one of Claims 1-4 in therapy.

8. Use of a compound according to one of Claims 1-4 for the manufacture of a  
10 medicament for the treatment of thrombosis or a disease mediated by factor Xa.

9. A compound according to Table 1 or 2.

# INTERNATIONAL SEARCH REPORT

International application No.

PCT/US99/30512

## A. CLASSIFICATION OF SUBJECT MATTER

IPC(7) : C07D 253/02, 257/02, 491/02, 498/02; A61K 31/44, 31/495, 31/53; A61P 9/00  
 US CL : 544/179, 182, 238, 333, 405; 546/115; 514/242, 252.04, 255.05, 256, 302

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

U.S. : 544/179, 182, 238, 333, 405; 546/115; 514/242, 252.04, 255.05, 256, 302

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)  
 CAS ONLINE

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A,P	WO 99/64423 A1 (DARWIN DISCOVERY LIMITED) 16 December 1999, see entire document, especially page 8.	1-5, 7 and 9
A,P	WO 99/20624 A1 (F.HOFFMANN-LA ROCHE AG) 29 April 1999, see entire document.	1-5, 7 and 9

Further documents are listed in the continuation of Box C.

See patent family annex.

### \* Special categories of cited documents:

- "A" document defining the general state of the art which is not considered to be of particular relevance
- "B" earlier application or patent published on or after the international filing date
- "C" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- "D" document referring to an oral disclosure, use, exhibition or other means
- "E" document published prior to the international filing date but later than the priority date claimed

"T"

later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X"

document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y"

document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"Z"

document member of the same patent family

Date of the actual completion of the international search

03 April 2000 (03.04.2000)

Date of mailing of the international search report

25 APR 2000

Name and mailing address of the ISA/US

Commissioner of Patents and Trademarks  
 Box PCT  
 Washington, D.C. 20231

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Authorized officer

Richard Raymon



Telephone No. (703) 308-1235

# INTERNATIONAL SEARCH REPORT

Int'l application No.
PCT/US99/30512

## Box I Observations where certain claims were found unsearchable (Continuation of Item 1 of first sheet)

This international report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1.  Claim Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:
  
2.  Claim Nos.:  
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:
  
3.  Claim Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box II Observations where unity of invention is lacking (Continuation of Item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:  
Please See Continuation Sheet

1.  As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2.  As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3.  As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
  
4.  No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.: 1-9 (in part)

Remark on Protest

- The additional search fees were accompanied by the applicant's protest.  
No protest accompanied the payment of additional search fees.

**BOX II. OBSERVATIONS WHERE UNITY OF INVENTION IS LACKING**

This application contains the following inventions or groups of inventions which are not so linked as to form a single general inventive concept under PCT Rule 13.1. In order for all inventions to be examined, the appropriate additional examination fees must be paid.

Group I, claim(s) 1-9, drawn to compounds, compositions and method of use of the compounds of formula I where ring E contains only one nitrogen atom and ring D contains at least one oxygen atom.

Group II, claim(s) 1-9, drawn to compounds, compositions and method of use of the compounds of formula I where ring E contains only one nitrogen atom and ring D contains at least one sulfur atom.

Group III, claim(s) 1-9, drawn to compounds, compositions and method of use of the compounds of formula I where ring E contains only one nitrogen atom and ring D contains only one nitrogen atom.

Group IV, claim(s) 1-9, drawn to compounds, compositions and method of use of the compounds of formula I where ring E contains only one nitrogen atom and ring D contains only two nitrogen atoms.

Group V, claim(s) 1, 2 and 5-9, drawn to compounds, compositions and method of use of the compounds of formula I where ring E contains only one nitrogen atom and ring D contains no hetero atoms.

Group VI, claim(s) 1 and 5-9, drawn to compounds, compositions and method of use of the compounds of formula I where ring E contains two nitrogen atoms and ring D contains at least one oxygen atom.

Group VII, claim(s) 1 and 5-9, drawn to compounds, compositions and method of use of the compounds of formula I where ring E contains two nitrogen atoms and ring D contains at least one sulfur atom.

Group VIII, claim(s) 1 and 5-9, drawn to compounds, compositions and method of use of the compounds of formula I where ring E contains two nitrogen atoms and ring D contains only one nitrogen atom.

Group IX, claim(s) 1 and 5-9, drawn to compounds, compositions and method of use of the compounds of formula I where ring E contains two nitrogen atoms and ring D contains only two nitrogen atoms.

Group X, claim(s) 1 and 5-9, drawn to compounds, compositions and method of use of the compounds of formula I where ring E contains two nitrogen atoms and ring D contains no hetero atoms.

Group XI, claim(s) 1 and 5-9, drawn to compounds, compositions and method of use of the compounds of formula I where ring E contains no nitrogen atoms and ring D contains at least one oxygen atom.

Group XII, claim(s) 1 and 5-9, drawn to compounds, compositions and method of use of the compounds of formula I where ring E contains no nitrogen atoms and ring D contains at least one sulfur atom.

Group XIII, claim(s) 1 and 5-9, drawn to compounds, compositions and method of use of the compounds of formula I where ring E contains no nitrogen atoms and ring D contains only one nitrogen atom.

Group XIV, claim(s) 1 and 5-9, drawn to compounds, compositions and method of use of the compounds of formula I where ring E contains no nitrogen atoms and ring D contains only two nitrogen atoms.

Group XV, claim(s) 1 and 5-9, drawn to compounds, compositions and method of use of the compounds of formula I where ring E contains no nitrogen atoms and ring D contains no hetero atoms.

The inventions listed as Groups I-XV do not relate to a single general inventive concept under PCT Rule 13.1 because, under PCT Rule 13.2, they lack the same or corresponding special technical features for the following reasons: The rings represented by D and E differ in the number of heteroatoms present in each ring, thus forming a magnitude of permutations which contain no common core.